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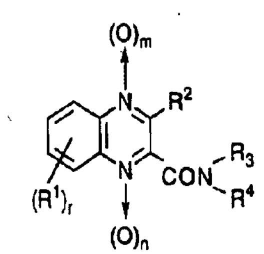
(54) Title: QUINOXALINE DERIVATIVE AS ANTIDIABETIC AGENT



(1)

(57) Abstract

Quinoxaline derivatives of formula (1), and salts thereof, which have excellent antidiabetic activity, and an antidiabetic agent comprising as an active ingredient the quinoxaline derivative or a pharmaceutically acceptable salt thereof.

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DESCRIPTION

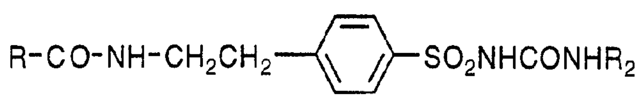
QUINOXALINE DERIVATIVE AS ANTIDIABETIC AGENT

Technical Field

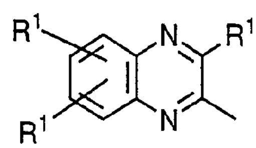
The present invention relates to an antidiabetic agent.

Background Art

Various quinoxaline derivatives have been known. For example, BE-764998 (= JP-A-46-4377) discloses that the following sulfonylureas are useful as hypoglycemic agents.



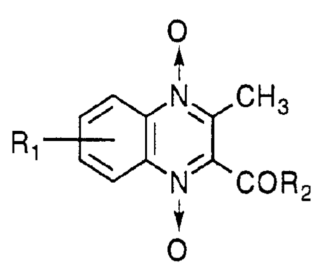
wherein R is a group of the formula:



etc.,

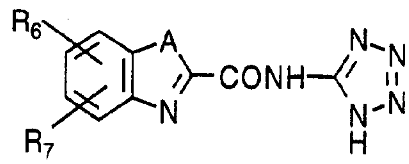
and R_2 is alkyl, alkenyl, cycloalkyl, etc. Said compounds are similar to the compounds of this invention in the basic structure and also in the pharmacological activity but are distinguished from the compounds of this invention in the substituent on the phenyl ring in the substituent $-CONR^3R^4$ at 2 - position of quinoxaline ring.

DD-273254-A discloses selective reduction of quinoxaline-di-N - oxide derivatives of the formula:



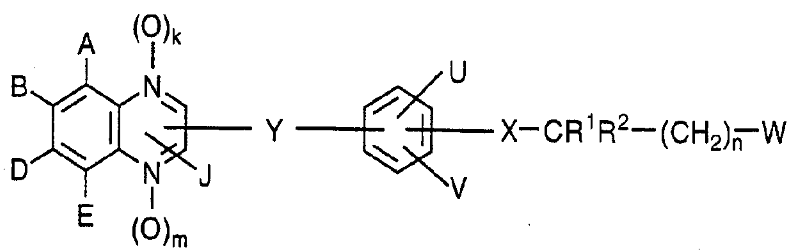
wherein R_1 is H, Cl, methyl, or methoxy, and R_2 is OH, alkoxy or β - hydroxyethylamino, to give the corresponding N-4-monoxides which are useful as an intermediate for pharmaceuticals and pesticides.

DT-2410852 (= JP-A-50-29583) discloses N-tetrazolyl - quinoxaline-2-carboxamides of the formula:



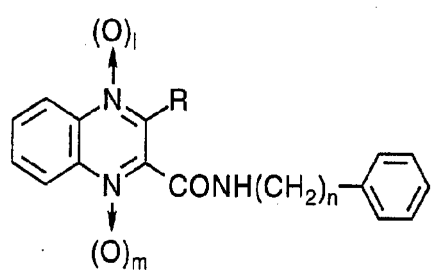
wherein A is $-N=CR_2-$ etc., R_2 is H, halogen, alkyl, OR_3, NR_4R_5, etc., and R_6 and R_7 are H, halogen, alkyl, OR_3, NR_4R_5, etc., which are useful for treating disorders such as asthma, hay-fever, urticaria, eczema or atopic dermatitis.

EP-23785 discloses substituted alkoxy-phenoxy-quinoxaline(s), N-oxide(s) thereof of the formula:



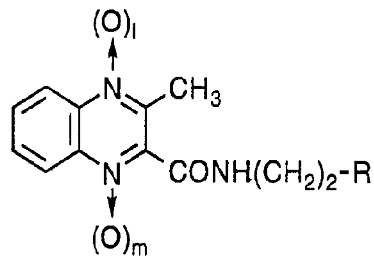
wherein A, B, D, E, J, U and V are H, halogen, nitro, CN, amino, mono- or di-substituted amino, alkyl, alkoxy, carbamoyl, etc.; Y and X are O or S; R^1 and R^2 are H, alkyl, alkenyl, etc.; and W is CN, $CSNH_2$, etc., which are useful as pre- and post-emergence selective herbicides. EP-26622 discloses also similar quinoxalinyl-amino-phenoxyalkanoic acids which are useful as pre- and post-emergence selective herbicides.

Heterocycles, Vol. 26, No. 3, 1987, pp. 699-711 discloses substituted 2-quinoxalinecarboxamides and their N-oxides of the formula:



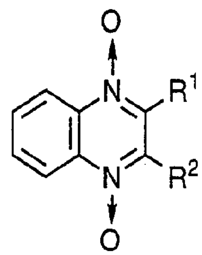
wherein R is H or methyl, n is 0 or an integer of 1 to 4, l and m are 0 or 1, but no pharmacological activity of these compound is mentioned.

J. Chem. Eng. Data, vol. 29, No. 2, pp. 229-231 (1984) discloses quinoxaline compounds of the formula:



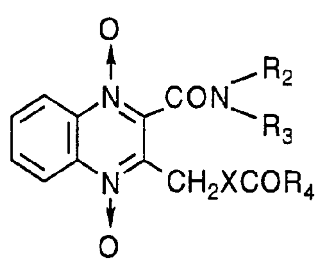
wherein l and m are 0 or 1, and R is 2-imidazolyl, 3-indolyl, etc. which have antibacterial activity.

DD-284585-A discloses quinoxaline-1,4-di-N-oxides of the formula:



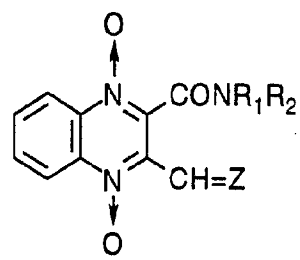
wherein R^1 is H or optionally substituted alkyl, and R^2 is H, optionally substituted alkyl, or hydroxyethylcarbamoyl, which are useful as a medicinal feedstuff to protect piglets from gastro-intestinal disorders.

BE-721725 discloses 3-carboxamidoquinoxaline-di-N-(1,4) -oxides of the formula:



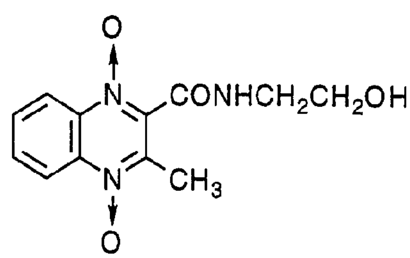
wherein R_1 is H, alkyl, alkoxy, or Cl; R_2 and R_3 are H, optionally substituted alkyl, or may form with N a 5- or 6- membered heterocyclic ring; X is O or S; and R_4 is optionally substituted alkyl or optionally substituted phenyl, which have antibacterial activity. Similar compounds are also disclosed in many literatures such as BE-721726, BE-721728, BE-738246, BE-742970, DT-2012743, NL - 7305048, BE-846532, GB-1308370, JP-B-46-23264, JP-B-45-24988, and JP-B - 45-24989.

NL-7206031 discloses 2-formyl-3-carbamoyl-quinoxaline 1,4-dioxides of the formula:



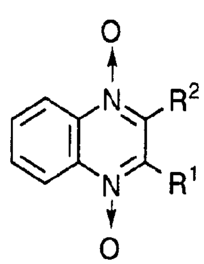
wherein R_1 and R_2 are H, optionally substituted aliphatic or cycloaliphatic group, or form a 5- to 7-membered ring optionally containing O or S, Z is NOH or $NNHC(Y)R_3$, which have antimicrobial activity. Similar compounds are also disclosed in many literatures such as BE-856771, DT-2639429, DT-2656783, EP-1618, EP-73390, DE-3230273-A, BE-824065, DT-2501492, BE-828745, US-3948911, US-4039540, DD-268127, DD-268942-A, JP-A-62-120371, JP-A-62-123178, EP-288628-A, JP-A-60-120815, BE-856771, and BE-753582.

DE-3324908-A discloses 2-(N-(2-hydroxyethyl)carbamoyl)-3-methylquinoxaline 1,4-di-N-oxide of the formula:



which is useful as animal growth promoters. Similar compounds are also disclosed in other many literatures such as EP-142093-A, DT-2907174, JP-A-50-082217, GB-2038824, JP-A-62-174061, and JP-A-62-149670.

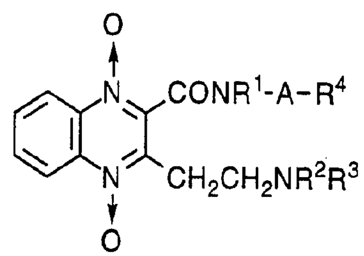
NL-7206601 discloses 2,3-disubstituted quinoxaline-1,4-dioxides of the formula:



wherein R^1 is phenyl optionally substituted by alkyl, alkoxy, halogen or CF_3, and R^2 is $-CONR^3R^4$ where R^3 and R^4 are H or alkyl or form together alkylene

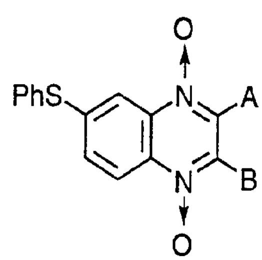
optionally containing O, S or N heteroatom, which are useful as bactericides and amoebicides. Similar compounds are also disclosed in BE-763377, DT - 2228802, and BE-904482.

EP-12725 discloses quinoxaline di-N-oxides of the formula:



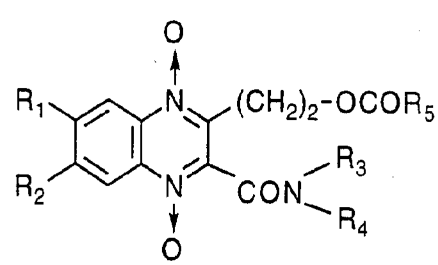
wherein R^1 is H or alkyl; R^2 and R^3 are alkyl or NR^2R^3 forms 4-5C heterocyclic ring optionally substituted by alkyl, and R^4 is H, methoxy, methylthio, OH, F, Cl, Br or CN, which are useful as broad-spectrum antimicrobial agents, esp. as veterinary medicaments, and animal growth promoters. Similar compounds are also disclosed in DT-2701707.

CH-619456 discloses 6-phenylthio-quinoxaline-1,4-dioxide derivatives of the formula:



wherein one of A and B is methyl, and the other is $-CONHCH_2CH_2OH$, which are useful as animal growth stimulants. Similar compounds are also disclosed in CH-619457 and CH-630908.

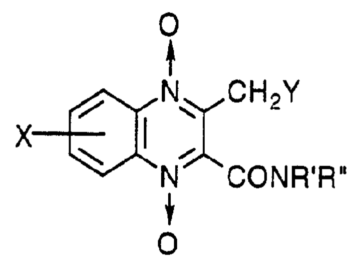
DT-2052359 discloses quinoxaline 1,4-dioxides of the formula:



wherein R_1 and R_2 are each H, alkyl or alkoxy, or form together methylenedioxy; R_3 is H, optionally unsaturated aliphatic residue (optionally substituted by CN, COOH, carbamoyl, alkylamino, etc.), a 5- or 6-membered

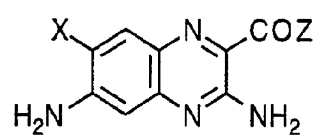
cycloaliphatic residue (optionally substituted by alkyl), arylalkyl or furfuryl; R_4 is H, or NR_3R_4 is optionally unsaturated 5- or 6-membered heterocyclic ring optionally substituted by alkyl; and R_5 is optionally substituted and optionally unsaturated aliphatic, cycloaliphatic, araliphatic aromatic or heterocyclic residue, which have antiprotozoal and antibacterial activity. Similar compounds are also disclosed in DT-2052279.

DT-2120501 discloses 3-substituted quinoxaline-2-carboxamido -1,4-dioxides of the formula:



wherein X is H, methyl, methoxy, CF_3, F, Cl, or Br; Y is alkylthio, alkylsulfinyl, or alkylsulfonyl; R' is H or alkyl; and R" is H or alkyl optionally substituted by amino alkylamino, dialkylamino, pyrrolidino, piperidino, etc., which are useful as broad spectrum antibacterial agents, growth stimulants especially for pigs and poultry. Similar compounds are also disclosed in BE-764088, BE-773396, and DT-2212932.

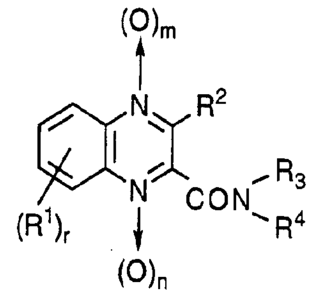
US-3185688 discloses quinoxaline derivatives of the formula:



wherein Z is $NR(CH_2)_nNR_1R_2$, $NR(CH_2)_n$Oalkyl, $NR(CH_2)_n$Salkyl; X and R are H or alkyl; R_1 and R_2 are alkyl, or NR_1R_2 is morpholino, piperidino, pyrrolidino; and n is 2 - 4, which are useful as tranquilizers. Similar compounds are also disclosed in US-3192212 and FR-2211006.

Disclosure of Invention

The antidiabetic agent of the present invention comprises as an active ingredient at least one of quinoxaline derivatives of the formula (1):



(1)

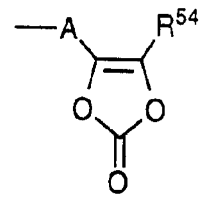
wherein R^1 is hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent,

R^2 is hydrogen atom, a lower alkyl group having optionally a halogen substituent, phenyl group, a morpholino-substituted lower alkyl group or an imidazolyl-substituted lower alkyl group,

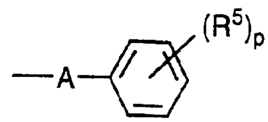
n and m are each 0 or 1,

r is 1 or 2,

R^3 and R^4 are the same or different and each a) hydrogen atom; b) a lower alkyl group; c) a phenyl-lower alkoxycarbonyl group; d) a lower alkanoyloxy-substituted lower alkyl group; e) a lower alkanoyl group; f) a lower alkoxycarbonyl group; g) a lower alkoxy-lower alkyl group; h) a phenoxycarbonyl group; i) a lower alkanoyl-substituted lower alkyl group; j) a lower alkoxycarbonyloxy-substituted lower alkyl group; k) a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring; l) a group of the formula: -E-N(R^{52})(R^{53}) (in which R^{52} and R^{53} are the same or different and each hydrogen atom, a lower alkyl group, a lower alkoxycarbonyl group or phenyl group, or R^{52} and R^{53} may combine together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom, E is a lower alkylene group, a group of the formula: -CO-, or a group of the formula: -CO-A- (in which A is a lower alkylene group)); m) a group of the formula:

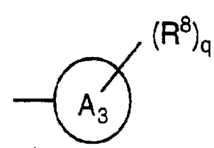


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); n) a group of the formula:

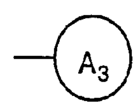


(in which A is the same as defined above, p is an integer of 1 to 3, R^5 is hydrogen atom, a lower alkoxy-substituted lower alkoxy group, a lower alkoxy group, an amino group having optionally a lower alkyl substituent, a halogen atom, nitro group, hydroxy group, a lower alkyl group having optionally a hydroxy substituent, a lower alkenyloxy group, a carboxyl-substituted lower alkoxy group, a lower alkoxycarbonyl-substituted lower alkoxy group, a lower alkoxycarbonyl group, a halogen-substituted lower alkoxy group, a hydroxy -substituted lower alkoxy group, a phenyl-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkoxy group on the phenyl moiety, a 1,3-dioxolanyl group having optionally a lower alkyl substituent, a lower alkanoyl group, a morpholino-substituted lower alkoxy group, a morpholino-substituted lower alkyl group, a morpholinocarbonyl group or a group of the formula: $-Y-A_1-CONR^6R^7$ (in which A_1 is a lower alkylene group, Y is a group of the formula: -O- or a group of the formula: -NH-, R^6 and R^7 are the same or different and each hydrogen atom, a lower alkyl group having optionally a hydroxy substituent, a phenyl-lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, a furyl-substituted lower alkyl group, or a lower alkoxy-substituted lower alkyl group, or R^6 and R^7 may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen atom or oxygen atom, said heterocyclic group having optionally 1 to 3 substituents selected from hydroxy group, a lower alkyl group and a phenyl-lower alkyl group)); o) a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an

amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: -O - A_4-CO-$NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; p) an alkenyl group; q) a cycloalkyl-lower alkyl group; r) a naphthyl-lower alkyl group; s) a phenylthio-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; t) a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; u) a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; v) a phenoxy-substituted lower alkyl group; w) a group of the formula:

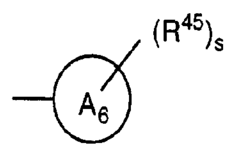


(in which q is an integer of 1 to 3, a group of the formula:

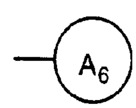


is a lower alkyl group substituted by a 5- to 14-membered saturated or unsaturated heteromonocyclic, heterobicyclic or heterotricyclic group having 1 to 4 heteroatoms selected from nitrogen atom, oxygen atom and sulfur atom, R^8 substitutes on the above heterocyclic group, and is hydrogen atom, oxo group, a lower alkyl group having optionally a hydroxy substituent, a halogen atom, nitro group, a lower alkoxy group, cyano group, a lower alkoxycarbonyl group, a phenyl-lower alkoxy group having optionally an amino group having optionally a lower alkanoyl substituent on the phenyl moiety, a carboxyl - substituted lower alkoxy group, carboxyl group, a lower alkoxycarbonyl - substituted lower alkoxy group, hydroxy group, a lower alkoxy-substituted lower

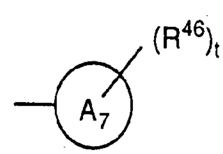
alkoxy group, a lower alkenyloxy group, a lower alkanoyloxy-substituted lower alkyl group, a halogen-substituted lower alkyl group, a lower alkanoyl group, a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy-substituted lower alkoxy group, hydroxy group, a halogen atom and a lower alkoxy group on the phenyl moiety, a lower alkenyl group, a morpholinocarbonyl-lower alkoxy group, a lower alkylsufinyl group, an amino - substituted lower alkyl group having optionally a substituent selected from a lower alkylsulfonyl group and a lower alkanoyl group, a lower alkylthio group, a lower alkylsulfonyl group, a lower alkanoyloxy group, a 1,3-dioxolanyl - substituted lower alkyl group having optionally a lower alkyl substituent, a lower alkanoyl-substituted lower alkyl group, an aminocarbonyl-substituted lower alkyl group having optionally a lower alkyl substituent, a lower alkoxycarbonyl-substituted lower alkenyl group, an aminocarbonyl-substituted lower alkenyl group having optionally a lower alkyl substituent, a carboxyl - substituted lower alkenyl group, benzoyl group, a lower alkoxy-lower alkyl group, a group of the formula:



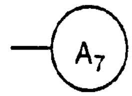
(in which s is an integer of 1 to 3, a group of the formula:



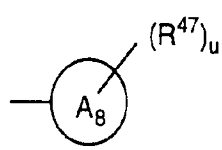
is a 5- to 6-membered saturated or unsaturated heterocyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^{45} bonds to said heterocyclic group and is hydrogen atom, a lower alkyl group, a lower alkoxy-substituted lower alkyl group, phenyl group or oxo group), a group of the formula:



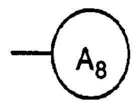
(in which t is an integer of 1 to 3, a group of the formula:



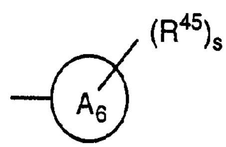
is a lower alkyl group substituted by a 5- to 6-membered saturated or unsaturated heterocyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^{46} bonds to said heterocyclic group and is hydrogen atom, a lower alkyl group or oxo group), or a group of the formula: $-(C=O)_lNR^9R^{10}$ (in which l is 0 or 1, R^9 and R^{10} are the same or different and each hydrogen atom, a lower alkanoyl group, a lower alkyl group, a morpholinocarbonyl-lower alkyl group, a cycloalkylcarbonyl group, a phenyl - lower alkenylcarbonyl group, a lower alkylsulfonyl group, an aminocarbonyl group having optionally a lower alkyl substituent, a phenylsulfonyl group having optionally a lower alkyl substituent on the phenyl moiety, a phenyl-lower alkenyl group, a benzoyl group having optionally 1 to 3 substituents selected from a halogen atom, a lower alkoxy group, an amino group having optionally a lower alkanoyl substituent and hydroxy group on the phenyl moiety, an amino - substituted lower alkanoyl group having optionally a lower alkanoyl substituent, an amino-substituted sulfonyl group having optionally a lower alkyl substituent, a phenyl-lower alkyl group, phenyl group, or an amino group having optionally a lower alkanoyl substituent, or R^9 and R^{10} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom)); x) a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); y) a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring; or z) a group of the formula:



(in which u is an integer of 1 to 3, a group of the formula:



is a lower alkenyl group substituted by a 5- to 14-membered saturated or unsaturated, heteromonocyclic, heterobicyclic or heterotricyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^{47} bonds on said heterocyclic group and is hydrogen atom, a halogen - substituted lower alkyl group, oxo group, a halogen atom, a lower alkoxy group, a lower alkyl group, a lower alkoxycarbonyl group, carboxyl group, an aminocarbonyl group having optionally a lower alkyl substituent, an amino group having optionally a lower alkanoyl substituent, a phenyl group having optionally a substituent selected from a lower alkoxy group and a halogen atom on the phenyl moiety, or a group of the formula:



(in which A_6, R^{45} and s are the same as defined above)),

or R^3 and R^4 may combine together with the adjacent nitrogen atom to which they bond to form 1,2,3,4-tetrahydroisoquinolyl group, said heterocyclic group having optionally a lower alkoxy substituent,
or a salt thereof.

The present invention provides also novel quinoxaline derivatives of the formula (1) as described above wherein all the symbols are the same as defined above except that n is 0 and the group R^5 excludes hydrogen atom and further provided that when R^1 is hydrogen atom, R^2 is methyl group, R^3 is hydrogen atom, and m is 0, then R^4 is not 2-(imidazol-2-yl)ethyl, 2-(indol-3 -yl)ethyl, or sec-butyl,
and a salt thereof.

According to the diseases determination by WHO, diabetes is caused by the absolute lack of the secretion of insulin and arises either acutely or subacutely, and includes, insulin dependent diabetes mellitus (IDDM) which requires the insulin-treatment, non insulin dependent diabetes mellitus (NIDDM) which does not always require insulin-treatment, malnutrition-related

diabetes mellitus (MRDM), other complications accompanied with other diseases.

Among the above, a cause of IDDM is estimated to be the destruction of pancreatis ß-cells by auto-immune system. The pancreatis β - cells are considered to be destroyed by HLA antigen, cytokine virus, etc. (cf. Koji NAKANISHI, Tetsuro KOBAYASHI, Mitsuru HARA; Tonyobyogaku (Diabetology) 1989: edited by Mikinori KOSAKA, Yasuo AKANUMA, Shindan-to-Chiryo sha, 1989, pages 226-244). On the other hand, the cause of NIDDM is estimated to be (i) congenital anomaly in pancreatin, i.e. anomaly in adaptability to the increase in insulin consumption, or (ii) disorder in insulin activity induced by various factors such as aging, obesity, stress, etc. (Hiroo IMURA; Tonyobyogaku-no-Shinpo (Progress in Diabetology) 1989, No. 23, edited by Japan Diabetology Association, Shindan-to-Chiryo sha, 1989, pages 1 to 12).

However, the crisis of diabetes is not simple but happens in complicated situation, such as hereditary factors, environmental factors, etc., and it has not been clarified yet.

In viewpoint of the tissue of the patient of NIDDM, the important causes of hyperglycemia is considered to be the decrease in the uptake of glucose at the peripheral tissue, especially at the muscle, and the increase in glucose secretion at the liver. Hitherto, the most common drug therapy for diabetes is treatment with insulin or sulfonylurea agent (agent for promotion of insulin secretion), which are both based on the supplement of insulin, but these treatment have difficulty in strict blood glucose level control, and sometimes they induce hyperinsulin serum or hypoglycemia. Accordingly, it has been considered that a compound which promotes the uptake of glucose at the muscle without promoting the secretion of insulin would be a new kind of hypoglycemic agent without hyperinsulinism nor hypoglycemia and would be useful for treatment of diabetes.

The quinoxaline derivatives of the above formula (1) and salts thereof (hereinafter referred to as the compounds of the present invention) promote the uptake of 2-deoxyglucose (2DG) against L6 cells, cell line of rat

striated muscle (muscular cells) and also promote the consumption of glucose by which they show hypoglycemic activity. Especially, the compounds of the present invention show hypoglycemic activity in db/db mice and KK-Ay mice, which are diabetic animal model (L. Herberg, D.L. Coleman: Metabolism, vol. 26, No. 1 (January), 1977, pp. 59-99). The compounds of the present invention promote the uptake of glucose at the muscle and do not affect the insulin secretion and the glucose release at the liver so that they do not show acute hypoglycemic activity and do not affect oral glucose tolerance test.

Accordingly, the antidiabetic agent of the present invention is useful for treatment of diabetes and diabetic complications such as diabetic blood vessel disorder, diabetic retinopathy, diabetic nephropathy, diabetic neuropathy, etc.

Each group in the above formula (1) specially means the following groups.

The lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms such as methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, pentyl, hexyl, etc.

The halogen atom is fluorine atom, chlorine atom, bromine atom or iodine atom.

The lower alkyl group having optionally a halogen substituent includes, for example, in addition to the above mentioned lower alkyl groups, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms having optionally 1 to 3 halogen substituents, such as trifluoromethyl, trichloromethyl, chloromethyl, bromomethyl, fluoromethyl, iodomethyl, difluoromethyl, dibromo - methyl, 2-chloroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 3-chloropropyl, 2,3-dichloropropyl, 4,4,4-trichlorobutyl, 4-fluorobutyl, 5-chloropentyl, 3-chloro-2 - methylpropyl, 5-bromohexyl, 5,6-dichlorohexyl, 5-bromohexyl, 5,6-dichloro - hexyl, etc.

The morpholino-substituted lower alkyl group includes a morpholino-substituted alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, morpholinomethyl, 2-morpholinoethyl, 1-morpholinoethyl, 3-(2-morpholinyl) - propyl, 4-(3-morpholinyl)butyl, 1,1-dimethyl-2-(2-morpholinyl)ethyl, 5-

morpholinopentyl, 6-morpholinohexyl, 2-methyl-3-morpholinopropyl, etc.

The imidazolyl-substituted lower alkyl group includes an imidazolyl-substituted alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, (1-imidazolyl)methyl, 2-(1-imidazolyl)ethyl, 1-(2-imidazolyl)ethyl, 3-(4-imidazolyl)-propyl, 4-(5-imidazolyl)butyl, 1,1-dimethyl-2-(2-imidazolyl)ethyl, 5-(4-imidazolyl)pentyl, 6-(1-imidazolyl)hexyl, 2-methyl-3-(1-imidazolyl)propyl, etc.

The lower alkylene group includes a straight chain or branched chain alkylene group having 1 to 6 carbon atoms, for example, methylene, ethylene, trimethylene, 2-methyltrimethylene, 2,2-dimethyltrimethylene, 1-methyltrimethylene, methylmethylene, ethylmethylene, tetramethylene, pentamethylene, hexamethylene, etc.

The lower alkoxy group includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, methoxy, ethoxy, propoxy, isopropoxy, butoxy, tert-butoxy, pentyloxy, hexyloxy, etc.

The amino group being optionally substituted by a lower alkyl group includes an amino group which may optionally be substituted by 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, amino, methylamino, ethylamino, propylamino, isopropylamino, butylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino, dihexylamino, N-methyl-N-ethylamino, N-ethyl-N-propylamino, N-methyl-N-butylamino, N-methyl-N-hexylamino, and the like.

The lower alkyl group having optionally a hydroxy substituent includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which may optionally have 1 to 3 hydroxy substituents, for example, hydroxymethyl, 2-hydroxyethyl, 1-hydroxyethyl, 1-hydroxyisopropyl, 3-hydroxy-propyl, 2,3-dihydroxypropyl, 4-hydroxybutyl, 1,1-dimethyl-2-hydroxyethyl, 5,5,4-trihydroxypentyl, 5-hydroxypentyl, 6-hydroxyhexyl, 2-methyl-3-hydroxypropyl, 2,3-dihydroxyethyl, 3,4-dihydroxybutyl, 5,6-dihydroxyhexyl, and the like.

The lower alkenyloxy group includes a straight chain or branched chain alkenyloxy group having 2 to 6 carbon atoms, for example, allyloxy, 2-butenyloxy, 3-butenyloxy, 1-methylallyloxy, 2-pentenyloxy, 2-hexenyloxy, and

the like.

The carboxy-substituted lower alkoxy group includes a carboxyalkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, carboxymethoxy, 2-carboxyethoxy, 1-carboxyethoxy, 3-carboxypropoxy, 4-carboxybutoxy, 5-carboxypentyloxy, 6-carboxyhexyloxy, 1,1-dimethyl-2-carboxyethoxy, 2-methyl-3-carboxypropoxy, and the like.

The lower alkoxycarbonyl-substituted lower alkoxy group includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, for example, methoxycarbonylmethoxy, 3-methoxycarbonylpropoxy, ethoxycarbonylmethoxy, 3-ethoxycarbonylpropoxy, 4-ethoxycarbonylbutoxy, 5-isopropoxycarbonylpentyloxy, 6-propoxycarbonylhexyloxy, 1,1-dimethyl-2-butoxycarbonylethoxy, 2-methyl-3-tert-butoxycarbonylpropoxy, 2-pentyloxycarbonylethoxy, hexyloxycarbonylmethoxy, and the like.

The lower alkoxycarbonyl group includes a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, for example, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, tert-butoxycarbonyl, pentyloxycarbonyl, hexyloxycarbonyl, and the like.

The halogen-substituted lower alkoxy group includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which has 1 to 3 halogen substituents, for example, trifluoromethoxy, trichloromethoxy, chloromethoxy, bromomethoxy, fluoromethoxy, iodomethoxy, difluoromethoxy, dibromomethoxy, 2-chloroethoxy, 2,2,2-trifluoroethoxy, 2,2,2-trichloroethoxy, 3-chloropropoxy, 2,3-dichloropropoxy, 4,4,4-trichlorobutoxy, 4-fluorobutoxy, 5-chloropentyloxy, 3-chloro-2-methylpropoxy, 5-bromohexyloxy, 5,6-dichlorohexyloxy, 5-bromohexyloxy, 5,6-dichlorohexyloxy, and the like.

The hydroxy-substituted lower alkoxy group includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by 1 to 3 hydroxy groups, for example, hydroxymethoxy, 2-hydroxyethoxy, 1-hydroxyethoxy, 1-hydroxypropoxy, 3-hydroxypropoxy, 2,3-dihydroxy-

propoxy, 4-hydroxybutoxy, 1,1-dimethyl-2-hydroxyethoxy, 5,5,4-trihydroxy-pentyloxy, 5-hydroxypentyloxy, 6-hydroxyhexyloxy, 1-hydroxyisopropoxy, 2-methyl-3-hydroxypropoxy, 2,3-dihydroxyethoxy, 3,4-dihydroxybutoxy, 5,6-dihydroxyhexyloxy, and the like.

The phenyl-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkoxy group on the phenyl moiety includes a phenylalkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which may optionally have 1 to 3 substituents selected from a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms and a straight chain or branched chain alkyl group having 1 to 6 carbon atoms on the phenyl moiety, for example, benzyloxy, 2-phenylethoxy, 1-phenylethoxy, 3-phenylpropoxy, 4-phenylbutoxy, 1,1-dimethyl-2-phenylethoxy, 5-phenylpentyloxy, 6-phenyl-hexyloxy, 2-methyl-3-phenylpropoxy, 2-(3-methoxyphenyl)ethoxy, 1-(4-methoxyphenyl)ethoxy, 2-methoxybenzyloxy, 3-(2-ethoxyphenyl)propoxy, 4-(3-ethoxyphenyl)butoxy, 1,1-dimethyl-2-(4-ethoxyphenyl)ethoxy, 5-(4-isopropoxy-phenyl)pentyloxy, 6-(4-hexyloxyphenyl)hexyloxy, 3,4-dimethoxybenzyloxy, 3,4,5-trimethoxybenzyloxy, 2,5-dimethoxybenzyloxy, 3-methoxybenzyloxy, 4-methoxybenzyloxy, 2,4-diethoxybenzyloxy, 2,3-dimethoxybenzyloxy, 2,4-dimethoxybenzyloxy, 2,6-dimethoxybenzyloxy, 2-methylbenzyloxy, 4-ethyl-benzyloxy, 2-(3-methylphenyl)ethoxy, 1-(4-methylphenyl)ethoxy, 3-(2-ethyl-phenyl)propoxy, 4-(3-ethylphenyl)butoxy, 1,1-dimethyl-2-(4-ethylphenyl)ethoxy, 5-(4-isopropylphenyl)pentyloxy, 6-(4-hexyphenyl)hexyloxy, 3,4-dimethyl-benzyloxy, 3,4,5-trimethylbenzyloxy, 2,5-dimethylbenzyloxy, 2-methoxy-3-methylbenzyloxy, and the like.

The 1,3-dioxolanyl group having optionally a lower alkyl substituent includes a 1,3-dioxolanyl group which may optionally be substituted by 1 to 3 straight chain or branched chain alkyl groups having 1 to 6 carbon atoms, for example, 1,3-dioxolanyl, 2-methyl-1,3-dioxolanyl, 4-ethyl-1,3-dioxolanyl, 2-propyl-1,3-dioxolanyl, 4-butyl-1,3-dioxolanyl, 2-pentyl-1,3-dioxolanyl, 4-hexyl-1,3-dioxolanyl, 2,4-dimethyl-1,3-dioxolanyl, 2,4,5-trimethyl-1,3-dioxolanyl, and the like.

The lower alkanoyl group includes a straight chain or branched

chain alkanoyl group having 1 to 6 carbon atoms, for example, formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, t-butylcarbonyl, hexanoyl, and the like.

The morpholino-substituted lower alkoxy group includes a morpholino-substituted alkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, morpholinomethoxy, 2-morpholinoethoxy, 1-morpholinoethoxy, 3-(2-morpholinyl)propoxy, 4-(3-morpholinyl)butoxy, 1,1-dimethyl-2-(2-morpholinyl)-ethoxy, 5-morpholinopentyloxy, 6-morpholinohexyloxy, 2-methyl-3-morpholino-propoxy, and the like.

The phenyl-lower alkyl group includes a phenyl-alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, benzyl, 2-phenylethyl, 1-phenylethyl, 3-phenylpropyl, 4-phenylbutyl, 5-phenylpentyl, 6-phenylhexyl, 1,1-dimethyl-2-phenylethyl, 2-methyl-3-phenylpropyl, and the like.

The phenyl-lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety includes a phenyl-alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and may optionally have 1 to 3 straight chain or branched chain alkoxy substituents having 1 to 6 carbon atoms on the phenyl moiety, for example, in addition to the above mentioned phenyl-lower alkyl group, 2-(3-methoxyphenyl)ethyl, 1-(4-methoxyphenyl)ethyl, 2-methoxbenzyl, 3-methoxy-benzyl, 4-methoxybenzyl, 3-(2-ethoxyphenyl)propyl, 4-(3-ethoxyphenyl)butyl, 1,1-dimethyl-2-(4-ethoxyphenyl)ethyl, 5-(4-isopropoxyphenyl)pentyl, 6-(4-hexyl-oxyphenyl)hexyl, 3,4-dimethoxybenzyl, 2,4-dimethoxybenzyl, 3,4,5-trimethoxy-benzyl, and the like.

The furyl-substituted lower alkyl group includes a furyl-substituted alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, (2-furyl)methyl, 2-(3-furyl)ethyl, 1-(2-furyl)ethyl, 3-(2-furyl)propyl, 4-(3-furyl)butyl, 5-(2-furyl)pentyl, 6-(3-furyl)-hexyl, 1,1-dimethyl-2-(2-furyl)ethyl, 2-methyl-3-(3-furyl)propyl, and the like.

The lower alkoxy-lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for

example, methoxymethyl, 2-ethoxyethyl, 1-methoxyethyl, 3-methoxypropyl, 4 - ethoxybutyl, 6-propoxyhexyl, 5-isopropoxypentyl, 1,1-dimethyl-2-butoxyethyl, 2 - methyl-3-tert-butoxypropyl, 2-pentyloxyethyl, hexyloxymethyl, and the like.

The phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl - lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety includes a phenylalkenyl group wherein the alkenyl moiety is a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms and having 1 to 2 double bonds, and the phenyl moiety may opitionally be substituted by 1 to 3 groups selected from a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, a halogen atom, an amino group having optionally 1 to 2 substituents selected from a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms and a phenylalkenylcarbonyl group wherein the alkenylcarbonyl moiety is a straight chain or branched chain alkenylcarbonyl group having 3 to 6 carbon atoms in the alkenylcarbonyl moiety, a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, a tetrazolyl group having optionally a straight chain or branched chain alkyl group having 1 to 6 carbon atoms on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (A_4 is a straight chain or branched chain alkylene group having 1 to 6 carbon atoms, R^{40} and R^{41} are the same or different and each hydrogen atom or a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6 - membered saturated heterocyclic ring with or without being intervened with another nitrogen atom or oxygen atom), a straight chain or branched chain alkylenyloxy group having 2 to 6 carbon atoms, nitro group, and a straight chain or branched chain alkyl group having 1 to 6 carbon atoms having

optionally 1 to 3 halogen substituents, for example, styryl, cinnamyl, 4-phenyl-3-butenyl, 4-phenyl-2-butenyl, 5-phenyl-4-pentenyl, 5-phenyl-3-pentenyl, 5-phenyl-2-pentenyl, 6-phenyl-5-hexenyl, 6-phenyl-4-hexenyl, 6-phenyl-3-hexenyl, 6-phenyl-2-hexenyl, β-methyl-4-phenyl-3-butenyl, β-methyl-cinnamyl, γ-methyl-cinnamyl, 5-phenyl-2,4-pentadienyl, 4-phenyl-1,3-butadienyl, 6-phenyl-2,4-hexadienyl, 6-phenyl-2,4-hexadienyl, 6-phenyl-3,5-hexadienyl, 6-phenyl-1,3-hexadienyl, 5-phenyl-1,3-pentadienyl, 3-methoxycinnamyl, 4-methoxycinnamyl, 2-methoxycinnamyl, 4-methoxystyryl, (2-ethoxyphenyl)-2-butenyl, 5-(3-ethoxyphenyl)-4-pentenyl, α,α-dimethyl-4-ethoxycinnamyl, 5-(4-isopropoxyphenyl)-2,4-pentadienyl, 6-(4-hexyloxyphenyl)-2-hexenyl, 3,4-dimethoxycinnamyl, 3,4,5-trimethoxystyryl, 2,5-dimethoxystyryl, 3-methoxy-styryl, 4-methoxystyryl, 2,4-diethoxystyryl, 2,3-dimethoxycinnamyl, 2,4-dimethoxycinnamyl, 2,6-dimethoxycinnamyl, 2-nitrocinnamyl, 3-nitrocinnamyl, 4-nitrocinnamyl, 4-(2-nitrophenyl)-3-butenyl, 4-(3-nitrophenyl)-2-butenyl, 5-(2-nitrophenyl)-2-pentenyl, 6-(3-nitrophenyl)-3-hexenyl, 3,4-dinitrocinnamyl, 3,4,5-trinitrocinnamyl, 2-nitrostyryl, 3-nitrostyryl, 4-nitrostyryl, 3-methylcinnamyl, 2-methylstyryrl, 4-methylcinnamyl, 2-ethylcinnamyl, 4-isopropylcinnamyl, 4-(3-ethylphenyl)-3-butenyl, α-methyl-4-isopropylcinnamyl, 5-(4-isopropylphenyl)-2-pentenyl, 6-(4-hexylphenyl)-2-hexenyl, 3,4-dimethylcinnamyl, 3,4,5-trimethyl-cinnamyl, 2,5-dimethylcinnamyl, 2-chlorocinnamyl, 3-chlorostyryl, 2-fluoro-cinnamyl, 4-chlorocinnamyl, 2-fluorostyryl, 4-(3-fluorophenyl)-2-butenyl, 5-(4-fluorophenyl)-2-pentenyl, α,α-dimethyl-2-bromocinnamyl, 6-(3-bromophenyl)-2-hexenyl, 4-bromostyryl, 2-iodocinnamyl, 3-iodostyryl, 3,4-dichlorocinnamyl, 3,5-dichlorocinnamyl, 2,6-dichlorostyryl, 2,3-dichlorocinamyl, 2,4-dichlorostyryl, 3,4-difluorocinnamyl, 3,5-dibromocinnamyl, 3,4,5-trichlorocinnamyl, 2-methoxy-3-chlorocinnamyl, 3-(4-acetylaminophenyl)-2-butenyl, 3-(2-trifluoromethylphenyl)-2-butenyl, 3-[4-(1-methyltetrazol-5-yl)phenyl]-2-butenyl, 3-(4-cinnamoylamino-phenyl)-2-butenyl, 3-(3-methoxymethoxyphenyl)-2-butenyl, 3-(2-methoxy-phenyl)-2-butenyl, 3-(3-methoxyphenyl)-2-butenyl, 3-(4-trifluoromethylphenyl)-2-butenyl, 3-(3-trifluoromethylphenyl)-2-butenyl, 3-(3-acetylaminophenyl)-2-butenyl, 3-(3-hydroxyphenyl)-2-butenyl, 3-(3-morpholinocarbonylmethoxy-

phenyl)-2-butenyl, 3-(3-diethylaminocarbonylmethoxyphenyl)-2-butenyl, 3-[3-(2-methyl-2-propenyloxy)phenyl]-2-butenyl, 4-chloromethylstyryl, 3-bromomethylcinnamyl, 4-(2-iodomethylphenyl)-3-butenyl, 4-[4-(2,2,2-trichloroethyl)phenyl]-2-butenyl, 5-(4-aminophenyl)-4-pentenyl, 5-(3-propionylaminophenyl)-2-pentenyl, 6-(2-butyrylaminophenyl)-5-hexenyl, 6-(4-pentanoylaminophenyl)-4-hexenyl, 6-(3-hexanoylaminophenyl)-3-hexenyl, 6-(2,4-diaminophenyl)-2-hexenyl, 2,4,6-triaminocinnamyl, 4-(3-butenoylamino)styryl, 3-(2-pentenoylamino)cinnamyl, 4-[2-(4-hexenoylamino)phenyl]-3-butenyl, 4-[4-(4-ethoxybutoxy)phenyl]-2-butenyl, 3-[4-(N-acetyl-N-cinnamoylamino)phenyl]-2-butenyl, 5-[2-(6-propoxyhexyloxy)phenyl]-4-pentenyl, 6-[3-(2-pentyloxyethoxy)phenyl]-2-pentenyl, 6-(4-hexyloxymethoxyphenyl)-5-hexenyl, 6-[2-(1,1-dimethyl-2-butoxyethoxy)phenyl]-3-hexenyl, 3-(2,4-dimethoxymethoxyphenyl)-2-butenyl, 3-(2,4,6-trimethoxyphenyl)-2-butenyl, 3-[4-(1-ethyltetrazol-5-yl)phenyl]-2-butenyl, 3-[3-(2-propyltetrazol-5-yl)phenyl]-2-butenyl, 3-[2-(1-butyltetrazol-5-yl)phenyl]-2-butenyl, 3-[4-(2-pentyltetrazol-5-yl)phenyl]-2-butenyl, 3-[3-(1-hexyltetrazol-5-yl)-phenyl]-2-butenyl, 2-hydroxycinnamyl, 3-hydroxycinnamyl, 4-hydroxycinnamyl, 4-(2-hydroxyphenyl)-3-butenyl, 5-(2-hydroxyphenyl)-2-pentenyl, 6-(3-hydroxyphenyl)-3-hexenyl, 2,4-dihydroxycinnamyl, 3,4,5-trihydroxycinnamyl, 4-hydroxycinnamyl, 4-allyloxystyryl, 3-(2-butenyloxy)cinnamyl, 4-[2-(3-butenyloxy)phenyl]-3-butenyl, 5-[3-(2-pentenyloxy)phenyl]-2-pentenyl, 6-[4-(2-hexenyloxy)phenyl]-4-hexenyl, 2,4-diallyloxycinnamyl, 2,4,6-triallyloxystyryl, 3-(2-dimethylaminocarbonylethoxyphenyl)-2-butenyl, 4-[4-(3-butylaminocarbonylpropoxy)phenyl]-3-butenyl, 5-[2-(4-pentylaminocarbonylbutoxy)phenyl]-3-pentenyl, 6-[3-(5-hexylaminocarbonylpentyloxy)phenyl]-5-hexenyl, 4-[6-(N-methyl-N-propylamino)-carbonylhexyloxy]styryl, 4-methylaminocarbonylmethoxycinnamyl, 4-(1-piperidinyl)carbonylmethoxycinnamyl, 3-(1-piperazinyl)carbonylmethoxystyryl, 4-[3-(1-pyrrolidinyl)carbonylmethoxyphenyl]-3-butenyl, 3-(2-methoxy-5-chlorophenyl)-2-butenyl, 3-(2-methoxymethoxy-5-chlorophenyl)-2-butenyl, 3-(2-hydroxy-5-chlorophenyl)-2-butenyl, and the like.

The alkenyl group includes a straight chain or branched chain alkenyl group with 1 to 3 double bonds having 2 to 12 carbon atoms, for example, vinyl, allyl, 3-methyl-2-butenyl, 2-butenyl, 3-butenyl, 1-methylallyl, 2-pentenyl, 2-hexenyl, 1-heptenyl, 1-octenyl, 1-nonenyl, 1-decenyl, 1-undecenyl,

2-dodecenyl, 2-heptenyl, 3-heptenyl, 3-methyl-4-heptenyl, 2-methyl-5-heptenyl, 4-methyl-2-heptenyl, 3-methyl-1-heptenyl, 1,3-heptadienyl, 1,4-heptadienyl, 1,5-heptadienyl, 1,6-heptadienyl, 2,4-heptadienyl, 2-methyl-2,4-heptadienyl, 2,6-dimethyl-2,4-heptadienyl, 2,5-dimethyl-1,3-heptadienyl, 2,4,6-trimethyl-2,4-heptadienyl, 2-octenyl, 3-octenyl, 4-octenyl, 2-methyl-5-octenyl, 3-methyl-6-octenyl, 2-methyl-7-octenyl, 1,3-octadienyl, 1,4-octadienyl, 1,5-octadienyl, 1,6-octadienyl, 1,7-octadienyl, 2,4-octadienyl, 3,7-octdienyl, 4,8-dimethyl-3,7-octadienyl, 2,4,6-trimetyl-3,7-octadienyl, 3,4-dimethyl-2,5-octadienyl, 3,7-dimethyl-2,6-octadienyl, 4,8-dimethyl-2,6-octadienyl, 2-nonenyl, 3-nonenyl, 4-nonenyl, 2-methyl-5-nonenyl, 2-methyl-6-nonenyl, 2-methyl-7-nonenyl, 2-methyl-8-nonenyl, 1,3-nonadienyl, 1,4-nonadienyl, 1,5-nonadienyl, 1,6-nonadienyl, 1,7-nonadienyl, 1,8-nonadienyl, 2,4-nonadienyl, 3,7-nonadienyl, 4,8-dimethyl-3,7-nonadienyl, 2,4,6-trimethyl-3,7-nonadienyl, 3,4-dimethyl-2,5-nonadienyl, 4,8-dimethyl-2,6-nonadienyl, 2-decenyl, 3-decenyl, 4-decenyl, 5-decenyl, 2-methyl-6-decenyl, 3-methyl-7-decenyl, 4-methyl-8-decenyl, 5-methyl-9-decenyl, 1,3-decadienyl, 1,4-decadienyl, 1,5-decadienyl, 1,6-decadienyl, 1,7-decadienyl, 1,8-decadienyl, 1,9-decadienyl, 2-methyl-2,4-decadienyl, 3-methyl-2,5-decadienyl, 4,8-dimethyl-2,6-decadienyl, 2,4,6-trimethyl-3,7-decadienyl, 2,9-dimethyl-3,7-decadienyl, 2-undecenyl, 3-undecenyl, 4-undecenyl, 5-undeceyl, 2-methyl-6-undecenyl, 3-methyl-7-undecenyl, 4-methyl-8-undecenyl, 5-methyl-9-undecenyl, 2-methyl-10-undecenyl, 1,3-undecadienyl, 1,4-undecadienyl, 1,5-undecadienyl, 1,6-undecadienyl, 1,7-undecadienyl, 1,8-undecadienyl, 1,9-undecadienyl, 1,10-undecadienyl, 2-methyl-2,4-undecadienyl, 3-methyl-2,5-undecadienyl, 4,8-dimethyl-2,6-undecadienyl, 2,4,6-trimethyl-3,8-undecadienyl, 2,9-dimethyl-3,8-undecadienyl, 2-dodecenyl, 3-dodecenyl, 4-dodecenyl, 5-dodecenyl, 6-dodecenyl, 2-methyl-7-dodecenyl, 3-methyl-8-dodecenyl, 4-methyl-9-dodecenyl, 5-methyl-10-dodecenyl, 6-methyl-11-dodecenyl, 2-methyl-2,4-dodecadienyl, 3-methyl-2,5-dodecadienyl, 4,8-dimethyl-2,6-dodecadienyl, 2,4,6-trimethyl-2,7-dodecadienyl, 2,10-dimethyl-2,8-dodecadienyl, 2,5-dimethyl-3,7-dodecadienyl, 4,8,12-trimethyl-3,7,11-dodecatrienyl, 1,3,5-heptatrienyl, 2,4,6-octatrienyl, 1,3,6-nonatrienyl, 2,6,8-dodecatienyl, 1,5,7-undecatrienyl, and the like.

The cycloalkyl-lower alkyl group includes a straight chain or

branched chain alkyl group having 1 to 6 carbon atoms, which is substituted by a cycloalkyl group having 3 to 8 carbon atoms, for example, cyclohexylmethyl, 2-cyclopropylethyl, 1-cyclobutylethyl, 3-cyclopentylpropyl, 4-cyclohexylbutyl, 2,2-dimethyl-3-cycloheptylpropyl, 5-cyclooctylpentyl, 6-cyclohexylhexyl, and the like.

The naphthyl-lower alkyl group includes a naphthyl-alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, α-naphthylmethyl, β-naphthylmethyl, 2-(α-naphthyl)ethyl, 1-(β-naphthyl)ethyl, 3-(β-naphthyl)propyl, 4-(α-naphthyl) - butyl, 2-methyl-3-(α-naphthyl)propyl, 5-(β-naphthyl)pentyl, 6-(α-naphthyl)hexyl, 1,1-dimethyl-2-(β-naphthyl)ethyl, and the like.

The phenylthio-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety includes a phenylthio-alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and may optionally have 1 to 3 substituents of straight chain or branched chain alkoxy group having 1 to 3 carbon atoms on the phenyl moiety, for example, phenylthiomethyl, 2-phenylthioethyl, 1 - phenylthioethyl, 3-phenylthiopropyl, 4-phenylthiobutyl, 5-phenylthiopentyl, 6 - phenylthiohexyl, 1,1-dimethyl-2-phenylthioethyl, 2-methyl-3-phenylthiopropyl, (2-methoxyphenylthio)methyl, (3-methoxyphenylthio)methyl, 2-(4-methoxy - phenylthio)ethyl, 1-(2-ethoxyphenylthio)ethyl, 3-(4-isopropoxyphenylthio) - propyl, 4-(3-pentyloxyphenylthio)butyl, 5-(4-hexyloxyphenylthio)pentyl, 6-(2 - butyloxyphenylthio)hexyl, (3,4-dimethoxyphenylthio)methyl, (3-ethoxy-4 - methoxyphenylthio)methyl, 2-(2,3-dimethoxyphenylthio)ethyl, 1-(2,6-dimethoxy - phenylthio)ethyl, 2-(3,4,5-trimethoxyphenylthio)ethyl, and the like.

The phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety includes a phenylsulfinylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, and may optionally have 1 to 3 substituents of straight chain or branched chain alkoxy group having 1 to 6 carbon atoms on the phenyl moiety, for example, phenylsulfinylmethyl, 2-phenylsulfinylethyl, 1-

phenylsulfinylethyl, 3-phenylsulfinylpropyl, 4-phenylsulfinylbutyl, 5-phenyl - sulfinylpentyl, 6-phenylsulfinylhexyl, 1,1-dimethyl-2-phenylsulfinylethyl, 2 - methyl-3-phenylsulfinylpropyl, (2-methoxyphenylsulfinyl)methyl, (3-methoxy - phenylsulfinyl)methyl, 2-(4-methoxyphenylsulfinyl)ethyl, 1-(2-ethoxyphenyl - suflinyl)ethyl, 3-(4-isopropoxyphenylsulfinyl)propyl, 4-(3-pentyloxyphenyl - sulfinyl)butyl, 5-(4-hexyoxyphenylsulfinyl)pentyl, 6-(2-butyloxyphenylsulfinyl) - hexyl, (3,4-dimethoxyphenylsulfinyl)methyl, 3-ethoxy-4-methoxyphenylsulfinyl) - methyl, 2-(2,3-dimethoxyphenylsulfinyl)ethyl, 1-(2,6-dimethoxyphenylsulfinyl) - ethyl, 2-(3,4,5-trimethoxyphenylsulfinyl)ethyl, and the like.

The phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety includes a phenylsulfonyl-alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, which may optionally have 1 to 3 substituents of straight chain or branched chain alkoxy group having 1 to 6 carbon atoms on the phenyl moiety, for example, phenylsulfonyl - methyl, 2-phenylsulfonylethyl, 1-phenylsulfonylethyl, 3-phenylsulfonylpropyl, 4 - phenylsulfonylbutyl, 5-phenylsulfonylpentyl, 6-phenylsulfonylhexyl, 1,1 - dimethyl-2-phenylsulfonylethyl, 2-methyl-3-phenylsulfonylpropyl, (2-methoxy - phenylsulfonyl)methyl, (3-methoxyphenylsulfonyl)methyl, 2-(4-methoxyphenyl - sulfonyl)ethyl, 1-(2-ethoxyphenylsulfonyl)ethyl, 3-(4-isopropoxyphenylsulfonyl) - propyl, 4-(3-pentyloxyphenylsulfonyl)butyl, 5-(4-hexyloxyphenylsulfonyl)pentyl, 6-(2-butyloxyphenylsulfonyl)hexyl, 3,4-dimethoxyphenylsulfonylmethyl, 3 - ethoxy-4-methoxyphenylsulfonyl)methyl, 2-(2,3-dimethoxyphenylsulfonyl)ethyl, 1-(2,6-dimethoxyphenylsulfonyl)ethyl, 2-(3,4,5-trimethoxyphenylsulfonyl)ethyl, and the like.

The 5- to 14-membered saturated or unsaturated hetero - monocyclic, heterobicyclic or heterotricyclic group having 1 to 4 heteroatoms selected from nitrogen atom, oxygen atom and sulfur atom includes, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, pyridyl, thienyl, quinolyl, 1,4-dihydroquinolyl, benzothiazolyl, pyrazinyl, pyrimidyl, pyridazinyl, pyrrolyl, carbostyril, 3,4-dihydrocarbostyril, 1,2,3,4-tetrahydroquinolyl, indolyl, isoindolyl, indolinyl, benzimidazolyl, benzoxazolyl, imidazolidinyl, isoquinolyl, quinazolidinyl, quinoxalinyl, cinnonyl, phthalazinyl, chromanyl, isoindolinyl,

isochromanyl, pyrazolyl, imidazolyl, pyrazolidinyl, 2,3-dihydrobenzofuryl, perhydrobenzofuryl, benzofuryl, benzothienyl, 4H-chromenyl, 1,3,4 - oxadiazolyl, 1,2,4-triazolyl, 1,2,3,4-tetrazolyl, 1,3,4-triazolyl, 1,2,4-oxadiazolyl, 2,3-dihydrobenzofuryl, perhydrobenzofuryl, 5-1H-indazolyl, furyl, pyrrolinyl, nolyl, oxazolyl, isoxazolyl, thiazolyl, thiazolidinyl, 1,2,3,5-oxathiadiazolyl, isothiazolyl, pyranyl, pyrazolidinyl, quinuclidinyl, 1,4-benzoxazinyl, 3,4-dihydro - 2H-1,4-benzoxazinyl, 1,4-benzothiazinyl, 1,2,3,4-tetrahydroquinoxalinyl, 1,3 - dithia-2,4-dihydronaphthalenyl, 1,4-dithianaphthalenyl, furo[3,2-c]pyridyl, furo[2,3-g]quinolyl, 3,4-dihydrofuro[2,3-g]quinolyl, 1,2,3,4-tetrahydrofuro[2,3 - g]quinolyl, 1,4-benzodioxanyl, 1,2,4-triazinyl, naphtho[2,1-b]furyl, imidazo[1,2 - a]pyridyl, and the like.

The lower alkyl group which is substituted by a 5- to 14 - membered saturated or unsaturated heteromonocyclic, heterobicyclic or heterotricyclic group having 1 to 4 heteroatoms selected from nitrogen atom, oxygen atom and sulfur atom includes, for example, pyrrolidinylmethy, 2 - piperidinylethyl, 3-piperazinylpropyl, 4-morpholinobutyl, (2-pyridyl)methyl, (3 - pyridyl)methyl, (2-thienyl)methyl, (3-quinolyl)methyl, 5-(6-quinolyl)pentyl, 6-(1,4 - dihydro-2-quinolyl)hexyl, (2-benzothiazolyl)methyl, 2-(3-pyrazinyl)ethyl, 1-(2 - pyrimidyl)ethyl, 3-(3-pyridazinyl)propyl, 4-(2-pyrrolyl)butyl, 5-(3-carbostyril) - pentyl, 6-(3,4-dihydrocarbostyril-6-yl)hexyl, (1,2,3,4-tetrahydroquinolyl-8 - yl)methyl, (2-indolyl)methyl, (3-indolyl)methyl, 2-(3-indolyl)ethyl, (4-isoindolyl) - methyl, 2-(3-indolinyl)ethyl, (2-benzoimidazolyl)methyl, 3-(5-benzoxazolyl) - propyl, 4-(4-imidazolidinyl)butyl, 5-(1-isoquinolyl)pentyl, 6-(7-quinazolidinyl) - hexyl, (8-quinoxalinyl)methyl, 1-(4-cinnolinyl)ethyl, 3-(5-phthalazinyl)propyl, 4 - (6-chromanyl)butyl, 5-(4-isoindolinyl)pentyl, 6-(7-isochromanyl)hexyl, (3 - pyrazolyl)methyl, 2-(2-imidzolyl)ethyl, 3-(3-pyrazolidinyl)propyl, (2-benzofuryl) - methyl, (3-benzofuryl)methyl, 4-(6-benzofuryl)butyl, (2-benzothienyl)methyl, (3 - benzothienyl)methyl, 5-(5-benzothienyl)pentyl, [6-(4H-chromenyl)]methyl, (2,3 - dihydro-2-benzofuryl)methyl, (2-perhydrobenzofuryl)methyl, (5-1H-indazolinyl) - methyl, thienylmethyl, 1-(5-isoindolinyl)ethyl, 3-(2-imidazolinyl)propyl, 4-(2 - pyrrolinyl)butyl, (2-furyl)methyl, (4-oxazolyl)methyl, (5-oxazolyl)methyl, 5-(4 - oxazolyl)pentyl, 6-(3-isoxazolyl)hexyl, (4-thiazolyl)methyl, (2-thiazolyl)methyl, 2 - (3-isothiazolyl)ethyl, (2-pyranyl)methyl, 3-(3-pyrazolidinyl)propyl, 4-(2-

pyrazolinyl)butyl, 5-(2-quinuclidinyl)pentyl, (1,4-benzoxazin-6-yl)methyl, (3,4-dihydro-2H-1,4-benzoxazin-2-yl)methyl, (1,4-benzothiazin-5-yl)methyl, (1,2,3,4-tetrahydroquinoxalinyl-6-yl)methyl, (1,3-dithia-2,4-dihydronaphthalen-6-yl)-methyl, (1,4-dithianaphthalen-7-yl)methyl, (5-thiazolyl)methyl, (1,3,4-oxadiazolin-5-yl)methyl, (1,2,4-triazol-5-yl)methyl, (1,2,3,4-tetrazol-5-yl)methyl, (1,3,4-triazol-5-yl)methyl, (1,2,4-oxadiazol-5-yl)methyl, (1,2,4-triazin-3-yl)-methyl, (thiazolidin-5-yl)methyl, (1,2,3,5-oxathiazolin-4-yl)methyl, (3-furyl)-methyl, (2-furyl)methyl, (2-imidazolyl)methyl, 2-(5-thiazolyl)ethyl, 1-(1,3,4-oxadiazolin-2-yl)ethyl, 3-(1,2,4-triazol-3-yl)propyl, 4-(1,2,3,4-tetrazol-5-yl)butyl, 6-(1,3,4-triazol-2-yl)hexyl, 2-(1,2,4-oxadiazol-3-yl)ethyl, 1-(1,2,4-triazin-5-yl)-ethyl, 3-(thiazolidin-2-yl)propyl, 4-(1,2,3,5-oxathiadiazolin-4-yl)butyl, 5-(furo[3,2-c]pyridin-2-yl)pentyl, 6-(furo[2,3-g]quinolin-7-yl)hexyl, (3,4-dihydrofuro[2,3-g]-quinolin-8-yl)methyl, 2-(1,2,3,4-tetrahydrofuro[2.3-g]quinolin-4-yl)ethyl, (1,4-benzodioxan-2-yl)methyl, 1-(1,4-benzodioxadin-3-yl)ethyl, (2,3-dihydrobenzo-furan-2-yl)methyl, (perhydrobenzofuran-2-yl)methyl, naphtho[2,1-b]furylmethyl, 4-(naphtho[2,1-b]furyl)pentyl, imidazo[1,2-a]pyridylmethyl, 2-(imidazo[1,2-a]-pyridyl)ethyl, 1-(imidazo[1,2-a]pyridyl)ethyl, and the like.

The phenoxy-substituted lower alkyl group includes a phenoxyalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, phenoxymethyl, 2-phenoxyethyl, 1-phenoxyethyl, 3-phenoxypropyl, 4-phenoxybutyl, 5-phenoxy-pentyl, 6-phenoxyhexyl, 1,1-dimethyl-2-phenoxyethyl, 2-methyl-3-phenoxy-propyl, and the like.

The phenyl-lower alkoxy group which may optionally have an amino substituent having optionally a lower alkanoyl substituent on the phenyl moiety includes a phenylalkoxy group, wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, which may optionally have 1 to 3 substituents of amino group having optionally a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, benzyloxy, 2-phenylethoxy, 1-phenylethoxy, 3-phenylpropoxy, 4-phenylbutoxy, 5-phenylpentyloxy, 6-phenylhexyloxy, 1,1-dimethyl-2-phenyl-ethoxy, 2-methyl-3-phenylpropoxy, 4-acetylaminobenzyloxy, 2-(2-propionyl-aminophenyl)ethoxy, 1-(3-butyrylaminophenyl)ethoxy, 3-(4-pentanoylamino-

phenyl)propoxy, 4-(3-tert-butylcarbonylaminophenyl)butoxy, 5-(4-hexanoyl - aminophenyl)pentyloxy, 6-(3,4-bisacetylaminophenyl)hexyloxy, 3,4,5-triacetyl - aminobenzyloxy, 2,4-bisacetylaminobenzyloxy, 4-aminobenzyloxy, 2,3 - diaminobenzyloxy, 2,4,6-triaminobenzyloxy, 2-(3-aminophenyl)ethoxy, 3-(2 - aminophenyl)propoxy, and the like.

The lower alkoxy-substituted lower alkoxy group includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, methoxymethoxy, 3-methoxypropoxy, 4 - ethoxybutoxy, 6-propoxyhexyloxy, 5-isopropoxypentyloxy, 1,1-dimethyl-2 - butoxyethoxy, 2-methyl-3-tert-butoxypropoxy, 2-pentyloxyethoxy, hexyloxy - methoxy, and the like.

The lower alkanoyloxy-substituted lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkanoyloxy group having 2 to 6 carbon atoms, for example, acetyloxymethyl, 2,2-dimethylpropionyloxy - methyl, propionyloxymethyl, 2-propionyloxyethyl, 1-acetyloxyethyl, 1-butyryl - oxyethyl, 3-acetyloxypropyl, 4-isobutyryloxybutyl, 5-pentanoyloxypentyl, 6-tert - butylcarbonyloxyhexyl, 1,1-dimethyl-2-hexanoyloxyethyl, 2-methyl-3-acetyloxy - propyl, and the like.

The halogen-substituted lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by 1 to 3 halogen atoms, for example, trifluoromethyl, trichloro - methyl, chloromethyl, bromomethyl, fluoromethyl, iodomethyl, difluoromethyl, dibromomethyl, 2-chloroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 3 - chloropropyl, 2,3-dichloropropyl, 4,4,4-trichlorobutyl, 4-fluorobutyl, 5-chloro - pentyl, 3-chloro-2-methylpropyl, 5-bromohexyl, 5,6-dichlorohexyl, 5-bromo - hexyl, 5,6-dichlorohexyl, and the like.

The tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring includes a tetrazolyl group having optionally a straight chain or branched chain alkyl group having 1 to 6 carbon atoms on the tetrazole ring, for example, tetrazolyl, 1-methyltetrazolyl, 2-methyltetrazolyl, 5-ethyltetrazolyl, 5 - propyltetrazolyl, 1-butyltetrazolyl, 2-pentyltetrazolyl, 1-hexyltetrazolyl, and the

like.

The phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy-substituted lower alkoxy group, hydroxy group, a halogen atom and a lower alkoxy group includes a phenyl group which may optionally have 1 to 3 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, hydroxy group, a halogen atom and a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, phenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-ethylphenyl, 3-ethylphenyl, 4-ethylphenyl, 3-isopropylphenyl, 4-hexylphenyl, 3,4-dimethylphenyl, 2,5-dimethylphenyl, 3,4,5-trimethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 4-isopropoxyphenyl, 4-pentyloxyphenyl, 2,4-dimethoxyphenyl, 4-hexyloxyphenyl, 3,4-dimethoxyphenyl, 3-ethoxy-4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-diethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3,4-dipentyloxyphenyl, 3,4,5-trimethoxyphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 2-iodophenyl, 3-iodophenyl, 4-iodophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-difluorophenyl, 3,5-dibromophenyl, 3,4,5-trichlorophenyl, 2-methoxy-5-chlorophenyl, 3-chloro-4-methoxyphenyl, 3-methoxy-5-iodophenyl, 3,4-dimethoxy-5-bromophenyl, 3,5-diiodo-4-methoxyphenyl, 2-hydroxy-5-chlorophenyl, 2-methoxymethoxy-5-chlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2,3-dihydroxyphenyl, 3,4-dihydroxyphenyl, 3,4,5-trihydroxyphenyl, 2-methoxymethoxyphenyl, 3-(3-methoxypropoxy)phenyl, 4-(4-ethoxybutoxy)phenyl, 2-(6-propoxyhexyloxy)phenyl, 3-(5-isopropoxypentyloxy)phenyl, 4-(1,1-dimethyl-2-butoxyethoxy)phenyl, 2-(2-methyl-3-tert-butoxypropoxy)phenyl, 3-(2-pentyloxyethoxy)phenyl, 4-hexyloxymethoxyphenyl, 2,3-dimethoxymethoxyphenyl, 3,4,5-trimethoxymethoxyphenyl, and the like.

The lower alkenyl group includes a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms, for example, vinyl, allyl, 2-

butenyl, 3-butenyl, 1-methylallyl, 2-pentenyl, 2-hexenyl, and the like.

The morpholinocarbonyl-lower alkoxy group includes a morpholinocarbonylalkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxyl group having 1 to 6 carbon atoms, for example, morpholinocarbonylmethoxy, 2-morpholinocarbonylethoxy, 1-morpholino - carbonylethoxy, 3-(2-morpholinocarbonyl)propoxy, 4-(3-morpholinocarbonyl) - butoxy, 1,1-dimethyl-2-(2-morpholinylcarbonyl)ethoxy, 5-morpholinylcarbonyl - pentyloxy, 6-morpholinocarbonylhexyloxy, 2-methyl-3-morpholinocarbonyl - propoxy, and the like.

The morpholinocarbonyl-lower alkyl group includes a morpholinocarbonylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, morpholinocarbonylmethyl, 2-morpholinocarbonylethyl, 1-morpholinocarbonyl - ethyl, 3-(2-morpholinocarbonyl)propyl, 4-(3-morpholinocarbonyl)butyl, 1,1 - dimethyl-2-(2-morpholinocarbonyl)ethyl, 5-morpholinocarbonylpentyl, 6 - morpholinocarbonylhexyl, 2-methyl-3-morpholinocarbonylpropyl, and the like.

The cycloalkylcarbonyl group includes a cycloalkylcarbonyl group having 3 to 8 carbon atoms in the cycloalkyl moiety, for example, cyclopropyl - carbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, cycloheptylcarbonyl, cyclooctylcarbonyl, and the like.

The phenyl-lower alkenylcarbonyl group includes a phenylalkenyl - carbonyl group wherein the alkenylcarbonyl moiety is a straight chain or branched chain alkenylcarbonyl group having 3 to 6 carbon atoms in the alkenylcarbonyl moiety, for example, cinnamoyl, 4-phenyl-2-butenoyl, 4-phenyl - 3-butenoyl, 5-phenyl-4-pentenoyl, 5-phenyl-3-pentenoyl, 5-phenyl-2 - pentenoyl, 6-phenyl-5-hexenoyl, 6-phenyl-4-hexenoyl, 6-phenyl-3-hexenoyl, 6 - phenyl-2-hexenoyl, 2-methyl-4-phenyl-3-butenoyl, 2-methyl-cinnamoyl, 1 - methyl-cinnamoyl, and the like.

The 5- to 6-membered saturated heterocyclic group which is formed by combining R^6 and R^7, R^9 and R^{10}, R^{40} and R^{41} or R^{52} and R^{53} together with the adjacent nitrogen atom with or without being intervening with nitrogen atom or oxygen atom, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, and the like.

The above heterocyclic group having 1 to 3 substituents selected from hydroxy group, a lower alkyl group and a phenyl-lower alkyl group includes the above mentioned heterocyclic groups having 1 to 3 sustituents selected from hydroxy group, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, and a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, 3-hydroxypyrrolidinyl, 2-hydroxypyrrolidinyl, 4-hydroxypiperidinyl, 3-hydroxypiperidinyl, 2-hydropiperidinyl, 3-hydroxypiperazinyl, 2-hydroxy - piperazinyl, 3-hydroxymorpholino, 2-hydroxymorpholino, 4-benzylpiperazinyl, 3-(2-phenylethyl)pyrrolidinyl, 2-(3-phenylpropyl)pyrrolidinyl, 4-(4-phenylbutyl) - piperidinyl, 3-(5-phenylpentyl)morpholino, 2-(6-phenylhexyl)piperazinyl, 4 - methylpiperazinyl, 3,4-dimethylpiperazinyl, 3-ethylpyrrolidinyl, 2-propyl - pyrrolidinyl, 3,4,5-trimethylpiperidinyl, 4-butylpiperidinyl, 3-pentylmorpholino, 2 - hexylpiperazinyl, 3-methyl-4-benzylpiperazinyl, 3-ethyl-4-hydroxypiperidinyl, 3 - methyl-4-benzylpyrrolidinyl, and the like.

The amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group includes an amino group having optionally 1 to 2 substituents selected from a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms and a phenylalkenylcarbonyl group wherein the alkenylcarbonyl moiety is a straight chain or branched chain alkenylcarbonyl group having 3 to 6 carbon atoms in the alkenylcarbonyl moiety, for example, amino, formylamino, acetylamino, propionylamino, butyrylamino, isobutyrylamino, pentanoylamino, t-butyl - carbonylamino, hexanoylamino, cinnamoylamino, 4-phenyl-3-butenoylamino, 4-phenyl-2-butenoylamino, 5-phenyl-4-pentenoylamino, 5-phenyl-3-pentenoyl - amino, 5-phenyl-2-pentenoylamino, 6-phenyl-5-hexenoylamino, 6-phenyl-4 - hexenoylamino, 6-phenyl-3-hexenoylamino, 6-phenyl-2-hexenoylamino, 2 - methyl-4-phenyl-3-butenoylamino, 2-methyl-cinnamoylamino, 1-methyl - cinnamoylamino, N-acetyl-N-cinnamoylamino, and the like.

The amino group having optionally a lower alkanoyl substituent includes an amino group having optionally a substituent of a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, amino, formylamino, acetyamino, propionylamino, butyrylamino, isobutyryl-

amino, pentanoylamino, tert-butylcarbonylamino, hexanoylamino, and the like.

The alkylsufinyl group includes a straight chain or branched chain alkylsulfinyl group having 1 to 6 carbon atoms, for example, methylsulfinyl, ethylsulfinyl, isopropylsulfinyl, butylsulfinyl, tert-butylsulfinyl, pentylsulfinyl, hexylsulfinyl, and the like.

The lower alkylthio group includes a straight chain or branched chain alkylthio group having 1 to 6 carbon atoms, for example, methylthio, ethylthio, propylthio, isopropylthio, butylthio, tert-butylthio, pentylthio, hexylthio, and the like.

The lower alkylsulfonyl group includes a straight chain or branched chain alkylsulfonyl group having 1 to 6 carbon atoms, for example, methylsulfonyl, ethylsulfonyl, isopropylsulfonyl, butysulfonyl, tert-butysulfonyl, pentylsulfonyl, hexylsulfonyl, and the like.

The lower alkanoyloxy group includes a straight chain or branched chain alkanoyloxy group having 1 to 6 carbon atoms, for example, formyloxy, acetyloxy, propionyloxy, butyryloxy, isobutyryloxy, pentanoyloxy, tert - butylcarbonyloxy, hexanoyloxy, and the like.

The amino-substituted lower alkyl group having optionally a substituent selected from a lower alkylsulfonyl group and a lower alkanoyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 groups selected from a straight chain or branched chain alkylsulfonyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, aminomethyl, 2-aminoethyl, 1 - aminoethyl, 3-aminopropyl, 4-aminobutyl, 5-aminopentyl, 6-aminohexyl, 1,1 - dimethyl-2-aminoethyl, 2-methyl-3-aminopropyl, formylaminomethyl, 1-acetyl - aminoethyl, 2-propionylaminoethyl, 3-butyrylaminopropyl, 4-pentanoylamino - pentyl, 5-hexanoylaminohexyl, 6-isobutyrylaminohexyl, 1,1-dimethyl-2-acetyl - aminoethyl, 2-methyl-3-formylaminopropyl, methylsulfonylaminomethyl, 2 - ethylsulfonylaminoethyl, 1-isopropylsulfonylaminoethyl, 3-butylsulfonylamino - propyl, 4-tert-butylsulfonylaminobutyl, 5-pentylsulfonylaminopentyl, 6-hexyl - sulfonylaminohexyl, 1,1-dimethyl-2-methylsulfonylaminoethyl, 2-methyl-3 - ethylsulfonylaminopropyl, N-methylsulfonylamino-N-acetylaminomethyl, and

the like.

The 1,3-dioxolanyl-substituted lower alkyl group having optionally a lower alkyl substituent includes a 1,3-dioxolanyl-substituted alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, which may optionally have 1 to 3 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, (1,3-dioxolan-2-yl)methyl, 2-(1,3-dioxolan-4-yl)ethyl, 1-(1,3-dioxolan-2-yl)ethyl, 3-(1,3-dioxolan-4-yl)propyl, 4-(1,3-dioxolan-2-yl)butyl, 2-(1,3-dioxolan-2-yl)propyl, 5-(1,3-dioxolan-2-yl)pentyl, (4-hexyl-1,3-dioxolan-2-yl)-methyl, (2,4-dimethyl-1,3-dioxolan-2-yl)methyl, 6-(1,3-dioxolan-3-yl)hexyl, 4-(2-propyl-1,3-dioxolan-2-yl)butyl, 5-(4-butyl-1,3-dioxolan-2-yl)pentyl, 6-(2-pentyl-1,3-dioxolan-2-yl)hexyl, 1,1-dimethyl-2-(1,3-dioxolan-2-yl)ethyl, 2-methyl-3-(1,3-dioxolan-2-yl)propyl, (2-methyl-1,3-dioxolan-2-yl)methyl, 2-(4-ethyl-1,3-dioxolan-2-yl)ethyl, 3-(2,4,5-trimethyl-1,3-dioxolan-2-yl)propyl, and the like.

The alkanoyl-substituted lower alkyl group includes an alkanoylalkyl group wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms and the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, formylmethyl, acetylmethyl, 2-propionylethyl, 1-butyrylethyl, 3-isobutyrylpropyl, 4-pentanoylbutyl, 5-hexanoylhexyl, 6-tert-butylcarbonylhexyl, 1,1-dimethyl-2-acetylethyl, 2-methyl-3-acetylmethyl, and the like.

The aminocarbonyl-substituted lower alkyl group which may optionally have a lower alkyl substituent includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an aminocarbonyl having optionally 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, aminocarbonylmethyl, 2-aminocarbonylethyl, 1-aminocarbonylethyl, 3-aminocarbonylpropyl, 4-aminocarbonylbutyl, 5-aminocarbonylpentyl, 6-aminocarbonylhexyl, 1,1-dimethyl-2-aminocarbonylethyl, 2-methyl-3-aminocarbonylpropyl, methylaminocarbonylmethyl, 1-ethylaminocarbonylethyl, 2-propylaminocarbonylethyl, 3-isopropylaminocarbonylpropyl, 4-butylaminocarbonylbutyl, 5-pentylaminocarbonylpentyl, 6-hexylaminocarbonylhexyl, dimethylaminocarbonylmethyl, 2-diethylaminocarbonylethyl, 2-dimethylamino-

carbonylethyl, (N-ethyl-N-propylamino)carbonylmethyl, 2-(N-methyl-N-hexyl - amino)carbonylethyl, and the like.

The lower alkoxycarbonyl-substituted lower alkenyl group includes a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms which is substituted by a straight chain or branched chain alkoxy - carbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, for example, 2 - methoxycarbonylvinyl, 2-ethoxycarbonyvinyl, 3-propoxycarbonylallyl, 4-butoxy - carbonyl-2-butenyl, 4-pentyloxycarbonyl-3-butenyl, 3-hexyloxycarbonyl-1 - methylallyl, 5-isopropoxycarbonyl-2-pentenyl, 6-tert-butoxycarbonyl-2-hexenyl, and the like.

The aminocarbonyl-substituted lower alkenyl group which may optionally have a lower alkyl substituent includes a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms which is substitued by an aminocarbonyl group having optinally have 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, 2 - aminocarbonylvinyl, 3-aminocarbonylallyl, 4-aminocarbonyl-2-butenyl, 4 - aminocarbonyl-3-butenyl, 3-aminocarbonyl-1-methylallyl, 5-aminocarbonyl-2 - pentenyl, 6-aminocarbonyl-2-hexenyl, 2-methylaminocarbonylvinyl, 3-ethyl - aminocarbonylallyl, 4-propylaminocarbonyl-2-butenyl, 4-isopropylamino - carbonyl-3-butenyl, 3-butylaminocarbonyl-1-methylallyl, 5-pentylamino - carbonyl-2-pentenyl, 6-hexylaminocarbonyl-2-hexenyl, 2-dimethylamino - carbonylvinyl, 2-diethylaminocarbonylvinyl, 3-(N-ethyl-N-propylaminocarbonyl) - allyl, 4-(N-methyl-N-hexylaminocarbonyl)-2-butenyl, and the like.

The carboxy-substituted lower alkenyl group includes a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms, for example, 2-carboxyvinyl, 3-carboxyallyl, 4-carboxy-2-butenyl, 4-carboxy-3 - butenyl, 3-carboxy-1-methylallyl, 5-carboxy-2-pentenyl, 6-carboxy-2-hexenyl, and the like.

The aminocarbonyl group having optionally a lower alkyl substituent includes an aminocarbonyl group which may optionally have 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, aminocarbonyl, methylaminocarbonyl, ethyl - aminocarbonyl, propylaminocarbonyl, isopropylaminocarbonyl, butyl-

aminocarbonyl, tert-butylaminocarbonyl, pentylaminocarbonyl, hexyl - aminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, dipropyl - aminocarbonyl, dibutylaminocarbonyl, dipentylaminocarbonyl, dihexyl - aminocarbonyl, N-methyl-N-ethylaminocarbonyl, N-ethyl-N-propylamino - carbonyl, N-methyl-N-butylaminocarbonyl, N-methyl-N-hexylaminocarbonyl, and the like.

The phenylsulfonyl group having optionally a lower alkyl substituent includes a phenylsulfonyl group having optionally 1 to 3 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, phenylsulfonyl, 2-methyl phenylsulfonyl, 3 - methylphenylsulfonyl, 4-methylphenylsulfonyl, 2-ethylphenylsulfonyl, 3 - ethylphenylsulfonyl, 4-ethylphenylsulfonyl, 3-isopropylphenylsulfonyl, 4 - hexylphenylsulfonyl, 3,4-dimethylphenylsulfonyl, 2,5-dimethylphenylsulfonyl, 3,4,5-trimethylphenylsulfonyl, and the like.

The phenyl-lower alkenyl group includes a phenylalkenyl group wherein the alkenyl moiety is a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms, for example, styryl, cinnamyl, 4-phenyl-3-butenyl, 4 - phenyl-2-butenyl, 5-phenyl-4-pentenyl, 5-phenyl-3-pentenyl, 5-phenyl-2 - pentenyl, 6-phenyl-5-hexenyl, 6-phenyl-4-hexenyl, 6-phenyl-3-hexenyl, 6 - phenyl-2-hexenyl, 2-methyl-4-phenyl-3-butenyl, 2-methyl-cinnamyl, 1-methyl - cinnamyl, and the like.

The benzoyl group which may optionally have 1 to 3 substituents selected from a lower alkoxy group, a halogen atom, an amino group having optionally a lower alkanoyl substituent, and hydroxy group on the phenyl moiety includes a benzoyl group which may optinally have 1 to 3 substituents selected from a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, a halogen atom, an amino group having optionally a straight chain or branched chain alkanoyl substituent having 1 to 6 carbon atoms and hydroxy group on the phenyl moiety, for example, benzoyl, 2-chlorobenzoyl, 3 - chlorobenzoyl, 4-chlorobenzoyl, 2-fluorobenzoyl, 3-fluorobenzoyl, 4-fluoro - benzoyl, 2-bromobenzoyl, 3-bromobenzoyl, 4-bromobenzoyl, 2-iodobenzoyl, 4 - iodobenzoyl, 3,5-dichlorobenzoyl, 2,6-dichlorobenzoyl, 3,4-dichlorobenzoyl, 3,4-difluorobenzoyl, 3,5-dibromobenzoyl, 3,4,5-trichlorobenzoyl, 2-methoxy-

benzoyl, 3-methoxybenzoyl, 4-methoxybenzoyl, 2-ethoxybenzoyl, 3-ethoxy - benzoyl, 4-ethoxybenzoyl, 4-isopropoxybenzoyl, 4-hexyloxybenzoyl, 3,4 - dimethoxybenzoyl, 3,4-diethoxybenzoyl, 3,4,5-trimethoxybenzoyl, 2,5 - dimethoxybenzoyl, 3-methoxy-4-chlorobenzoyl, 2-chloro-6-methoxybenzoyl, 2 - methoxy-5-chlorobenzoyl, 2-aminobenzoyl, 3-aminobenzoyl, 4-aminobenzoyl, 2-hydroxybenzoyl, 3-hydroxybenzoyl, 4-hydroxybenzoyl, 2,5-diaminobenzoyl, 3,4,5-triaminobenzoyl, 2-formylaminobenzoyl, 3-acetyaminobenzoyl, 4-acetyl - aminobenzoyl, 2-acetylaminobenzoyl, 3-propionylaminobenzoyl, 4-butyryl - aminobenzoyl, 2-isobutyrylaminobenzoyl, 3-pentanoylaminobenzoyl, 3-tert - butylcarbonylaminobenzoyl, 4-hexanoylaminobenzoyl, 2,6-diacetylamino - benzoyl, 2,4-dihydroxybenzoyl, 2,4,6-trihydroxybenzoyl, 2-hydroxy-5-chloro - benzoyl, and the like.

The amino-substituted lower alkanoyl group having optionally a lower alkanoyl substituent includes a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms which is substitued by an amino group having optionally 1 to 2 substituents of a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, 2-aminoacetyl, 3 - aminopropionyl, 2-aminopropionyl, 4-aminobutyryl, 5-aminopentanoyl, 6 - aminohexanoyl, 2,2-dimethyl-3-aminopropionyl, 2-methyl-3-aminopropionyl, 2 - acetylaminoacetyl, 2-acetylaminopropionyl, 3-propionylaminopropionyl, 3 - isopropionylaminopropionyl, 4-butyrylaminobutyryl, 5-pentanoylamino - pentanoyl, 6-hexanoylaminohexanoyl, 2-formylaminoacetyl, and the like.

The amino-substituted sulfonyl group having optionally a lower alkyl substituent includes an aminosulfonyl group which may optionally have 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, aminosulfonyl, methylaminosulfonyl, ethylamino - sulfonyl, propylaminosulfonyl, isopropylaminosulfonyl, butylaminosulfonyl, tert - butylaminosulfonyl, pentylaminosulfonyl, hexylaminosulfonyl, dimethylamino - sulfonyl, diethylaminosulfonyl, dipropylaminosulfonyl, dibutylaminosulfonyl, dibutylaminosulfonyl, dipentylaminosulfonyl, dihexylaminosulfonyl, N-methyl-N - ethylaminosulfonyl, N-ethyl-N-propylaminosulfonyl, N-methyl-N-butylamino - sulfonyl, N-methyl-N-hexylaminosulfonyl, and the like.

The lower alkeneldioxy group includes a straight chain or

branched chain alkylenedioxy group having 1 to 4 carbon atoms, for example, methylenedioxy, ethylenedioxy, trimethylenedioxy, tetramethylenendioxy, and the like.

The phenyl group having optionlly a lower alkoxy substituent includes a phenyl group which may optionally have 1 to 3 substituents of a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, phenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 4-isopropoxyphenyl, 4-pentyloxyphenyl, 2,4-dimethoxyphenyl, 4-hexyloxyphenyl, 3-ethoxy-4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,4-diethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3,4-dipentyloxyphenyl, 3,4,5-trimethoxyphenyl, and the like.

The 2,3-dihydro-1H-indenyl-substituted lower alkyl group which may optionall have a substituent selected from oxo group, hydroxy group and silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by a 2,3-dihydro-1H-indenyl group having optionally 1 to 3 substituents selected from oxo group, hydroxy group and a silyloxy group having 3 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, (2,3-dihydro-1H-inden-2-yl)-methyl, 2-(2,3-dihydro-1H-inden-1-yl)ethyl, 1-(2,3-dihydro-1H-inden-3-yl)ethyl, 3-(2,3-dihydro-1H-inden-4-yl)propyl, 4-(2,3-dihydro-1H-inden-5-yl)butyl, 5-(2,3-dihydro-1H-inden-6-yl)pentyl, 6-(2,3-dihydro-1H-inden-7-yl)hexyl, (1-oxo-2,3-dihydro-1H-inden-2-yl)methyl, (1-hydroxy-2,3-dihydro-1H-inden-2-yl)methyl, (1-dimethyl,tert-butylsilyloxy-2,3-dihydro-1H-inden-2-yl)methyl, (1,3-dihydroxy-2,3-dihydro-1H-inden-2-yl)methyl, [1,3-bis(trimethylsilyloxy)-2,3-dihydro-1H-inden-2-yl)methyl, (1,3,7-trihydroxy-2,3-dihydro-1H-inden-2-yl)methyl, [1,3,4-tri-(dimethyl,ethylsilyloxy)-2,3-dihydro-1H-inden-2-yl)methyl, and the like.

The silyloxy group having a lower alkyl substituent includes a silyloxy group being substituted by three straight chain or branched chain alkyl groups having 1 to 6 carbon atoms, for example, trimethylsilyloxy, triethylsilyloxy, triisopropylsilyloxy, tributylsilyloxy, tri-tert-butylsilyloxy, tripentylsilyloxy, trihexylsilyloxy, dimethyl,tert-butylsilyloxy, and the like.

The phenyl group having optionally a substituent selected from a lower alkoxy group and a halogen atom on the phenyl ring includes a phenyl group which may optionaly be substituted by 1 to 3 groups selected from a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms and a halogen atom, for example, phenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4 - methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 4 - isopropoxyphenyl, 4-pentyloxyphenyl, 2,4-dimethoxyphenyl, 4-hexyloxyphenyl, 3,4-dimethoxyphenyl, 3-ethoxy-4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4 - diethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,5-dimethoxy - phenyl, 3,4-dipentyloxyphenyl, 3,4,5-trimethoxyphenyl, 2-chlorophenyl, 3 - chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2 - bromophenyl, 3-bromophenyl, 4-bromophenyl, 2-iodophenyl, 3-iodophenyl, 4 - iodophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2,3 - dichlorophenyl, 2,4-dichlorophenyl, 3,4-difluorocphenyl, 3,5-dibromophenyl, 3,4,5-trichlorophenyl, 2-methoxy-5-chlorophenyl, 3-chloro-4-methoxyphenyl, 3 - methoxy-5-iodophenyl, 3,4-dimethoxy-5-bromophenyl, 3,5-iodo-4-methoxy - phenyl, and the like.

The saturated or unsaturated 5- to 6-membered heterocyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom includes, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, pyridyl, thienyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrrolyl, imidazolidinyl, pyrazolyl, imidazolyl, pyrazolidinyl, 1,3,4-oxadiazolyl, 1,2,4 - triazolyl, 1,2,3,4-tetrazolyl, 1,3,4-triazolyl, 1,2,4-oxadiazolyl, furyl, pyrrolinyl, oxazolyl, isoxazolyl, thiazolyl, thiazolidinyl, 1,2,3,5-oxathiadiazolyl, isothiazolyl, pyranyl, pyrazolidinyl, 1,2,4-triazinyl, and the like.

The lower alkyl group substitued by a 5- to 6-membered saturated or unsaturated heterocyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by the above mentioned heterocyclic group, for example, pyrrolidinylmethyl, 2 - piperidinylethyl, 3-piperazinylpropyl, 4-morpholinobutyl, (2-pyridyl)methyl, (3 - pyridyl)methyl, (2-thienyl)methyl, 2-(3-pyrazinyl)ethyl, 1-(2-pyrimidyl)ethyl, 3-(3 - pyridazinyl)propyl, 4-(2-pyrrolyl)butyl, 4-(4-imidazolidinyl)butyl, (2-imidazolyl)-

methyl, (3-pyrazolyl)methyl, 2-(2-imidazolyl)ethyl, 3-(3-pyrazolidinyl)propyl, thienylmethyl, 3-(2-imidazolinyl)propyl, 4-(2-pyrrolinyl)butyl, (2-furyl)methyl, (4 - oxazolyl)methyl, (5-oxazolyl)methyl, 5-(4-oxazolyl)pentyl, 6-(3-isooxazolyl) - hexyl, (4-thiazolyl)methyl, (2-thiazolyl)methyl, 2-(3-isothiazolyl)ethyl, (2-pyranyl) - methyl, 3-(3-pyrazolidinyl)propyl, 4-(2-pyrazolinyl)butyl, (5-thiazolyl)methyl, (1,3,4-oxadiazolin-5-yl)methyl, (1,2,4-triazol-5-yl)methyl, (1,2,3,4-tetrazol-5-yl) - methyl, (1,3,4-triazol-5-yl)methyl, (1,2,4-oxadiazol-5-yl)methyl, (1,2,4-triazin-3 - yl)methyl, (thiazolidin-5-yl)methyl, (1,2,3,5-oxathiadiazolin-4-yl)methyl, (2-furyl) - methyl, (3-furyl)methyl, 2-(5-thiazolyl)ethyl, 1-(1,3,4-oxadiazolin-2-yl)ethyl, 3 - (1,2,4-triazol-3-yl)propyl, 4-(1,2,3,4-tetrazol-5-yl)butyl, 6-(1,3,4-triazol-2-yl) - hexyl, 2-(1,2,4-oxadiazol-3-yl)ethyl, 1-(1,2,4-triazin-5-yl)ethyl, 3-(thiazolidin-2 - yl)propyl, 4-(1,2,3,5-oxathiadiazolin-4-yl)butyl, and the like.

The lower alkenyl group substituted by a 5- to 14-membered saturated or unsaturated heteromonocylic, heterobicyclic or heterotricyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom includes the above mentioned heterocyclic group-substituted alkenyl group wherein the alkenyl moiety is a straight chain or branched chain alkenyl group with 1 to 2 double bounds having 2 to 6 carbon atoms, for example, 2-benzofurylvinyl, 3-benzofuryl-2-propenyl, 4-benzofuryl-3-butenyl, 3 - benzofuryl-2-butenyl, 2-methyl-3-benzofuryl-2-propenyl, 5-benzofuryl-4 - petenyl, 5-benzofuryl-2-pentenyl, 4-benzofuryl-3-pentenyl, 6-benzofuryl-5 - hexenyl, 6-benzofuryl-4-hexenyl, 6-benzofuryl-3-hexenyl, 6-benzofuryl-2 - hexenyl, β-methyl-4-benzofuryl-3-butenyl, 5-benzofuryl-2,4-pentadienyl, 4 - benzofuryl-1,3-butadienyl, 6-benzofuryl-2,4-hexadienyl, 6-benzofuryl-3,5 - hexadienyl, 6-benzofuryl-1,3-hexadienyl, 5-benzofuryl-1,3-pentadienyl, 2 - benzothienylvinyl, 3-benzothienyl-2-propenyl, 4-benzothienyl-3-butenyl, 3 - benzothienyl-2-butenyl, 2-methyl-3-benzothienyl-2-propenyl, 5-benzothienyl-4 - petenyl, 5-benzothienyl-2-pentenyl, 6-benzothienyl-5-hexenyl, 6-benzothienyl - 4-hexenyl, 6-benzothienyl-3-hexenyl, 6-benzothienyl-2-hexenyl, β-methyl-4 - benzothienyl-3-butenyl, 5-benzothienyl-2,4-pentadienyl, 4-benzothienyl-1,3 - butadienyl, 6-benzothienyl-2,4-hexadienyl, 6-benzothienyl-3,5-hexadienyl, 6-benzothienyl-1,3-hexadienyl, 5-benzothienyl-1,3-pentadienyl, 2-(furo[3,2-c]-

pyridyl)vinyl, 3-(furo[3,2-c]pyridyl)-2-propenyl, 4-(furo[3,2-c]pyridyl)-3-butenyl, 3-(furo[3,2-c]pyridyl)-2-butenyl, 2-methyl-3-(furo[3,2-c]pyridyl)-2-propenyl, 5-(furo[3,2-c]pyridyl)-4-petenyl, 5-(furo[3,2-c]pyridyl)-2-pentenyl, 6-(furo[3,2-c]pyridyl)-5-hexenyl, 6-(furo[3,2-c]pyridyl)-4-hexenyl, 6-(furo[3,2-c]pyridyl)-3-hexenyl, 6-(furo[3,2-c]pyridyl)-2-hexenyl, β-methyl-4-(furo[3,2-c]pyridyl)-3-butenyl, 5-(furo[3,2-c]pyridyl)-2,4-pentadienyl, 4-(furo[3,2-c]pyridyl)-1,3-butadienyl, 6-(furo[3,2-c]pyridyl)-2,4-hexadienyl, 6-(furo[3,2-c]pyridyl)-3,5-hexadienyl, 6-(furo[3,2-c]pyridyl)-1,3-hexadienyl, 5-(furo[3,2-c]pyridyl)-1,3-pentadienyl, 2-quinolylvinyl, 3-(1,4-dihydroquinoly)l-2-propenyl, 4-benzothiazolyl-3-butenyl, 3-carbostyril-2-butenyl, 2-methyl-3-(3,4-dihydrocarbostyril)-2-propenyl, 5-(1,2,3,4-tetraquinolyl)-4-petenyl, 5-indolyl-2-pentenyl, 6-indolinyl-5-hexenyl, 6-indolinyl-4-hexenyl, 6-benzoimidazolyl-3-hexenyl, 6-benzoxazolyl-2-hexenyl, β-methyl-4-isoquinolyl-3-butenyl, 5-quinazolidinyl-2,4-pentadienyl, 4-cinnolyl-1,3-butadienyl, 6-quinoxalinyl-2,4-hexadienyl, 6-phthalazinyl-3,5-hexadienyl, 6-chromanyl-1,3-hexadienyl, 5-isoindolinyl-1,3-pentadienyl, 2-(4H-chromenyl)vinyl, 3-(2,3-dihydro-2-benzofuryl)-2-propenyl, 4-(2-perhydrobenzofuryl)-3-butenyl, 3-(1,4-benzoxadinyl)-2-butenyl, 2-methyl-3-(3,4-dihydro-2H-1,4-benzoxazinyl)-2-propenyl, 5-(1,4-benzothiazinyl)-4-pentenyl, 5-(1,2,3,4-tetrahydroquinoxalinyl)-2-pentenyl, 6-(1,3-dithia-2,4-dihydronaphthalenyl)-5-hexenyl, 6-(1,4-dithianaphthalenyl)-4-hexenyl, 6-(1,4-benzodioxanyl)-3-hexenyl, 2-pyrrolidinylvinyl, 3-pyrrolidinyl-2-propenyl, 4-pyrrolidinyl-3-butenyl, 3-pyrrolidinyl-2-butenyl, 2-methyl-3-pyrrolidinyl-2-propenyl, 5-pyrrolidinyl-4-pentenyl, 5-pyrrolidinyl-2-pentenyl, 6-pyrrolidinyl-5-hexenyl, 6-pyrrolidinyl-4-hexenyl, 6-pyrrolidinyl-3-hexenyl, 6-pyrrolidinyl-2-hexenyl, β-methyl-4-pyrrolidinyl-3-butenyl, 5-pyrrolidinyl-2,4-pentadienyl, 4-pyrrolidinyl-1,3-butadienyl, 6-pyrrolidinyl-2,4-hexadienyl, 6-pyrrolidinyl-3,5-hexadienyl, 6-pyrrolidinyl-1,3-hexadienyl, 5-pyrrolidinyl-1,3-pentadienyl, 2-piperidinylvinyl, 3-piperidinyl-2-propenyl, 4-piperidinyl-3-butenyl, 3-piperidinyl-2-butenyl, 2-methyl-3-piperidinyl-2-propenyl, 5-piperidinyl-4-pentenyl, 5-piperidinyl-2-pentenyl, 6-piperidinyl-5-hexenyl, 5-piperidinyl-2-pentenyl, 6-piperidinyl-5-hexenyl, 6-piperidinyl-4-hexenyl, 6-piperidinyl-3-hexenyl, 6-piperidinyl-2-

hexenyl, β-methyl-4-piperidinyl-3-butenyl, 5-piperidinyl-2,4-pentadienyl, 4 - piperidinyl-1,3-butadienyl, 6-piperidinyl-2,4-hexadienyl, 6-piperidinyl-3,5 - hexadienyl, 6-piperidinyl-1,3-hexadienyl, 5-piperidinyl-1,3-pentadienyl, 2 - piperazinylvinyl, 3-piperazinyl-2-propenyl, 4-piperazinyl-3-butenyl, 3 - piperazinyl-2-butenyl, 2-methyl-3-piperazinyl-2-propenyl, 5-piperazinyl-4 - pentenyl, 5-piperazinyl-2-pentenyl, 6-piperazinyl-5-hexenyl, 6-piperazinyl-4 - hexenyl, 6-piperazinyl-3-hexenyl, 6-piperazinyl-2-hexenyl, β-methyl-4 - piperazinyl-3-butenyl, 5-piperazinyl-2,4-pentadienyl, 4-piperazinyl-1,3 - butadienyl, 6-piperazinyl-2,4-hexadienyl, 6-piperazinyl-3,5-hexadienyl, 6 - piperazinyl-1,3-hexadienyl, 5 piperazinyl-1,3-pentadienyl, 2-morpholinovinyl, 3 - pyridyl-2-propenyl, 4-thienyl-3-butenyl, 3-pyradinyl-2-butenyl, 2-methyl-3 - pyrimidyl-2-propenyl, 2-pyridazinylvinyl, 3-pyrrolyl-2-propenyl, 4-imidazolyl-3 - butenyl, 3-imidazolyl-2-butenyl, 2-methyl-3-imidazolyl-2-propenyl, 5-imidazolyl - 4-pentenyl, 5-imidazolyl-2-pentenyl, 6-imidazolyl-5-hexenyl, 6-imidazolyl-4 - hexenyl, 6-imidazolyl-3-hexenyl, 6-imidazolyl-2-hexenyl, β-methyl-4-imidazolyl - 3-butenyl, 5-imidazolyl-2,4-pentadienyl, 4-imidazolyl-1,3-butadienyl, 6 - imidazolyl-2,4-hexadienyl, 6-imidazolyl-3,5-hexadienyl, 6-imidazolyl-1,3 - hexadienyl, 5-imidazolyl-1,3-pentadienyl, 2-imidazolidinylvinyl, 3-pyrazolyl-1,3 - pentadienyl, 2-imidazolidinylvinyl, 3-pyrazolyl-2-propenyl, 4-pyrazolidinyl-3 - butenyl, 3-perhydrobenzofuryl-2-butenyl, 2-methyl-3-(1,3,4-oxadiazolyl)-2 - propenyl, 5-(1,2,4-triazolyl)-4-petenyl, 5-(1,2,3,4-tetrazolyl)-2-pentenyl, 6-(1,3,4 - triazolyl)-5-hexenyl, 6-(1,2,4-oxadiazolyl)-4-hexenyl, 6-(2,3-dihydro-2 - benzofuryl)-3-hexenyl, 6-pyrrolinyl-2-hexenyl, β-methyl-5-nonyl-3-butenyl, 5 - isoxazolyl-2,4-pentadienyl, 4-thiazolyl-1,3-butadienyl, 6-thiazolidinyl-2,4 - hexadienyl, 6-(1,2,3,5-oxathiadiazolyl)-3,5-hexadienyl, 6-isothiazolyl-1,3 - hexadienyl, 5-pyranyl-1,3-pentadienyl, 2-oxazolylvinyl, 3-oxazolyl-2-propenyl, 4-oxazolyl-3-butenyl, 3-oxazolyl-2-butenyl, 2-methyl-3-oxazolyl-2-propenyl, 5 - oxazolyl-4-pentenyl, 5-oxazolyl-2-pentenyl, 4-oxazolyl-3-pentenyl, 6-oxazolyl-5 - hexenyl, 6-oxazolyl-4-hexenyl, 6-oxazolyl-3-hexenyl, 6-oxazolyl-2-hexenyl, β - methyl-4-oxazolyl-3-butenyl, 5-oxazolyl-2,4-pentadienyl, 4-oxazolyl-1,3 - butadienyl, 6-oxazolyl-2,4-hexadienyl, 6-oxazolyl-3,5-hexadienyl, 6-oxazolyl-

1,3-hexadienyl, 5-oxazolyl-1,3-pentadienyl, 2-pyrazolylvinyl, 3-quinuclidinyl-2-propenyl, 4-benzothiazolyl-3-butenyl, 3-carbostyril-2-butenyl, 2-methyl-3-(3,4-dihydro[2,3-g]quinolyl)-2-propenyl, 5-(1,2,3,4-tetrahydrofuro[2,3-g]quinolyl)-4-pentenyl, 2-(naphtho[2,1-b]furyl)vinyl, 3-(naphtho[2,1-b]furyl)-2-propenyl, 4-(naphtho[2,1-b]furyl)-3-butenyl, 3-(naphtho[2,1-b]furyl)-2-butenyl, 2-methyl-3-(naphtho[2,1-b]furyl)-2-propenyl, 5-(naphtho[2,1-b]furyl)-4-pentenyl, 5-(naphtho-[2,1-b]furyl)-2-pentenyl, 4-(naphtho[2,1-b]furyl)-3-pentenyl, 6-(naphtho[2,1-b]-furyl)-5-hexenyl, 6-(naphtho[2,1-b]furyl)-4-hexenyl, 6-(naphtho[2,1-b]furyl)-3-hexenyl, 6-(naphtho[2,1-b]furyl)-2-hexenyl, β-methyl-4-(naphtho[2,1-b]furyl)-3-butenyl, 5-(naphtho[2,1-b]furyl)-2,4-pentadienyl, 4-(naphto[2,1-b]furyl)-1,3-butadienyl, 6-(naphtho[2,1-b]furyl)-2,4-hexadienyl, 6-(naphtho[2,1-b]furyl)-3,5-hexadienyl, 6-(naphtho[2,1-b]furyl)-1,3-hexadienyl, 5-(naphtho[2,1-b]furyl)-1,3-pentadienyl, 2-(imidazo[1,2-a]pyridyl)vinyl, 3-(imidazo[1,2-a]pyridyl)-2-propenyl, 4-(imidazo[1,2-a]pyridyl)-3-butenyl, and the like.

The phenyl-lower alkoxycarbonyl group includes a phenylalkoxy-carbonyl group wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, for example, benzyloxycarbonyl, 2-phenylethoxycarbonyl, 1-phenyl-ethoxycarbonyl, 3-phenylpropoxycarbonyl, 4-phenylbutoxycarbonyl, 5-phenyl-pentyloxycarbonyl, 6-phenylhexyloxycarbonyl, 1,1-dimethyl-2-phenylethoxy-carbonyl, 2-methyl-3-phenylpropoxycarbonyl, and the like.

The lower alkoxycarbonyloxy-substituted lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, which is substituted by alkoxycarbonyloxy group wherein the alkoxy moiety is a a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms , for example, methoxycarbonyloxymethyl, ethoxycarbonyloxy-methyl, 2-ethoxycarbonyloxyethyl, 1-ethoxycarbonyloxyethyl, 3-methoxy-carbonyloxypropyl, 4-ethoxycarbonyloxybutyl, 6-propoxycarbonyloxyhexyl, 5-isopropoxycarbonyloxypentyl, 1,1-dimethyl-2-butoxycarbonyloxyethyl, 2-methyl-3-tert-butoxycarbonyloxypropyl, 2-pentyloxycarbonyloxyethyl, hexyloxy-carbonyloxymethyl, and the like.

The benzoyl-substituted lower alkyl group having optionally a

halogen substituent on the phenyl ring includes a straight chain or branched chain alkyl group having 1 to 6 carbon atom, which is substituted by a benzoyl group wherein the phenyl ring may optionally have 1 to 3 halogen substituents, for example, benzoylmethyl. 1-(2-chlorobenzoyl)ethyl, 2-(3-chlorobenzoyl)-ethyl, 3-(4-chlorobenzoyl)propoyl, 4-(2-fluorobenzoyl)butyl, 1,1-dimethyl-2-(3-fluorobenzoyl)ethyl, 5-(4-fluorobenzoyl)pentyl, 6-(2-bromobenzoyl)hexyl, 2-methyl-3-(3-bromobenzoyl)propyl, (4-bromobenzoyl)methyl, 2-(2-iodobenzoyl)-ethyl, 1-(4-iodobenzoyl)ethyl, (3,5-dichlorobenzoyl)methyl, 2-(2,6-dichloro-benzoyl)ethyl, 1-(3,4-dichlorobenzoyl)ethyl, 3-(3,4-difluorobenzoyl)propyl, (3,5-dibromobenzoyl)methyl, (3,4,5-trichlorobenzoyl)methyl, and the like.

The amino group having optionally a lower alkanoyl substituent includes an amino group which may optionally have a substituent of a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, amino, formylamino, acetylamino, propionylamino, butyrylamino, isobutyrylamino, pentanoylamino, t-butylcarbonylamino, hexanoylamino, and the like.

The present invention specifically includes the following compounds.

(1) A quinoxaline derivative of the formula (1) wherein R^1 is hydrogen atom and R^2, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(2) A quinoxaline derivative of the formula (1) wherein R^1 is a halogen atom and R^2, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(3) A quinoxaline derivative of the formula (1) wherein R^1 is a lower alkyl group and R^2, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(4) A quinoxaline derivative of the formula (1) wherein R^2 is hydrogen atom and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

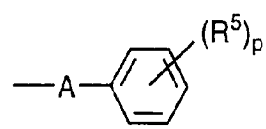
(5) A quinoxaline derivative of the formula (1) wherein R^2 is a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(6) A quinoxaline derivative of the formula (1) wherein R^2 is phenyl group and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(7) A quinoxaline derivative of the formula (1) wherein R^2 is a morpholino-substituted lower alkyl group and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

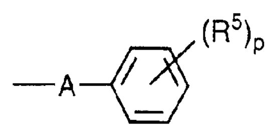
(8) A quinoxaline derivative of the formula (1) wherein R^2 is an imidazolyl-substituted lower alkyl group and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(9) A quinoxaline derivative of the formula (1) wherein R^3 is hydrogen atom, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above), and R^1, R^2 and r are the same as defined above, or a salt thereof.

(10) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkyl group, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above), and R^1, R^2 and r are the same as defined above, or salt thereof.

(11) A quinoxaline derivative of the formula (1) wherein R^3 is hydrogen atom, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^2, m, n and r are the same as defined above, or

a salt thereof.

(12) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(13) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(14) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(15) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(16) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(17) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(18) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(19) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m,

n and r are the same as defined above, or a salt thereof.

(20) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(21) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(22) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

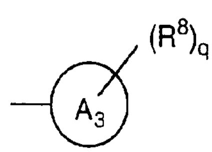
(23) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(24) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(25) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(26) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

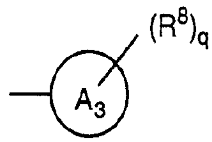
(27) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a group of the formula:



(wherein the symbols are the same as defined above), and R^1, R^2, m, n and r

are the same as defined above, or a salt thereof.

(28) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

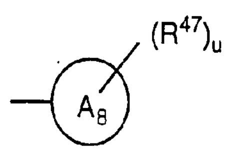
(29) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(30) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(31) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

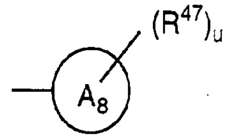
(32) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(33) A quinoxaline derivative of the formula (1), wherein R^3 is hydrogen atom, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

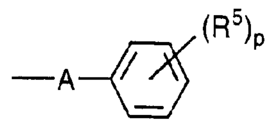
(34) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(35) A quinoxaline derivative of the formula (1), wherein R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4-tetrahydroisoquinolyl group which may optionally have a lower alkoxy group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(36) A quinoxaline derivative of the formula (1), wherein R^1 is a halogent atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(37) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkenyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as

defined above, or a salt thereof.

(38) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(39) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(40) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(41) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(42) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylthio-substitued lower alkyl group which may optinally have a lower alkoxy substituent on the phenyl moiety, and m, n, and r are the same as defined above, or a salt thereof.

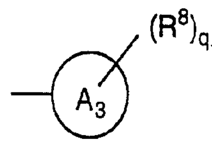
(43) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(44) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(45) A quinoxaline derivative of the formula (1), wherein R^1 is a

halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(46) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:

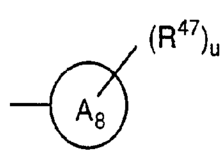


(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(47) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(48) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 - dihydro-1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

(49) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:

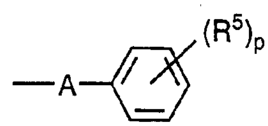


(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(50) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is hydrogen atom, R^3 and R^4 combine

together with the nitrogen atom to form 1,2,3,4-tetrahydroisoquinolyl group which may optionally have a lower alkoxy group), and m, n and r are the same as defined above, or a salt thereof.

(51) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(52) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as defined above, or a salt thereof.

(53) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(54) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(55) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

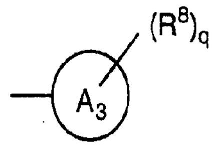
(56) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(57) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety and m, n and r are the same as defined above, or a salt thereof.

(58) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(59) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(60) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:

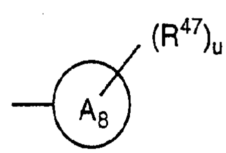


(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(61) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(62) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3 -dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

(63) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:

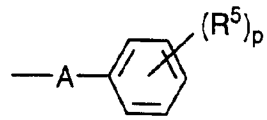


(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(64) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4-tetrahydroisoquinolyl group which may optionally have a lower alkoxy group, and m, n and r are the same as defined above, or a salt thereof.

(65) A quinoxaline derivative of the formula (1), wherein R^1 is a

halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above),

and m, n and r are the same as defined above, or a salt thereof.

(66) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as defined above, or a salt thereof.

(67) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(68) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(69) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(70) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom

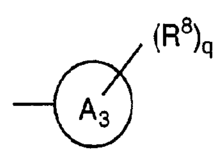
or a lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(71) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety and m, n and r are the same as defined above, or a salt thereof.

(72) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group,R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(73) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(74) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



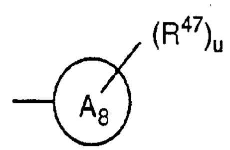
(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(75) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(76) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower

alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 - dihydro-1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

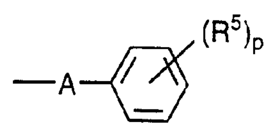
(77) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(78) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is phenyl group, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4-tetrahydroisoquinolyl group which may optionally have a lower alkoxy group), and m, n and r are the same as defined above, or a salt thereof.

(79) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(80) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenyl - carbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy

group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as defined above, or a salt thereof.

(81) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(82) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(83) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(84) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylthio - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

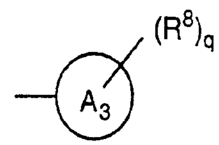
(85) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety and m, n and r are the same as defined above, or a salt thereof.

(86) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined

above, or a salt thereof.

(87) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(88) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:

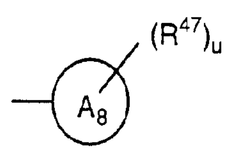


(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(89) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(90) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3-dihydro-1H - indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

(91) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:

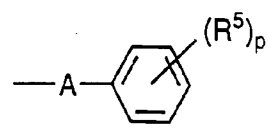


(in which the symbols are the same as defined above), and m, n and r are the

same as defined above, or a salt thereof.

(92) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is a morpholino-substituted lower alkyl group, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4 -tetrahydroisoquinolyl group which may optionally have a lower alkoxy group), and m, n and r are the same as defined above, or a salt thereof.

(93) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(94) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenyl -carbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as defined above, or a salt thereof.

(95) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(96) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl-lower alkyl

group, and m, n and r are the same as defined above, or a salt thereof.

(97) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

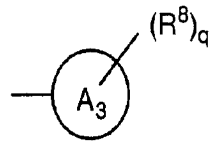
(98) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylthio - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(99) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety and m, n and r are the same as defined above, or a salt thereof.

(100) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(101) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(102) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:

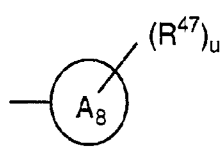


(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(103) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(104) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3-dihydro-1H - indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

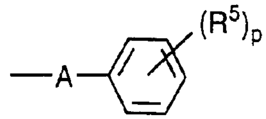
(105) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

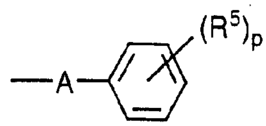
(106) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group, R^2 is an imidazolyl-substituted lower alkyl group, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4 - tetrahydroisoquinolyl group which may optionally have a lower alkoxy group), and m, n and r are the same as defined above, or a salt thereof.

(107) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above), and R^2, m, n and r are the same as defined above, or a salt thereof.

(108) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alyl group, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above), and R^2, m, n and r are the same as defined above, or a salt thereof.

(109) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^2, m, n and r are the same as defined above, or a salt thereof.

(110) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenyl - carbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^2, m, n and r

are the same as defined above, or a salt thereof.

(111) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a lower alkenyl group, and R^2, m, n and r are the same as defined above, or a salt thereof.

(112) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a lower alkenyl group, and R^2, m, n and r are the same as defined above, or a salt thereof.

(113) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a cycloalkyl-lower alkyl group, and R^2, m, n and r are the same as defined above, or a salt thereof.

(114) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and R^2, m, n and r are the same as defined above, or a salt thereof.

(115) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a naphthyl-lower alkyl group, and R^2, m, n and r are the same as defined above, or a salt thereof.

(116) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and R^2, m, n and r are the same as defined above, or a salt thereof.

(117) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^2, m, n and r are the same as defined above, or a salt thereof.

(118) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^2, m, n and r are the same as defined above, or a salt thereof.

(119) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^2, m, n and r are the same as defined above, or a salt thereof.

(120) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a phenylsulfinyl-substituted

lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^2, m, n and r are the same as defined above, or a salt thereof.

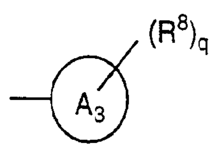
(121) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^2, m, n and r are the same as defined above, or a salt thereof.

(122) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^2, m, n and r are the same as defined above, or a salt thereof.

(123) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a phenoxy-substituted lower alkyl group, and R^2, m, n and r are the same as defined above, or a salt thereof.

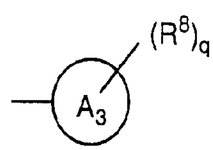
(124) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and R^2, m, n and r are the same as defined above, or a salt thereof.

(125) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a group of the formula:



(wherein the symbols are the same as defined above), and R^2, m, n and r are the same as defined above, or a salt thereof.

(126) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a group of the formula:



(wherein the symbols are the same as defined above), and R^2, m, n and r are the same as defined above, or a salt thereof.

(127) A quinoxaline derivative of the formula (1), wherein R^1 is

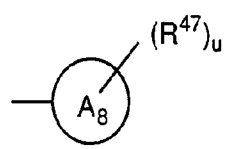
hydrogen atom, R^3 is hydrogen atom, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^2, m, n and r are the same as defined above, or a salt thereof.

(128) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^2, m, n and r are the same as defined above, or a salt thereof.

(129) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a 2,3-dihydro-1H-indenyl group - substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^2, m, n and r are the same as defined above, or a salt thereof.

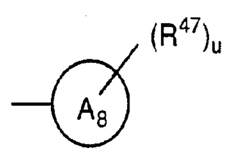
(130) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group - substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^2, m, n and r are the same as defined above, or a salt thereof.

(131) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is hydrogen atom, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^2, m, n and r are the same as defined above, or a salt thereof.

(132) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 is a lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^2, m, n and r are the same as defined above, or a salt thereof.

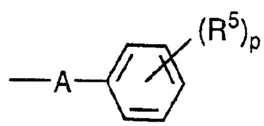
(133) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4-tetrahydroquinolyl group which may optionally have a lower alkoxy group), and R^2, m, n and r are the same as defined above, or a salt thereof.

(134) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group and R^2, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(135) A quinoxaline derivative of the formula (1),wherein R^1 is an amino group having optionally a lower alkyl substituent and R^2, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

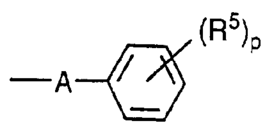
(136) A quinoxaline derivative of the formula (1),wherein R^1 is an aminocarbonyl group having optionally a lower alkyl substituent and R^2, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(137) A quinoxaline derivative of the formula (1),wherein R^3 is a phenyl - lower alkoxycarbonyl group and R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(138) A quinoxaline derivative of the formula (1),wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group and R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(139) A quinoxaline derivative of the formula (1),wherein R^3 is a phenyl - lower alkoxycarbonyl group and R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl ring selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having

optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(140) A quinoxaline derivative of the formula (1),wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group and R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl ring selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenyl - carbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(141) A quinoxaline derivative of the formula (1),wherein R^3 is a phenyl - lower alkoxycarbonyl group and R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(142) A quinoxaline derivative of the formula (1),wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group and R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(143) A quinoxaline derivative of the formula (1),wherein R^3 is a phenyl - lower alkoxycarbonyl group and R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(144) A quinoxaline derivative of the formula (1),wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group and R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(145) A quinoxaline derivative of the formula (1),wherein R^3 is a phenyl - lower alkoxycarbonyl group and R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(146) A quinoxaline derivative of the formula (1),wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group and R^4 is a naphthyl-lower alkyl

group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(147) A quinoxaline derivative of the formula (1),wherein R^3 is a phenyl - lower alkoxycarbonyl group and R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(148) A quinoxaline derivative of the formula (1),wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group and R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(149) A quinoxaline derivative of the formula (1),wherein R^3 is a phenyl - lower alkoxycarbonyl group and R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(150) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(151) A quinoxaline derivative of the formula (1), wherein R^3 is a phenyl - lower alkoxycarbonyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

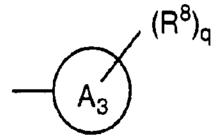
(152) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(153) A quinoxaline derivative of the formula (1), wherein R^3 is a phenyl - lower alkoxycarbonyl group, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(154) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt

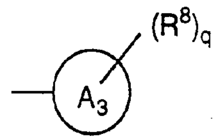
thereof.

(155) A quinoxaline derivative of the formula (1), wherein R^3 is a phenyl - lower alkoxycarbonyl group, R^4 is a group of the formula:



(wherein the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(156) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(157) A quinoxaline derivative of the formula (1), wherein R^3 is a phenyl - lower alkoxycarbonyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

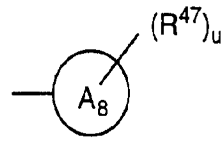
(158) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(159) A quinoxaline derivative of the formula (1), wherein R^3 is a phenyl - lower alkoxycarbonyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(160) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower

alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

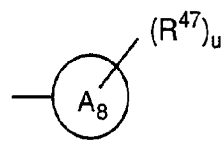
(161) A quinoxaline derivative of the formula (1), wherein R^3 is a phenyl - lower alkoxycarbonyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above),

and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

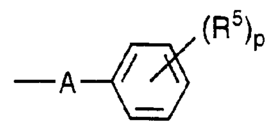
(162) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyloxy-substituted lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above),

and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

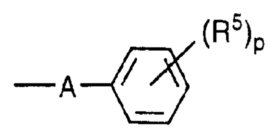
(163) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkanoyl group, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above),

and R^1, R^2 and r are the same as defined above, or a salt thereof.

(164) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkoxycarbonyl group, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above),

and R^1, R^2 and r are the same as defined above, or a salt thereof.

(165) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkanoyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a

halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: -O-A_4-CO-N$R^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(166) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkoxycarbonyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula:

-O-A_4-CO-N$R^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(167) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(168) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(169) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(170) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(171) A quinoxaline derivative of the formula (1), wherein R^3 is a lower

alkanoyl group, R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(172) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(173) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(174) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(175) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(176) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(177) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

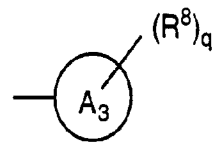
(178) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(179) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(180) A quinoxaline derivative of the formula (1), wherein R^3 is a lower

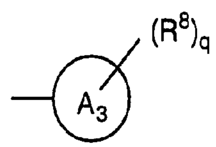
alkoxycarbonyl group, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(181) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a group of the formula:



(wherein the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(182) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(183) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

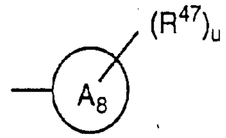
(184) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(185) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 - dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(186) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group,

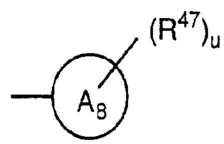
hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 - dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(187) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl group, R^4 is a group of the formula:



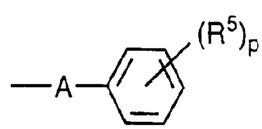
(in which the symbols are the same as defined above),
and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(188) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyl group, R^4 is a group of the formula:



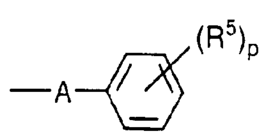
(in which the symbols are the same as defined above),
and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(189) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above),
and R^1, R^2 and r are the same as defined above, or a salt thereof.

(190) A quinoxaline derivative of the formula (1) wherein R^3 is phenoxycarbonyl group, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above),
and R^1, R^2 and r are the same as defined above, or a salt thereof.

(191) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a phenyl-lower alkenyl group which may

optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula:

$-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(192) A quinoxaline derivative of the formula (1) wherein R^3 is phenoxycarbonyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula:

$-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(193) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(194) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxy - carbonyl group, R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(195) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above.

(196) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxycarbonyl group, R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n

and r are the same as defined above, or a salt thereof.

(197) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(198) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxycarbonyl group, R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(199) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(200) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxycarbonyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(201) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy - lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(202) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxycarbonyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(203) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

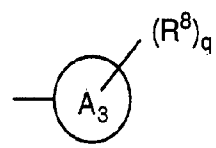
(204) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxycarbonyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(205) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and

R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

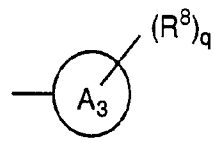
(206) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxycarbonyl group, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(207) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a group of the formula:



(wherein the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(208) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxycarbonyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(209) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

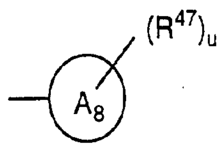
(210) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxycarbonyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(211) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(212) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxy-

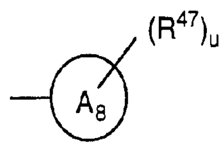
carbonyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 - dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(213) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxy-lower alkyl group, R^4 is a group of the formula:



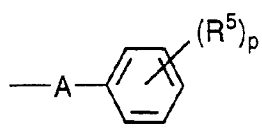
(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(214) A quinoxaline derivative of the formula (1), wherein R^3 is phenoxy - carbonyl group, R^4 is a group of the formula:



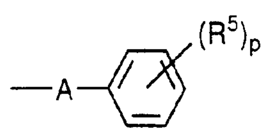
(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(215) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above), and R^1, R^2 and r are the same as defined above, or a salt thereof.

(216) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above), and R^1, R^2 and r are the same as defined above, or a salt thereof.

(217) A quinoxaline derivative of the formula (1) wherein R^3 is lower alkanoyl-substituted lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenyl - carbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(218) A quinoxaline derivative of the formula (1) wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenyl - calbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(219) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(220) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(221) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as the same, or a salt thereof.

(222) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a cycloalkyl-lower alkyl

group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(223) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(224) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group group, R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(225) A quinoxaline derivative of the formula (1), wherein R^3 is a lowe alkanoyl-substituted lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(226) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(227) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(228) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a phenylsulfinyl - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(229) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

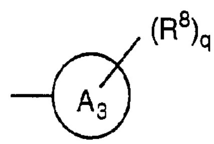
(230) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a phenylsulfonyl - substituted lower alkyl group which may optionally have a lower alkoxy

substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(231) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

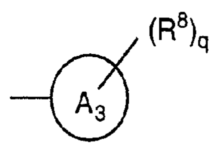
(232) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(233) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a group of the formula:



(wherein the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(234) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(235) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

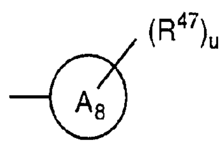
(236) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(237) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-

substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(238) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

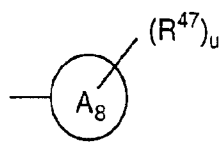
(239) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkanoyl-substituted lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above),

and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

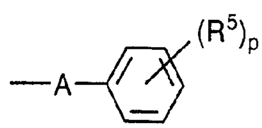
(240) A quinoxaline derivative of the formula (1), wherein R^3 is a lower alkoxycarbonyloxy-substituted lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above),

and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(241) A quinoxaline derivative of the formula (1) wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a group of the formula:

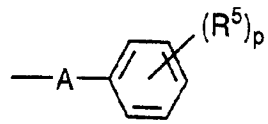


(wherein A, R^5 and p are the same as defined above),

and R^1, R^2 and r are the same as defined above, or a salt thereof.

(242) A quinoxaline derivative of the formula (1) wherein R^3 is a group

of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a group of the formula:



(wherein A, R^5 and p are the same as defined above), and R^1, R^2 and r are the same as defined above, or a salt thereof.

(243) A quinoxaline derivative of the formula (1) wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(244) A quinoxaline derivative of the formula (1) wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(245) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen

substituent on the phenyl ring, R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(246) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(247) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(248) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(249) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(250) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(251) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(252) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(253) A quinoxaline derivative of the formula (1), wherein R^3 is a

benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(254) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

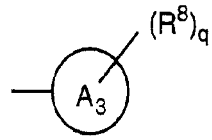
(255) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(256) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(257) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

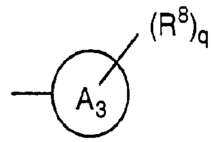
(258) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a phenoxy-substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(259) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring R^4 is a group of the formula:



(wherein the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(260) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a group of the formula:



(in which the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(261) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a group of the formula: -A_5-$CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

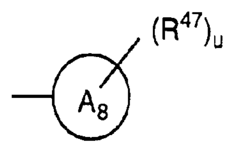
(262) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a group of the formula: -A_5-$CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(263) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(264) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula: -E-$NR^{52}R^{53}$ (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group

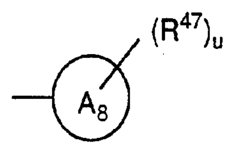
which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro - 1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(265) A quinoxaline derivative of the formula (1), wherein R^3 is a benzoyl-substituted lower alkyl group which may optionally have a halogen substituent on the phenyl ring, R^4 is a group of the formula:



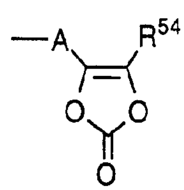
(in which the symbols are the same as defined above),
and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(266) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the fomula: -E-NR^{52}N^{53} (in which E, R^{52} and R^{53} are the same as defined above), R^4 is a group of the formula:

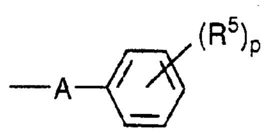


(in which the symbols are the same as defined above),
and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(267) A quinoxaline derivative of the formula (1) wherein R^3 is a group of the formula:

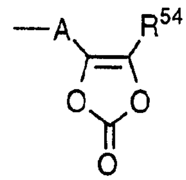


(in which A and R^{54} are the same as defined above), R^4 is a group of the formula:



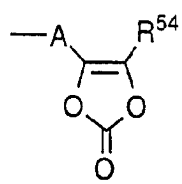
(in which A, R^5 and p are the same as defined above),
and R^1, R^2 and r are the same as defined above, or a salt thereof.

(268) A quinoxaline derivative of the formula (1) wherein R^3 is a group of the formula:



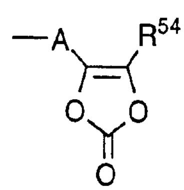
(in which A and R^{54} are the same as defined above), R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl - lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and R^1, R^3, R^4, m, n and r are the same as defined above, or a salt thereof.

(269) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:



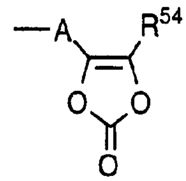
(in which A and R^{54} are the same as defined above), R^4 is a lower alkenyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(270) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:



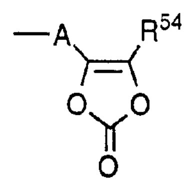
(in which A and R^{54} are the same as defined above), R^4 is a cycloalkyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(271) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:



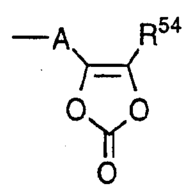
(in which A and R^{54} are the same as defined above), R^4 is a naphthyl-lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(272) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:



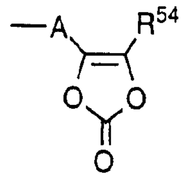
(in which A and R^{54} are the same as defined above), R^4 is a phenylthio - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(273) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:



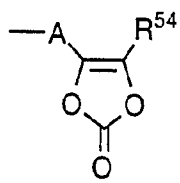
(in which A and R^{54} are the same as defined above), R^4 is a phenylsulfinyl - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(274) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:



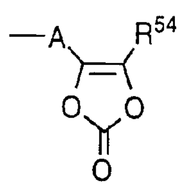
(in which A and R^{54} are the same as defined above), R^4 is a phenylsulfonyl - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(275) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:

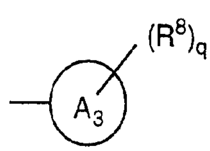


(in which A and R^{54} are the same as defined above), R^4 is a phenoxy - substituted lower alkyl group, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(276) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:

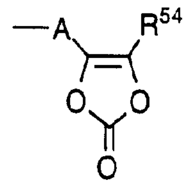


(in which A and R^{54} are the same as defined above), R^4 is a group of the formula:



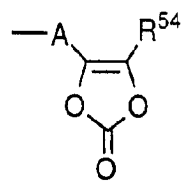
(wherein the symbols are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(277) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:



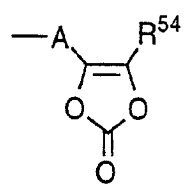
(in which A and R^{54} are the same as defined above), R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(278) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:

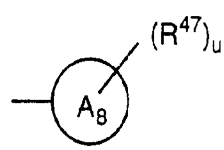


(in which A and R^{54} are the same as defined above), R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(279) A quinoxaline derivative of the formula (1), wherein R^3 is a group of the formula:



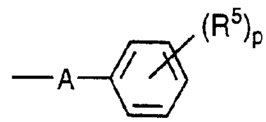
(in which A and R^{54} are the same as defined above), R^4 is a group of the formula:



(in which the symbols are the same as defined above),
and R^1, R^2, m, n and r are the same as defined above, or a salt thereof.

(280) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an

aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(281) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl - lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as defined above, or a salt thereof.

(282) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(283) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl - lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(284) A quinoxaline derivative of the formula (1), wherein R^1 is a lower

alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl - lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(285) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl - lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(286) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylthio - substitued lower alkyl group which may optinally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

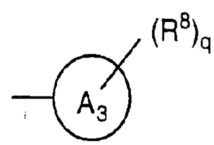
(287) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(288) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(289) A quinoxaline derivative of the formula (1), wherein R^1 is a lower

alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy - substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(290) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



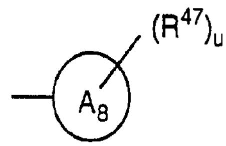
(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(291) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(292) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3-dihydro - 1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

(293) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the

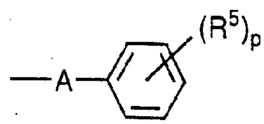
formula:



(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(294) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is hydrogen atom, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4-tetrahydroisoquinolyl group which may optionally have a lower alkoxy group), and m, n and r are the same as defined above, or a salt thereof.

(295) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above),
and m, n and r are the same as defined above, or a salt thereof.

(296) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined

above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as defined above, or a salt thereof.

(297) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(298) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(299) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(300) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

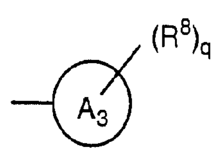
(301) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which

may optionally have a lower alkoxy substituent on the phenyl moiety and m, n and r are the same as defined above, or a salt thereof.

(302) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(303) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(304) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



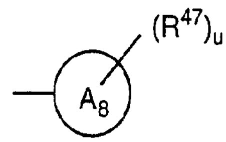
(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(305) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(306) A quinoxaline derivative of the formula (1), wherein R^1 is a lower

alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 - dihydro-1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

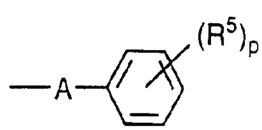
(307) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(308) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a lower alkyl group having optionally a halogen substituent, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4-tetrahydroisoquinolyl group which may optionally have a lower alkoxy group, and m, n and r are the same as defined above, or a salt thereof.

(309) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above),

and m, n and r are the same as defined above, or a salt thereof.

(310) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenyl - carbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as defined above, or a salt thereof.

(311) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(312) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(313) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(314) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylthio-

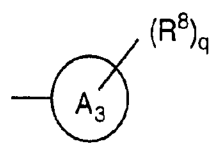
substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(315) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety and m, n and r are the same as defined above, or a salt thereof.

(316) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl - substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(317) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(318) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



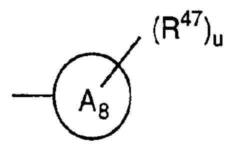
(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(319) A quinoxaline derivative of the formula (1), wherein R^1 is a lower

alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(320) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3-dihydro-1H - indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

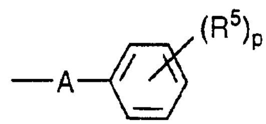
(321) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(322) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is phenyl group, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4 - tetrahydroisoquinolyl group which may optionally have a lower alkoxy group), and m, n and r are the same as defined above, or a salt thereof.

(323) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above),
and m, n and r are the same as defined above, or a salt thereof.

(324) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as defined above, or a salt thereof.

(325) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(326) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(327) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an

aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(328) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

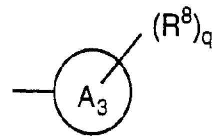
(329) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety and m, n and r are the same as defined above, or a salt thereof.

(330) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(331) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(332) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an

aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:

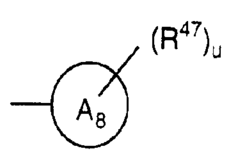


(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(333) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(334) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro - 1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

(335) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:

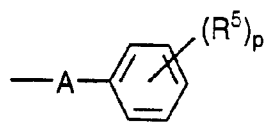


(in which the symbols are the same as defined above), and m, n and r are the

same as defined above, or a salt thereof.

(336) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is a morpholino-substituted lower alkyl group, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4-tetrahydroisoquinolyl group which may optionally have a lower alkoxy group), and m, n and r are the same as defined above, or a salt thereof.

(337) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



(in which A, R^5 and p are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(338) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, and m, n and r are the same as defined above, or a salt thereof.

(339) A quinoxaline derivative of the formula (1), wherein R^1 is a lower

alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a lower alkenyl group, and m, n and r are the same as defined above, or a salt thereof.

(340) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a cycloalkyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(341) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a naphthyl-lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(342) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

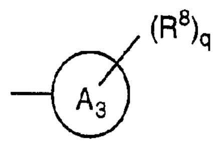
(343) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety and m, n and r are the same as defined above, or a salt thereof.

(344) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an

aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and m, n and r are the same as defined above, or a salt thereof.

(345) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a phenoxy-substituted lower alkyl group, and m, n and r are the same as defined above, or a salt thereof.

(346) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



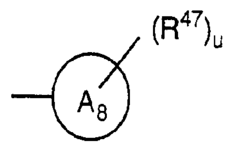
(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(347) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

(348) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a 2,3-dihydro-1H-indenyl group-substituted lower alkyl group

which may optionally have a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro - 1H-indenyl ring, and m, n and r are the same as defined above, or a salt thereof.

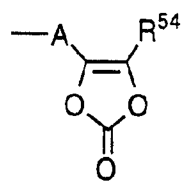
(349) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 is hydrogen atom or a lower alkyl group, R^4 is a group of the formula:



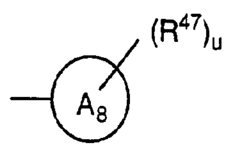
(in which the symbols are the same as defined above), and m, n and r are the same as defined above, or a salt thereof.

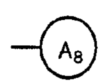
(350) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent or an aminocarbonyl group having optionally a lower alkyl substituent, R^2 is an imidazolyl-substituted lower alkyl group, R^3 and R^4 combine together with the nitrogen atom to form 1,2,3,4-tetrahydroisoquinolyl group which may optionally have a lower alkoxy group), and m, n and r are the same as defined above, or a salt thereof.

(351) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

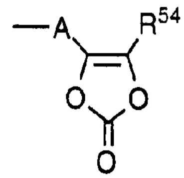


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:

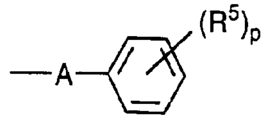


(in which the group , R^{47} and u are as defined above), and R^2, m, n, and r are as defined above, or a salt thereof.

(352) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

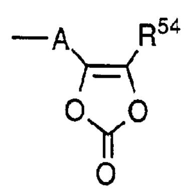


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and R^2, m, n, and r are as defined above, or a salt thereof.

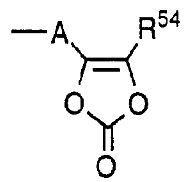
(353) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the

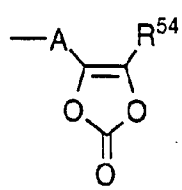
phenyl moiety, and R^2, m, n, and r are as defined above, or a salt thereof.

(354) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



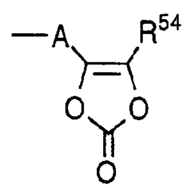
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group; and R^2, m, n, and r are as defined above, or a salt thereof.

(355) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



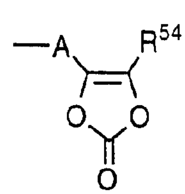
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group; and R^2, m, n, and r are as defined above, or a salt thereof.

(356) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



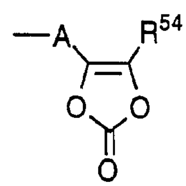
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group; and R^2, m, n, and r are as defined above, or a salt thereof.

(357) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



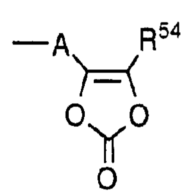
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; and R^2, m, n, and r are as defined above, or a salt thereof.

(358) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; and R^2, m, n, and r are as defined above, or a salt thereof.

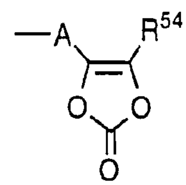
(359) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; and R^2, m, n, and r

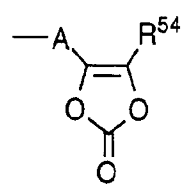
are as defined above, or a salt thereof.

(360) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

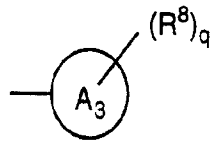


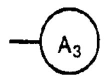
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group; and R^2, m, n, and r are as defined above, or a salt thereof.

(361) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

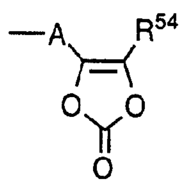


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



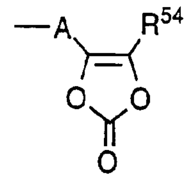
(in which the group , R^8 and q are as defined above); and R^2, m, n, and r are as defined above, or a salt thereof.

(362) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl -substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



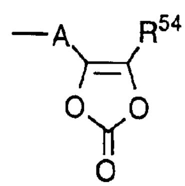
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula: -A_5-$CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above); and R^2, m, n, and r are as defined above, or a salt thereof.

(363) A quinoxaline derivative of the formula (1), wherein R^1 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl -substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

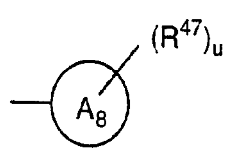


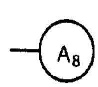
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and R^2, m, n, and r are as defined above, or a salt thereof.

(364) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



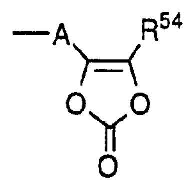
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



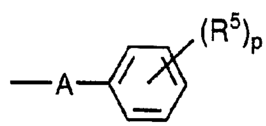
(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(365) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower

alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

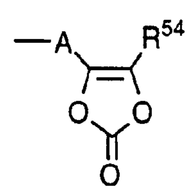


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

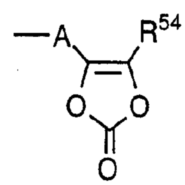
(366) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having otionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a

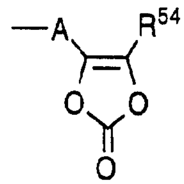
substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(367) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



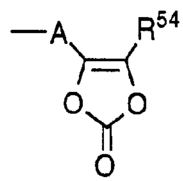
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

(368) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



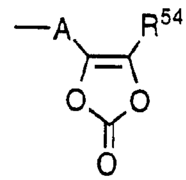
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(369) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



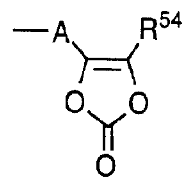
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(370) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

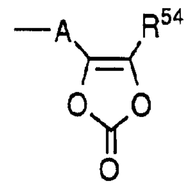
(371) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

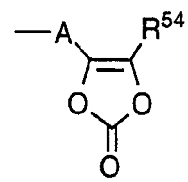
(372) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which

E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

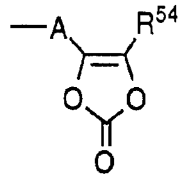
(373) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



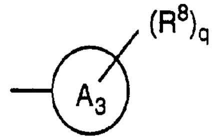
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

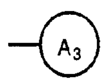
(374) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxy - carbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and

R^{53} are as defined above), or a group of the formula:

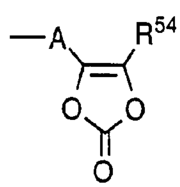


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which the group , R^8 and q are as defined above), and m, n, and r are as defined above, or a salt thereof.

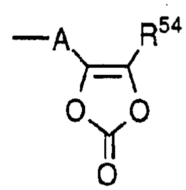
(375) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxy - carbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

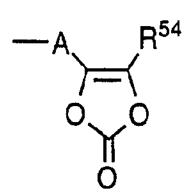
(376) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is hydrogen atom; R^3 is a lower

alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxy - carbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

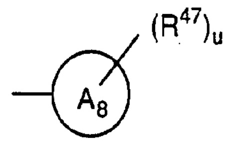


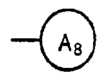
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

(377) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

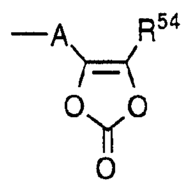


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:

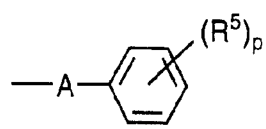


(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(378) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



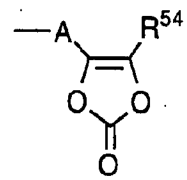
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

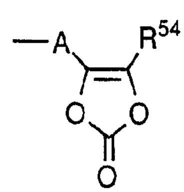
(379) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a

lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



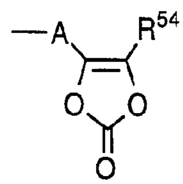
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: -O-A_4-CO-N$R^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(380) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E - N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



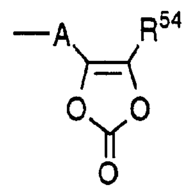
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

(381) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



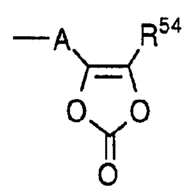
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(382) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

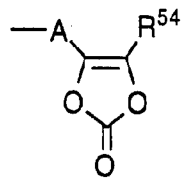
(383) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl -substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

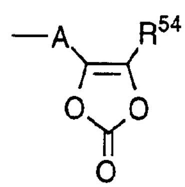
(384) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl -substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula:

-E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

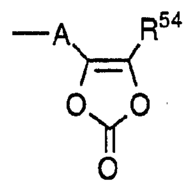
(385) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

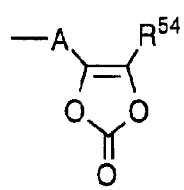
(381) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a

lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

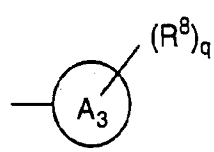


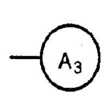
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(387) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



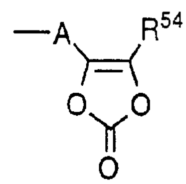
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which the group , R^8 and q are as defined above), and m, n, and r

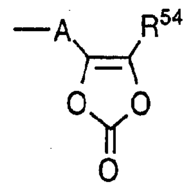
are as defined above, or a salt thereof.

(388) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



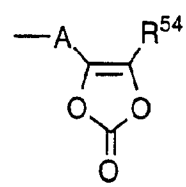
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula: -A_5-$CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

(389) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

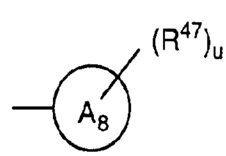


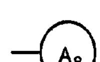
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

(390) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



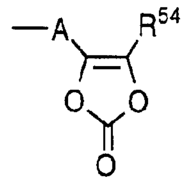
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



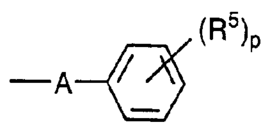
(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(391) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower

alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

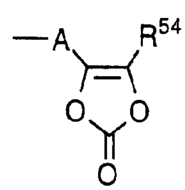


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

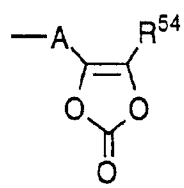
(392) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a

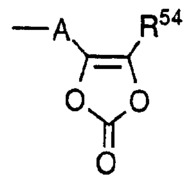
substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(393) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



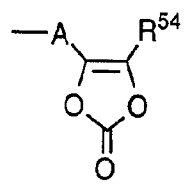
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

(394) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



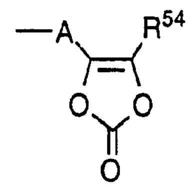
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(395) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



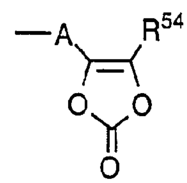
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(396) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

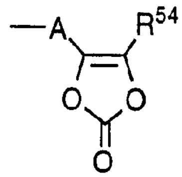
(397) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

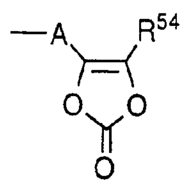
(398) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which

E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

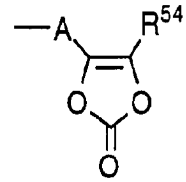
(399) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



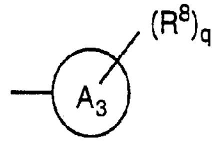
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

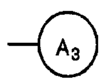
(400) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which

E, R^{52} and R^{53} are as defined above), or a group of the formula:

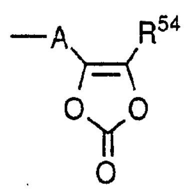


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which the group , R^8 and q are as defined above), and m, n, and r are as defined above, or a salt thereof.

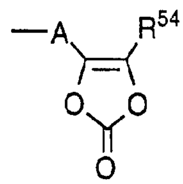
(401) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

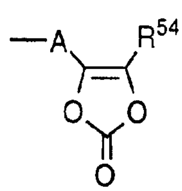
(402) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is phenyl group; R^3 is a lower

alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

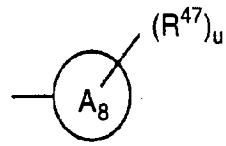


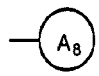
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

(403) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

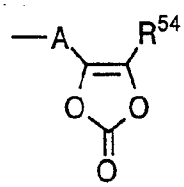


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:

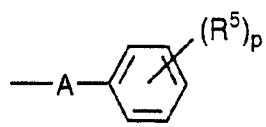


(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(404) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



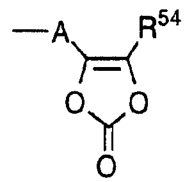
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

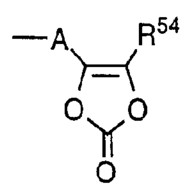
(405) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower

alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



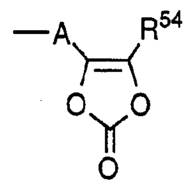
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: -O-A_4-CO-N$R^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(406) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



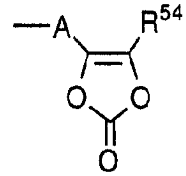
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

(407) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

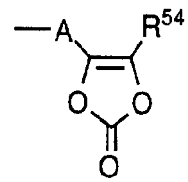
(408) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

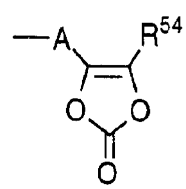
(409) A quinoxaline derivative of the formula (1), wherein R^1 is a

halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



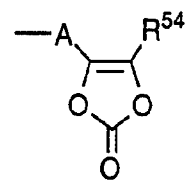
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(410) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



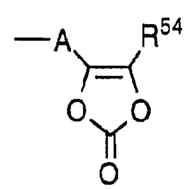
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(411) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



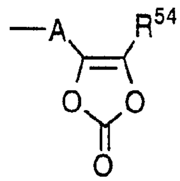
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(412) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

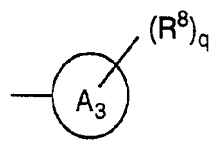


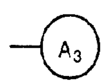
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(413) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

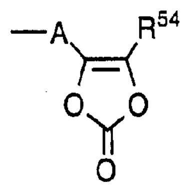


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



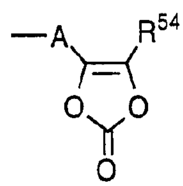
(in which the group  , R^8 and q are as defined above), and m, n, and r are as defined above, or a salt thereof.

(414) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

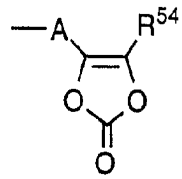
(415) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



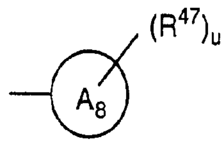
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

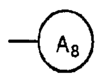
(416) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a

halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

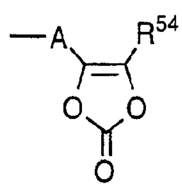


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:

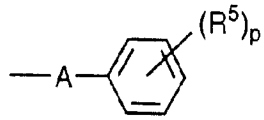


(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(417) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

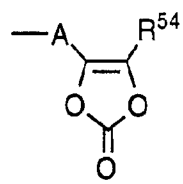


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

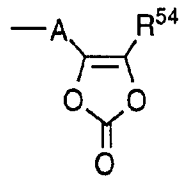
(418) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

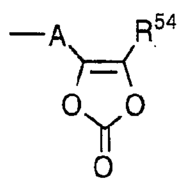
(419) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53})

(in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



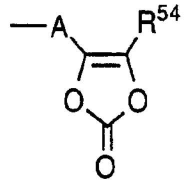
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

(420) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



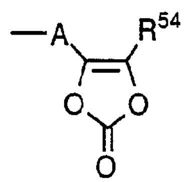
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(421) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



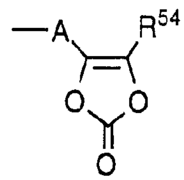
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(422) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



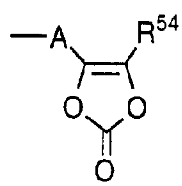
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(423) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

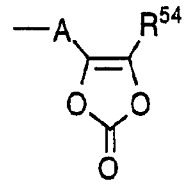
(424) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

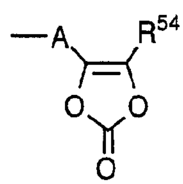
(425) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53})

(in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

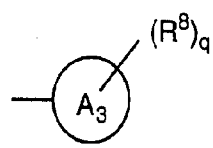


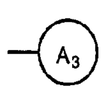
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(426) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



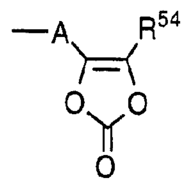
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which the group , R^8 and q are as defined above), and m, n, and r are as defined above, or a salt thereof.

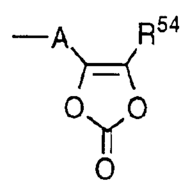
(427) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy-

carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula: -A_5-$CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

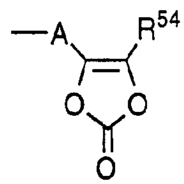
(428) A quinoxaline derivative of the formula (1), wherein R^1 is a halogen atom or a lower alkyl group; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



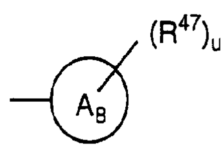
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

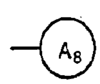
(429) A quinoxaline derivative of the formula (1), wherein R^1 is a lower

alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl -substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E -N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



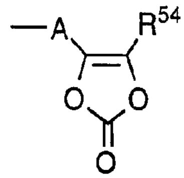
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



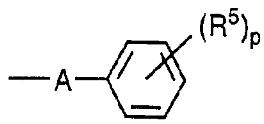
(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(430) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl -substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of

the formula:

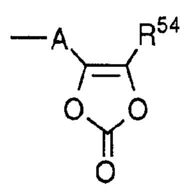


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

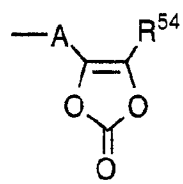
(431) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the

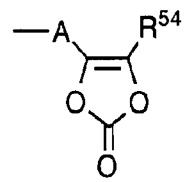
tetrazole moiety, hydroxy group, a group of the formula: -O-A_4-CO-NR^{40}R^{41} (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(432) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



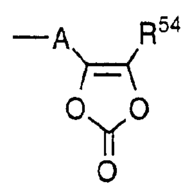
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

(433) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

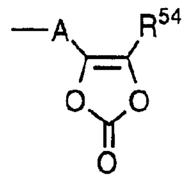
(434) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

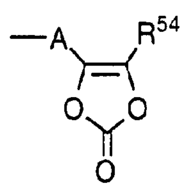
(435) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having

optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

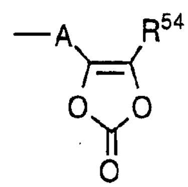
(436) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

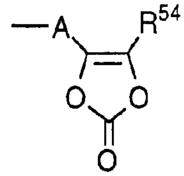
(437) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is

hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



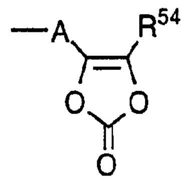
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(438) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E - N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

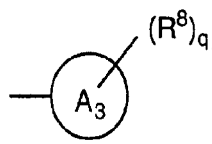


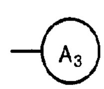
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(439) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



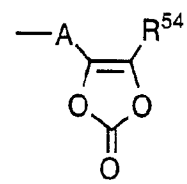
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which the group , R^8 and q are as defined above), and m, n, and r are as defined above, or a salt thereof.

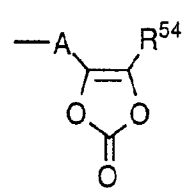
(440) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower

alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



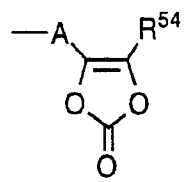
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula: -A_5-$CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

(441) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is hydrogen atom; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl - substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

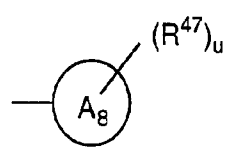


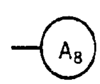
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

(442) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



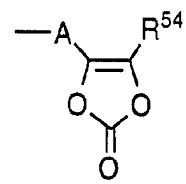
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



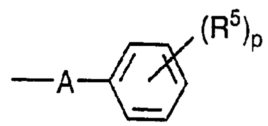
(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(443) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxy - carbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent

on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

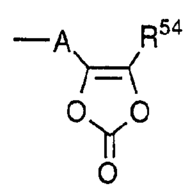


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

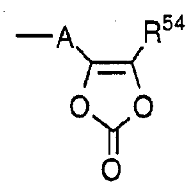
(444) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy

group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

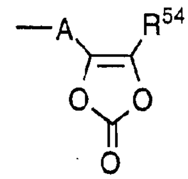
(445) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

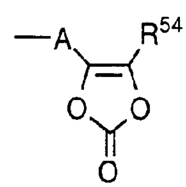
(446) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53}

are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

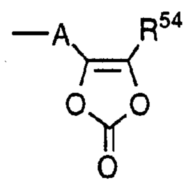
(447) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

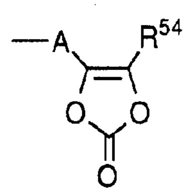
(448) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy-

carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

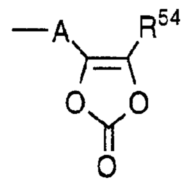
(449) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

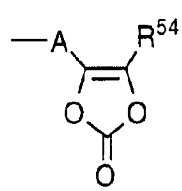
(450) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an

aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



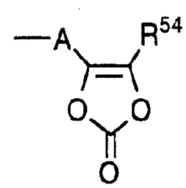
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(451) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

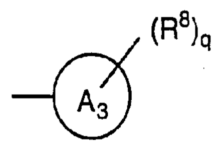


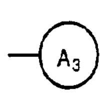
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(452) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



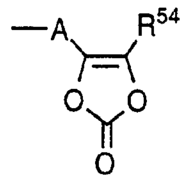
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which the group , R^8 and q are as defined above), and m, n, and r are as defined above, or a salt thereof.

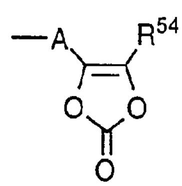
(453) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl

group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



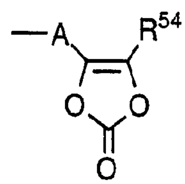
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

(454) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a lower alkyl group having optionally a halogen substituent; R^3 is a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxy - carbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxy - carbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

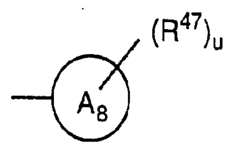


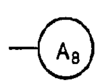
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

(455) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

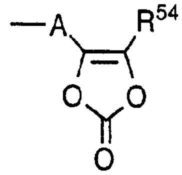


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:

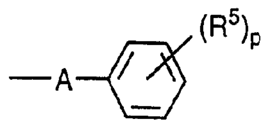


(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(456) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

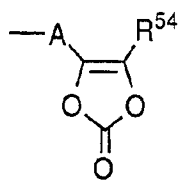


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

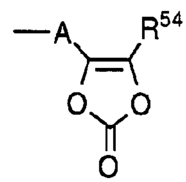
(457) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro

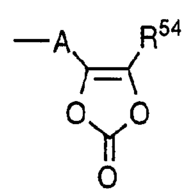
group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(458) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



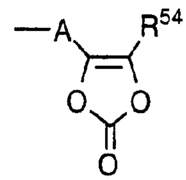
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

(459) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



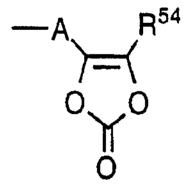
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(460) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



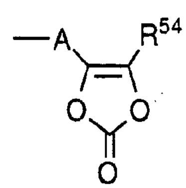
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

(461) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

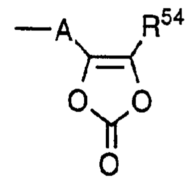
(462) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

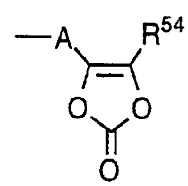
(463) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower

alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

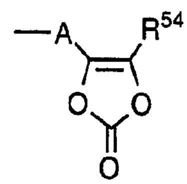
(464) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



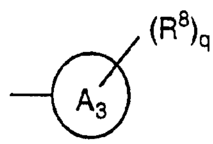
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

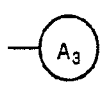
(465) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a

phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

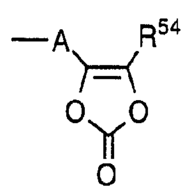


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which the group , R^8 and q are as defined above), and m, n, and r are as defined above, or a salt thereof.

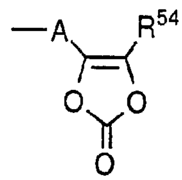
(466) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as

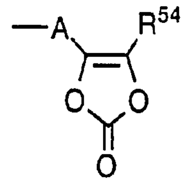
defined above); R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

(467) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is phenyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxy - carbonyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy - lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

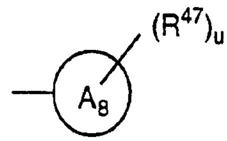


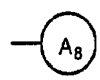
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

(468) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

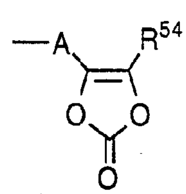


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:

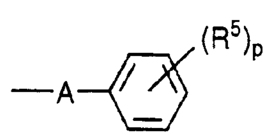


(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(469) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

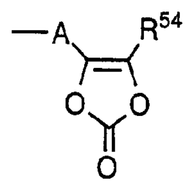


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

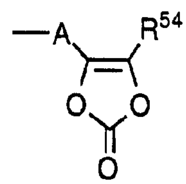
(470) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

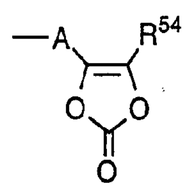
(471) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower

alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

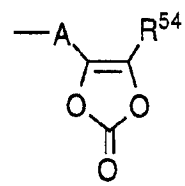
(472) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

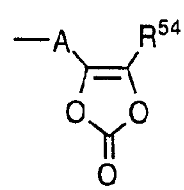
(473) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a

morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

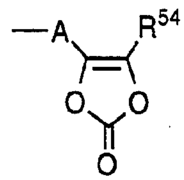
(474) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are

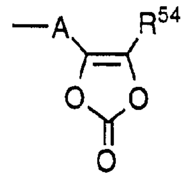
as defined above, or a salt thereof.

(475) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



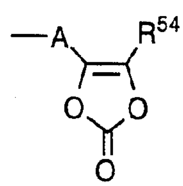
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(476) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

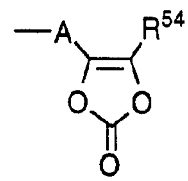
(477) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



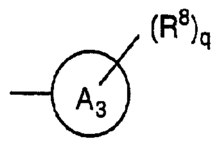
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

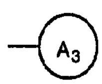
(478) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a

lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

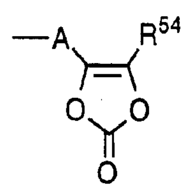


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which the group , R^8 and q are as defined above), and m, n, and r are as defined above, or a salt thereof.

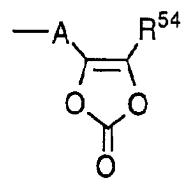
(479) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as

defined above); R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

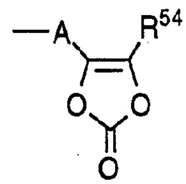
(480) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is a morpholino-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



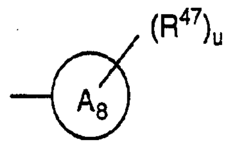
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

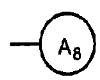
(481) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or

a group of the formula:

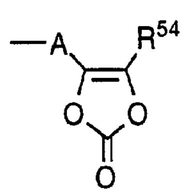


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:

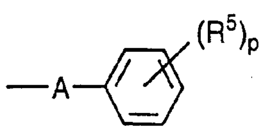


(in which the group , R^{47} and u are as defined above), and m, n, and r are as defined above, or a salt thereof.

(482) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

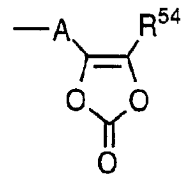


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which A, R^5 and p are as defined above), and m, n, and r are as defined above, or a salt thereof.

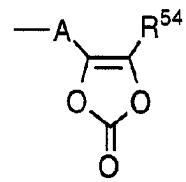
(483) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

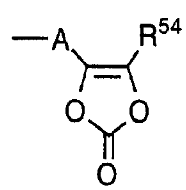
(484) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower

alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a lower alkenyl group, and m, n, and r are as defined above, or a salt thereof.

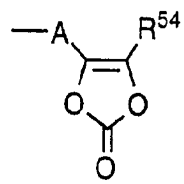
(485) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a cycloalkyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

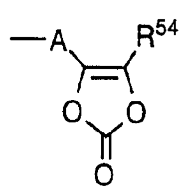
(486) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an

imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same.as defined above); R^4 is a naphthyl-lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

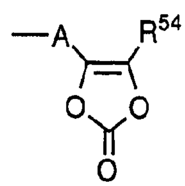
(487) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety, and m, n, and r are

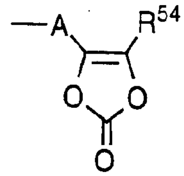
as defined above, or a salt thereof.

(488) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



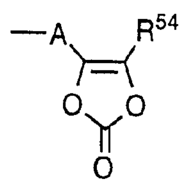
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

(489) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, and m, n, and r are as defined above, or a salt thereof.

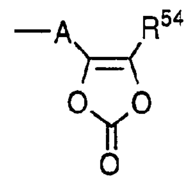
(490) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



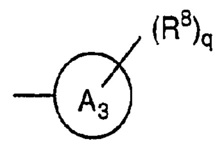
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a phenoxy-substituted lower alkyl group, and m, n, and r are as defined above, or a salt thereof.

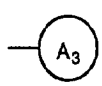
(491) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a

lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:

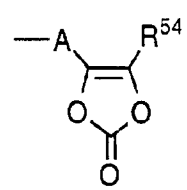


(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a group of the formula:



(in which the group , R^8 and q are as defined above), and m, n, and r are as defined above, or a salt thereof.

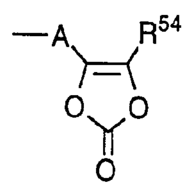
(492) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as

defined above); R^4 is a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are as defined above), and m, n, and r are as defined above, or a salt thereof.

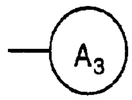
(493) A quinoxaline derivative of the formula (1), wherein R^1 is a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent; R^2 is an imidazolyl-substituted lower alkyl group; R^3 is a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonyloxy - substituted lower alkyl group, a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: $-E-N(R^{52})(R^{53})$ (in which E, R^{52} and R^{53} are as defined above), or a group of the formula:



(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); R^4 is a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, and m, n, and r are as defined above, or a salt thereof.

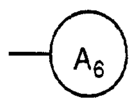
The compound of the present invention also includes the compounds of the formula (1) wherein both m and n are simultaneously 1, or m is 1 and n is 0, or m is 0 and n is 1, or both m and n are simultaneously 0, but the more preferable compounds are compounds of the formula (1) wherein m is 1 and n is 0.

The heterocyclic group represented by the formula:



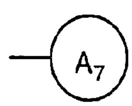
includes, for example, pyridyl, thiazolyl, furyl, benzimidazolyl, benzothiazolyl, oxazolyl, quinolyl, indolyl, 1,4-benzodioxanyl, 3,4-dihydrofuro[2,3-g]quinolyl, 1,2,3,4-tetrahydrofuro[2,3-g]quinolyl, furo[3,2-c]pyridyl, furo[2.3-g]quinolyl, benzofuryl, benzothienyl, 2,3-dihydrobenzofuryl, perhydrobenzofuryl, imidazolyl, 1,2,3,4-tetrazolyl, imidazo[1,2-a]pyridyl, and the like.

The heterocyclic group represented by the formula:



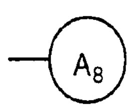
includes, for example, 1,2,3,4-tetrazolyl, thiazolyl, pyridyl, oxazolyl, 1,2,3,5 - oxathiadiazolyl, 1,3,4-triazolyl, 1,2,4-oxadiazolyl, 1,2,4-triazinyl, imidazolyl, 1,3,4-oxadiazolyl, pyrimidinyl, 1,2,3,4-tetrazolyl, 3,4-dihydrofuro[2,3-g]quinolyl, 1,2,3,4-tetrahydrofuro[2,3-g]quinolyl, naphtho[2,1-b]furyl, oxazolyl, furo[2,3 - g]quinolyl, and the like.

The heterocyclic group represented by the formula:



includes, for example, thiazolyl, 1,2,3,4-tetrazolyl, thiazolidinyl, and the like.

The heterocyclic group represented by the formula:

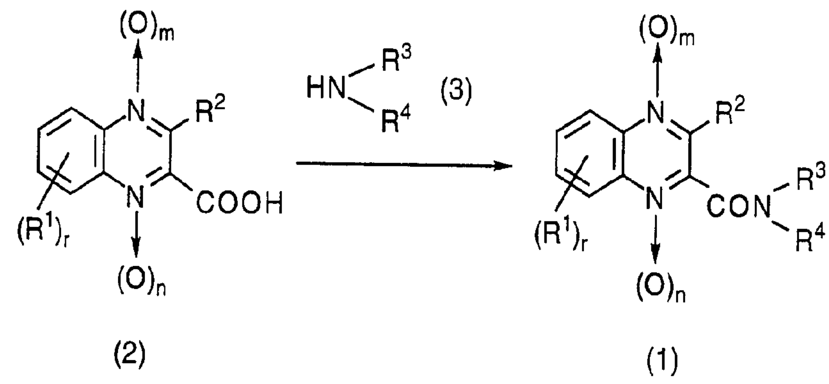


includes, for example, benzofuryl, benzothiazolyl, carbostyril, 3,4 - dihydrocarbostyril, furo[3,2-c]pyridyl, benzothienyl, and the like.

The compounds of the present invention of the formula (1) may be prepared by various processes, but preferably prepared by the following

processes.

Reaction Scheme-1



[wherein R^1, R^2, R^3, R^4, r, m and n are the same as defined above]

The reaction of the compound (2) and the compound (3) is carried out by a conventional amido bond producing reaction. The amido bond producing reaction can be carried out under the same conditions as those of the conventional amino bond producing reaction, for example,

(a) a mixed acid anhydride process, i.e. a process of reacting the carboxylic acid compound (2) with an alkyl halocarbonate to form a mixed acid anhydride and reacting the resultant with the amine compound (3),

(b) an activated ester process, i.e. a process of converting the carboxylic acid compound (2) into an activated ester such as p-nitrophenyl ester, N-hydroxysuccinimide ester, 1-hydroxybenzotriazole ester, etc., and reacting the resultant with the amine compound (3),

(c) a carbodiimide process, i.e. a process of condensing the carboxylic acid compound (2) and the amine compound (3) in the presence of an activating agent such as dicyclohexylcarbodiimide, carbonyldiimidazole, etc.,

(d) other processes, i.e. a process of converting the carboxylic acid compound (2) into a carboxylic anhydride by treating it with a dehydrating agent such as acetic anhydride, and reacting the resultant with the amine compound (3); a process of reacting an ester of the carboxylic acid compound (2) with a lower alcohol and the amine compound (3); a process of reacting an acid halide compound of the carboxylic acid compound (2), i.e. a carboxylic

acid halide, with the amine compound (3); a process of activating the carboxylic acid (2) with a phosphorus compound such as triphenylphosphine, diethyl chlorophosphate, etc., and reacting the resultant with the amine compound (3); a process of converting the carboxylic acid compound (2) into a N - carboxyamino anhydride with a phosgene or chloroformic acid trichloromethyl ester, and reacting the resultant with the amine compound (3); a process of activating the carboxylic acid compound (2) with an acetylene compound such as trimethylsilylethoxyacetylene, etc., and reacting the resultant with the amine compound (3), and the like.

The mixed acid anhydride used in the above mixed acid anhydride process (a) is obtained by the known Schötten-Baumann reaction, and the reaction product is used without isolating from the reaction mixture for the reaction with the amine compound (3) to give the desired compound (1) of the present invention. The Schötten-Baumann reaction is usually carried out in the presence of a basic compound. The basic compound is any conventional compounds used for the Schötten-Baumann reaction and includes, for example, organic basic compounds such as triethylamine, trimethylamine, pyridine, dimethylaniline, N-methylmorpholine, 4-dimethylaminopyridine, 1,5 - diazabicyclo[4.3.0]nonene-5 (DBN), 1,8-diazabicyclo[5.4.0]undecene-7 (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), etc., and inorganic basic compounds such as potassium carbonate, sodium carbonate, potassium hydrogen carbonate, sodium hydrogen carbonate, etc. The reaction is usually carried out at a temperature from about -20°C to about 100°C, preferably at a temperature of 0°C to about 50°C, for about 5 minutes to about 10 hours, preferably for 5 minutes to about 2 hours.

The reaction between the mixed acid anhydride thus obtained and the amine compound (3) is usually carried out at a temperature of -20°C to about 150°C, preferably at a temperature of 10°C to about 50°C, for 5 minutes to about 10 hours, preferably for about 5 minutes to 5 hours. The mixed acid anhydride process is usually carried out in a solvent or without a solvent, and the solvent may be any conventional solvents which are usually used in the mixed acid anhydride process and includes, for example, halogenated hydrocarbons (e.g. methylene chloride, chloroform, dichloroethane, etc.),

aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), ethers (e.g. diethyl ether, dioxane, diisopropyl ether, tetrahydrofuran, dimethoxyethane, etc.), esters (e.g. methyl acetate, ethyl acetate, etc.), aprotic polar solvents (e.g. 1,1,3,3-tetramethylurea, N,N-dimethylformamide, dimethylsulfoxide, hexamethylphosphoric triamide, etc.), or a mixture of these solvents. The alkyl halocarbonate used in the mixed acid anhydride process includes, for example, methyl chloroformate, methyl bromoformate, ethyl chloroformate, ethyl bromoformate, isobutyl chloroformate, and the like. In said process, the carboxylic acid compound (2), the alkyl halocarbonate ester and the amine compound (3) are usually used in each equimolar amount, but preferably, the alkyl halocarbonate ester and the amine compound (3) are used each in an amount of about 1 to 1.5 mole to 1 mole of the carboxylic acid compound (2).

The activated ester process (b), for example, a process using N - hydroxysuccinic acid imide ester is carried out in a suitable solvent which does not affect the reaction, in the presence or absence of a basic compound. Besides, the reaction may be carried out with addition of a condensing agent such as dicyclohexylcarbodiimide, carbonyldiimidazole, 1-ethyl-3-(3'-dimethyl - aminopropyl)carbodiimide, etc. The basic compound may be any basic compounds for the above mentioned Schötten-Baumann reaction, and alkali metal carboxylates (e.g. sodium acetate, sodium benzoate, sodium formate, potassium acetate, lithium benzoate, cecium acetate, etc.), alkali metal halides (e.g. potassium fluoride, cecium fluoride, etc.), and the like. The solvent includes, for example, halogenated hydrocarbons (e.g. methylene chloride, chloroform, dichloroethane, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), ethers (e.g. diethyl ether, dioxane, tetrahydrofuran, dimethoxyethane, etc.), esters (e.g. methyl acetate, ethyl acetate, etc.), aprotic polar solvents (e.g. N,N-dimethylformamide, dimethylsulfoxide, hexamethyl - phosphoric triamide, etc.), or a mixture of these solvents. The reaction is carried out at a temperature of 0 to 150°C, preferably at a temperature of 10 to 100°C for 5 to 30 hours. The amine compound (3) and N-hydroxysuccinic acid imide ester are used each at least in equimolar amount, preferably in an amount of 1 to 2 moles to 1 mole of the carboxylic acid compound (2).

Besides, the amido bond producing reaction in Reaction Scheme-

1 may also be carried out by reacting the carboxylic acid compound (2) and the amine compound (3) in the presence of a condensing agent such as phosphorus compounds (e.g. triphenylphosphine, triphenylphosphine-2,2' -dipyridyldisulfide, diethyl chlorophosphate, diphenylphosphinyl chloride, phenyl-N-phenylphosphoramide chloridate, diethyl cyanophosphate, bis(2-oxo - 3-oxazolidinyl)phosphinic chloride, etc.). The reaction is usually carried out in the presence of the solvent and the basic compound. The basic compound includes, for example, in addition to the basic compounds used for the above mentioned Schötten-Baumann reaction, sodium hydroxide, potassium hydroxide, etc. The solvent includes, for example, in addition to the solvents used in the mixed acid anhydride process (a), pyridine, acetone, acetonitrile, or a mixture of two or more above solvents. The reaction is usually carried out at a temperature of -20°C to about 150°C, preferably at a temperature of 0°C to about 100°C, for about 5 minutes to about 30 hours. The condensing agent and the amine compound (3) are used at least in equimolar amount, preferably in an amount of about 1 to 2 moles, to 1 mole of the carboxylic acid compound (2).

The amido bond producing reaction is also carried out by reacting the amine compound (3) and the carboxylic acid compound (2) in the presence of a condensing agent. The reaction is carried out in a suitable solvent in the presence or absence of a catalyst. The solvent includes, for example, halogenated hydrocarbons (e.g. dichloromethane, dichloroethane, chloroform, carbon tetrachloride, etc.), acetonitrile, dimethylformamide, etc. The catalyst includes, for example, organic bases such as dimethylaminopyridine, 4 - piperidinopyridine, etc., salts such as pyridinium tosylate, etc., camphorsulfonic acid, mercury oxide, and the like. The condensing agent includes, for example, acetylene compounds such as trimethylsilylethoxyacetylene, etc., and is used in an amount of 1 to 10 moles, preferably in an amount of 2 to 6 moles, to 1 mole of the amine compound (3). The carboxylic acid compound (2) is usually used at least in equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the amine compound (3). The reaction is usually carried out at a temperature of 0 to about 150°C, preferably at a temperature of room temperature to about 100°C, for about 1 to about 10 hours.

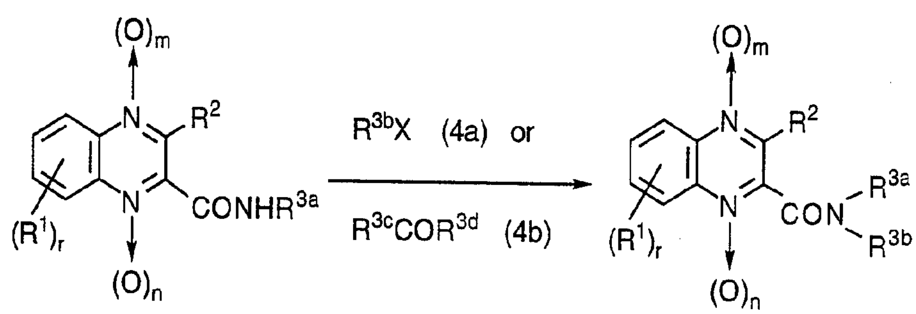
Among the above other processes (d), in case of the process of reacting the carboxylic acid halide with the amine compound (3), the reaction is usually carried out in the presence of a de-hydrogen halogenating agent in an appropriate solvent. The de-hydrogen halogenating agent is any conventional basic compounds and includes, for example, in addition to the basic compounds used for the above mentioned Schötten-Baumann reaction, sodium hydroxide, potassium hydroxide, sodium hydride, potassium hydride, and the like. The solvent includes, for example, in addition to the solvents used in the mixed acid anhydride process, alcohols (e.g. methanol, ethanol, propanol, butanol, 3-methoxy-1-butanol, ethylcellosolve, methylcellosolve, etc.), pyridine, acetone, acetonitrile, or a mixture of two or more these solvents, and the like. The amount of the amine compound (3) and the carboxylic acid halide is not critical, but the amine compound (3) is usually used at least in equimolar amount, preferably about 1 to 5 moles, to 1 mole of the carboxylic acid halide. The reaction is usually carried out at a temperature of about -20°C to about 180°C, preferably at a temperature of about 0°C to about 150°C, for about 5 minutes to about 30 hours.

The carboxylic acid halide used in the above reaction may be prepared, for example, by reacting the carboxylic acid compound (2) with a halogenating agent in a solvent or without a solvent. The solvent may be any one which does not affect the reaction, for example, aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), halogenated hydrocarbons (e.g. chloroform, methylene chloride, carbon tetrachloride, etc.), ethers (e.g. dioxane, tetrahydrofuran, diethyl ether, etc.), dimethylformamide, dimethylsulfoxide, and the like. The halogenating agent may be any conventional one which convert the hydroxy group in carboxyl group into a halogen, for example, thionyl chloride, phosphorus oxychloride, phosphorus oxybromide, phosphorus pentachloride, phosphorus pentabromide, etc. The amount of the carboxylic acid compound (2) and the halogenating agent is not critical, but the halogenating agent is usually used in an excess amount to the carboxylic acid compound (2) when the reaction is carried out without a solvent, and when the reaction is carried out in a solvent, the hydrogenating agent is usually used at least in equimolar amount, preferably in an amount of 2 to 4 moles, to 1 mole of

the carboxylic acid compound (2). The reaction temperature and the reaction period are not necessarily specified, but the reaction is usually carried out at a temperature of room temperature to about 100°C, preferably at a temperature of 50 to 80°C, for 30 minutes for about 6 hours.

In the process of reacting the amine compound (3) with an ester of the carboxylic acid compound (2) and a lower alcohol, the reaction is carried out in a suitable solvent or without a solvent. The solvent may be any ones which are used in the above mentioned reaction of the carboxylic acid halide and the amine compound (3). The amine compound (3) is usually used at least in equimolar amount, preferably in an amount of 1 to 15 moles, to 1 mole of the ester of the carboxylic acid compound (2) and a lower alcohol. The reaction is usually carried out at a temperature of room temperature to 150°C, preferably at a temperature of room temperature to about 120°C, for one to about 20 hours.

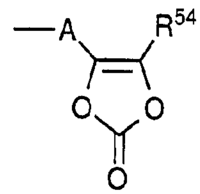
Reaction Scheme-2



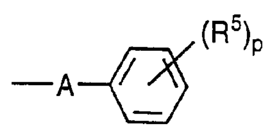
(1a) (1b)

[wherein R^1, R^2, r, m and n are the same as defined above, X is a halogen atom, R^{3a} is hydrogen atom, a lower alkyl group, a phenyl-lower alkoxy - carbonyl group, a lower alkanoyloxy-substituted lower alkyl group, e) a lower alkanoyl group, a lower alkoxycarbonyl group, a lower alkoxy-lower alkyl group, a phenoxycarbonyl group, a lower alkanoyl-substituted lower alkyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-$NR^{52}R^{53}$ (in which R^{52} and R^{53} are the same or different and each hydrogen atom, a lower alkyl group, a lower

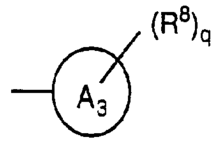
alkoxycarbonyl group or phenyl group, or R^{52} and R^{53} may combine together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom, E is a lower alkylene group, a group of the formula: -CO-, or a group of the formula:
-CO-A- (in which A is a lower alkylene group)), a group of the formula:

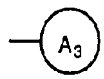


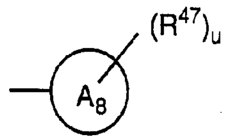
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above), a group of the formula:

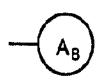


(in which A, R^5 and p are the same as defined above), a phenyl-lower alkenyl group which may optionally have a substituent on the phenyl moiety selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent, an alkenyl group, a cycloalkyl-lower alkyl group, a naphthyl-lower alkyl group, a phenylthio-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, a phenoxy-substituted lower alkyl group, a group of the formula:



(in which a group of the formula: , R^8 and p are the same as defined above), a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43} and R^{44} are the same as defined above), a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent on the 2,3-dihydro-1H-indenyl ring selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent, or a group of the formula:



(in which a group of the formula: , R^{47} and u are the same as defined above, R^{3b} is the same groups for the above mentioned R^{3a} except hydrogen atom, and R^{3c} and R^{3d} are each hydrogen atom or a lower alkyl group]

The reaction of the compound (1a) and the compound (4a) is usually carried out in the presence or absence of a basic compound in a suitable inert solvent. The inert solvent includes, for example, aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), ethers (e.g. tetrahydrofuran, dioxane, diethylene glycol dimethyl ether, etc.), alcohols (e.g. methanol, ethanol, isopropanol, butanol, etc.), ethyl acetate, acetone, acetonitrile, dimethylsulfoxide, dimethylformamide, hexamethylphosphoric triamide, or a mixture of these solvents. The basic compound includes, for example, an alkali metal carbonate (e.g. sodium carbonate, potassium carbonate, sodium hydrogen carbonate, potassium hydrogen carbonate, etc.), an alkali metal hydroxide (e.g. sodium hydroxide, potassium hydroxide, etc.), sodium hydride, potassium, sodium, sodium amide, an alkali metal alcoholate (e.g. sodium methylate, sodium ethylate, etc.), organic basic compounds (e.g. pyridine, N -ethyldiisopropylamine, dimethylaminopyridine, triethylamine, DBN, DBU,

DABCO, etc.), and the like. The amount of the compound (1a) and the compound (4a) is not critical, but the compound (4a) is used at least in equimolar amount, preferably in an amount of 1 to 10 moles, to 1 mole of the compound (1a). The reaction is usually carried out at a temperature of 0 to about 200°C, preferably at a temperature of 0 to about 170°C, for 30 minutes to 30 hours. The reaction may be carried out by adding an alkali metal halide compound such as sodium iodide, potassium iodide, etc., into the reaction system. Further, the reaction may be carried out by adding a halogenated ammonium such as tetra-n-butylammonium iodide, tetra-n-butylammonium bromide, n-butyl triethyl ammonium iodide, tetraethylammonium iodide, tri-n - butyl methyl ammonium iodide, etc., into the reaction system.

The reaction of the compound (1a) and the compound (4b) is usually carried out in the presence of a reducing agent in a suitable solvent or without a solvent. The solvent includes, for example, water, alcohols (e.g. methanol, ethanol, isopropanol, butanol, etc.), acetonitrile, formic acid, acetic acid, ethers (e.g. dioxane, diethyl ether, diglyme, tetrahydrofuran, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), or a mixture of these solvents. The reducing agent includes, for example, formic acid, alkali metal salts of fatty acid (e.g. sodium formate, etc.), hydrogenating-reducing agents (e.g. sodium borohydride, sodium cyanoborohydride, lithium aluminum hydride, etc.), catalytic reducing agents (e.g. palladium-black, palladium - carbon, platinum oxide, platinum black, Raney-nickel, etc.), and the like.

When formic acid is used as a reducing agent, the reaction is usually carried out at a temperature of room temperature to about 200°C, preferably at a temperature of 50 to about 150°C, for 1 to about 10 hours. The formic acid is used in an excess amount to the compound (1a).

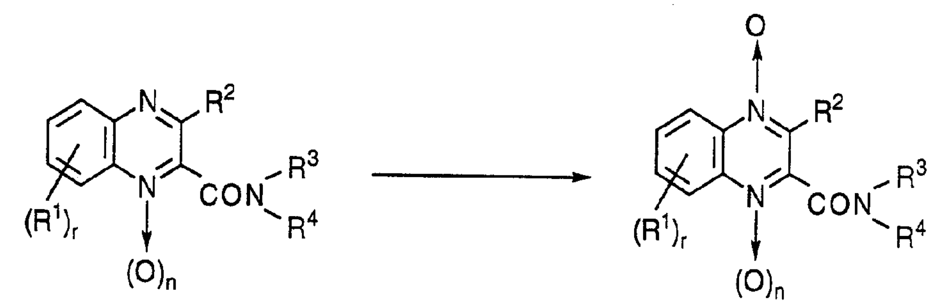
When a hydrogenating agent is used, the reaction is usually carried out at a temperature of -30 to about 100°C, preferably at a temperature of 0 to about 70°C, for 30 minutes to about 15 hours. The reducing agent is used in an amount of 1 to 20 moles, preferably in an amount of 1 to 6 moles, to 1 mole of the compound (1a). When lithium aluminum hydride is used as an reducing agent, the solvent may preferably ethers (e.g. diethyl ether, dioxane, tetrahydrofuran, diglyme, etc.) and aromatic hydrocarbons (e.g. benzene,

toluene, xylene, etc.).

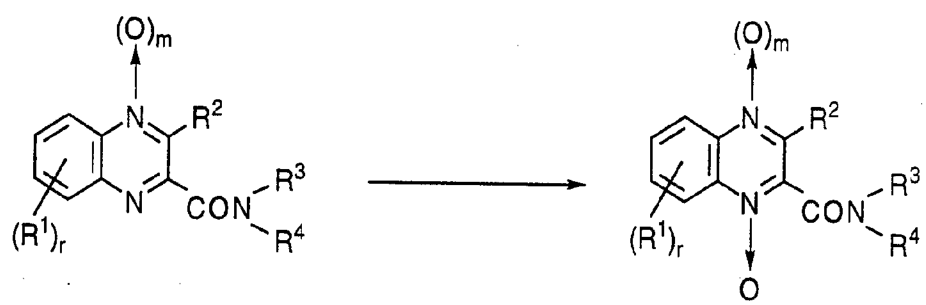
When a catalytic reducing agent is used, the reaction is usually carried out under atmospheric pressure or 20 atms. of hydrogen gas, preferably under atmospheric pressure or about 10 atms. of hydrogen gas, or in the presence of a hydrogen-donor such as formic acid, ammonium formate, cyclohexene, hydrazine hydrate, etc., at a temperature of -30 to about 100°C, preferably at a temperature of 0 to about 60°C, for 1 to 12 hours. The catalytic reducing agent is usually used in an amount of 0.1 to 40 % by weight, preferably 1 to 20 % by weight, to the compound (1a).

The compound (4b) is usually used at least in equimolar amount, preferably in an amount of 1 to excess amount, to 1 mole of the compound (1a).

Reaction Scheme-3



(1c) (1d)



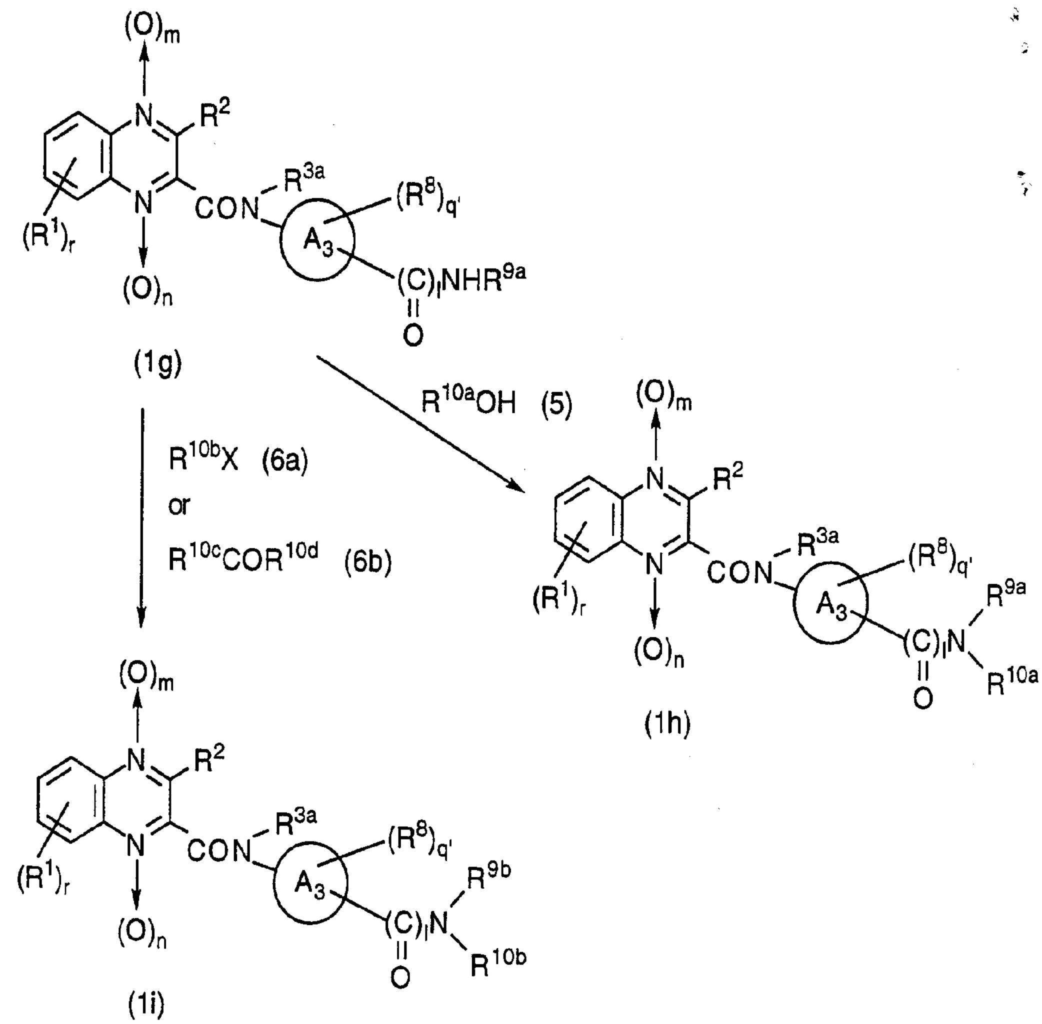
(1e) (1f)

[in which R^1, R^2, R^3, R^4, r, m and n are the same as defined above]

The reaction of converting the compound (1c) into the compound (1d), and the reaction of converting the compound (1e) into the compound (1f) are carried out in the presence of an oxidizing agent in a suitable solvent. The

solvent includes, for example, water, organic acids (e.g. formic acid, acetic acid, trifluoroacetic acid, etc.), alcohols (e.g. methanol, ethanol, etc.), halogenated hydrocarbons (e.g. chloroform, dichloromethane, etc.), or a mixture of these solvents. The oxidizing agent includes, for example, peracids (e.g. performic acid, peracetic acid, pertrifluoroacetic acid, perbenzoic acid, m-chloro - perbenzoic acid, p-carboxyperbenzoic acid, etc.), hydrogen peroxide, sodium metaperiodate, dichromic acid, dichromates (e.g. sodium dichromate, potassium dichromate, etc.), permanganic acid, permanganates (e.g. potassium permanganate, sodium permanganate, etc.), lead salts (e.g. lead tetraacetate, etc.), and the like. The oxidizing agent is usually used at least in equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the starting compound. The above reaction is usually carried out at a temperature of -10 to 40°C, preferably at a temperature of -10°C to room temperature, for about 1 to 100 hours.

Reaction Scheme-4



[wherein R^1, R^2, R^{3a}, R^8, a group of the formula: $-\!\!\bigcirc\!\!\!\!\!\!A_3$, r, m, n, X and l are the same as defined above, R^{9a} is hydrogen atom, a lower alkanoyl group, a lower alkyl group, a morpholinocarbonyl-lower alkyl group, a cycloalkyl - carbonyl group, a phenyl-lower alkenylcarbonyl group, a lower alkylsulfonyl group, an aminocarbonyl group which may optionally have a lower alkyl substituent, a phenylsulfonyl group which may optionally have a lower alkyl substituent on the phenyl moiety, a phenyl-lower alkenyl group, a benzoyl group which may optionally have 1 to 3 substituents on the phenyl moiety selected from a halogen atom, a lower alkoxy group, an amino group having optionally a lower alkanoyl substituent and hydroxy group, an amino-

substituted lower alkanoyl group which may optionally have a lower alkanoyl substituent, an amino-substituted sulfonyl group which may optionally have a lower alkyl substituent, a phenyl-lower alkyl group, phenyl group and an amino group which may optionally have a lower alkanoyl substituent, R^{10a} is a lower alkanoyl group, a cycloalkylcarbonyl group, a phenyl-lower alkenylcarbonyl group, a benzoyl group which may optionally have 1 to 3 substituents on the phenyl moiety selected from a halogen atom, a lower alkoxy group, an amino group having optionally a lower alkanoyl substituent and hydroxy group, and an amino-substituted lower alkanoyl group which may optionally have a lower alkanoyl substituent, R^{10b} is a lower alkyl group, a morpholinocarbonyl-lower alkyl group, a lower alkenylsulfonyl group, an aminocarbonyl group which may optionally have a lower alkyl substituent, a phenylsulfonyl group which may optionally have a lower alkyl substituent, a phenyl-lower alkenyl group, an amino-substituted sulfonyl group which may optionally have a lower alkyl substituent, or a phenyl-lower alkyl group, q' is 1 or 2, R^{10c} and R^{10d} are each hydrogen atom or a lower alkyl group]

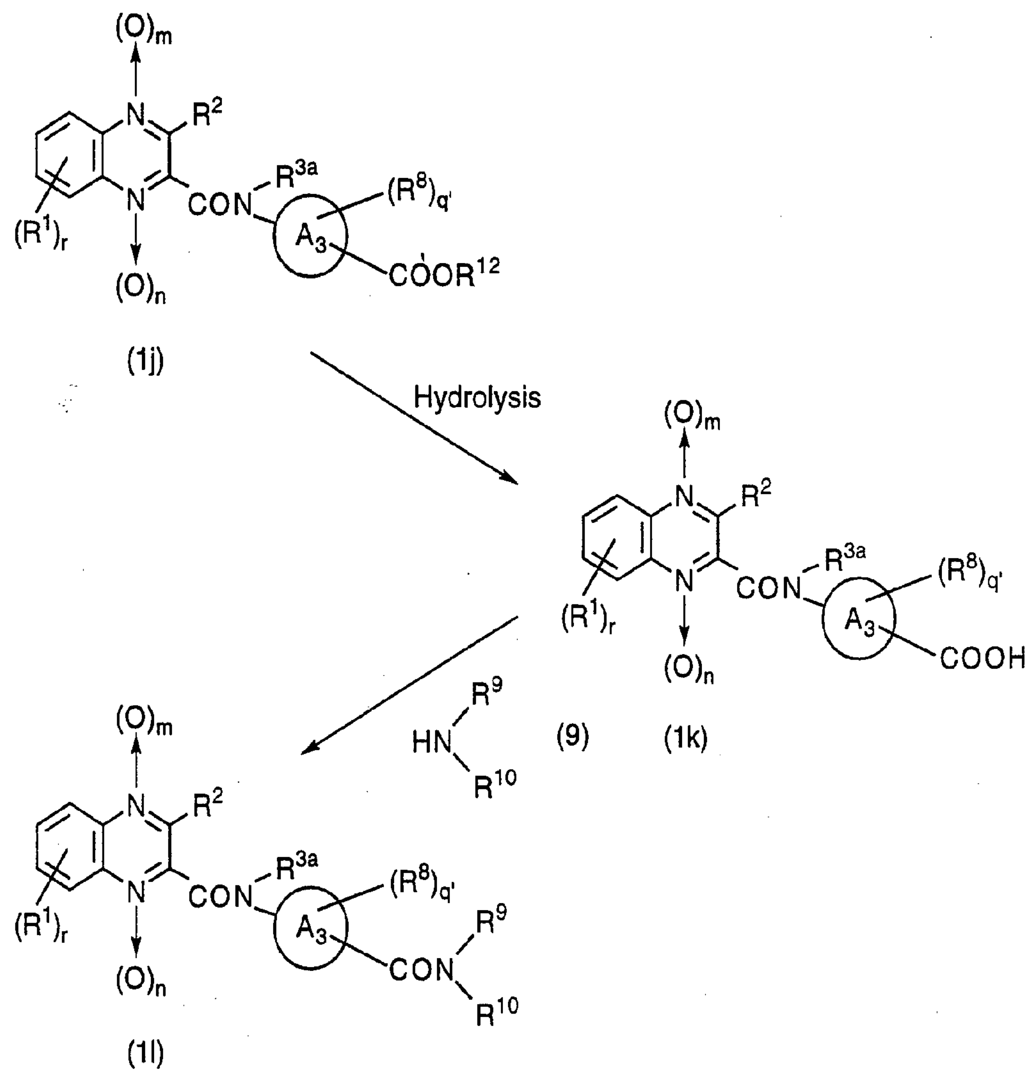
The reaction of the compound (1g) and the compound (5) is carried out under the same conditions as those of the reaction of the compound (2) and the compound (3) in the above mentioned Reaction Scheme-1.

The compound (1h) wherein R^{10a} is a lower alkanoyl group may be derived from the compound (1g) by subjecting the compound (1g) to lower alkanoylization with using a compound of the formula: $(R^{11})_2O$ (7) or $R^{11}X$ (8) (in which R^{11} is a lower alkanoyl group, and X is the same as defined above). The lower alkanoylization reaction is carried out in the presence or absence of a basic compound. The basic compound includes, for example, an alkali metal (e.g. sodium, potassium, etc.), hydroxides, carbonates and hydrogen carbonates of these alkali metals, or organic basic compounds (e.g. N,N - dimethylaminopyridine, pyridine, piperidine, etc.), and the like. The reaction is carried out in a solvent or without a solvent. The solvent includes, for example, ketones (e.g. acetone, methyl ethyl ketone, etc.), ethers (e.g. diethyl ether, dioxane, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), water, pyridine, and the like. The compound (7) or the compound (8) is used at

least in equimolar amount, preferably in an amount of 1 to excess amount, to 1 mole of the starting compound (1g). The reaction is carried out at a temperature of 0 to 200°C, preferably at a temperature of 0 to 150°C, for about 5 minutes to about 5 days.

The reaction of the compound (1g) and the compound (6a) or the compound (6b) is carried out under the same conditions as those of the reaction of the compound (1a) and the compound (4a) or the compound (4b) in the above mentioned Reaction Scheme-2.

Reaction Scheme-5



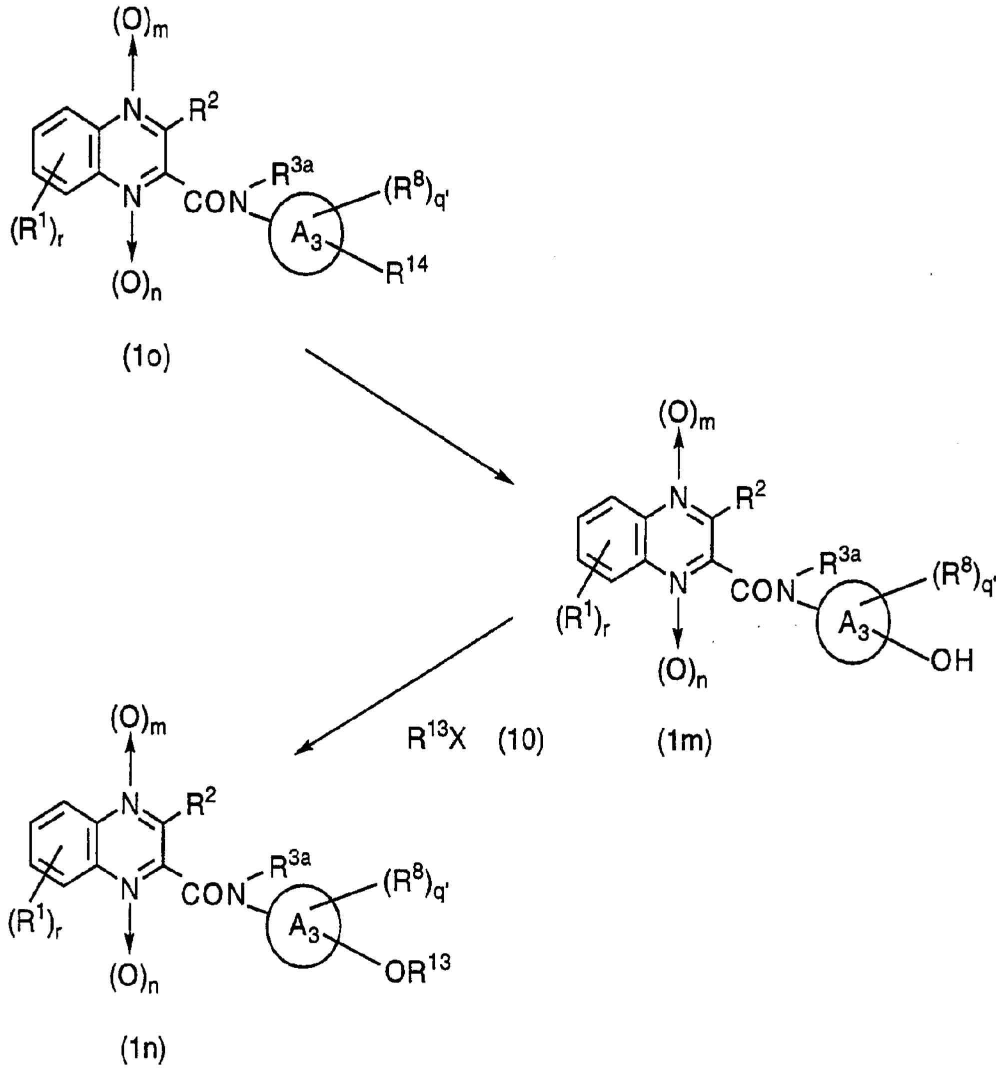
[wherein R^1, R^2, R^8, R^{3a}, m, n, q', R^9, R^{10}, r and the group of the formula:

$-A_3$ are the same as defined above, and R^{12} is a lower alkyl group]

The hydrolysis of the compound (1j) is carried out in the presence of an acid or a basic compound in a suitable solvent or without a solvent. The solvent includes, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ketones (e.g. acetone, methyl ethyl ketone, etc.), ethers (e.g. dioxane, tetrahydrofuran, ethylene glycol dimethyl ether, etc.), fatty acids (e.g. acetic acid, formic acid, etc.), dimethylformamide, or a mixture of these solvents. The acid includes, for example, inorganic acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.), and organic acids (e.g. formic acid, acetic acid, aromatic sulfonic acid, etc.). The basic compound includes, for example, alkali metal salts (e.g. sodium carbonate, potassium carbonate, etc.), alkali metal or alkaline earth metal hydroxides (e.g. sodium hydroxide, potassium hydroxide, calcium hydroxide, etc.), and the like. The reaction is usually carried out at a temperature of room temperature to about 200°C, preferably at a temperature of room temperature to about 150°C, for 10 minutes to about 25 hours.

The reaction of the compound (1k) and the compound (9) is carried out under the same conditions as for the reaction of the compound (2) and the compound (3) in the above mentioned Reaction Scheme-1.

Reaction Scheme-6



[wherein R^1, R^2, R^{3a}, R^8, m, n, q', X and the group of the formula: $-(A_3)$ are the same as defined above, R^{13} is a lower alkyl group, a phenyl-lower alkyl group which may optionally have an amino substituent having optionally a lower alkanoyl substituent on the phenyl moiety, a carboxy-substituted lower alkyl group, a lower alkoxycarbonyl-substituted lower alkyl group, a lower alkoxy-substituted lower alkyl group, a lower alkenyl group, a lower alkanoyl group, or a morpholinocarbonyl-lower alkyl group, and R^{14} is a lower alkoxy group, a phenyl-lower alkoxyl group which may optionally have an amino substituent having optionally a lower alkanoyl substituent on the phenyl moiety,

a lower alkanoyloxy group or a lower alkoxy-substituted lower alkoxy group]

The reaction of converting the compound (1o) into the compound (1m) is carried out by reducing the compound (1o) when R^{14} is a phenyl-lower alkoxy group. The reduction reaction is carried out by subjecting the compound (1m) to catalytic hydrogenation in the presence of a catalyst in a suitable solvent . The solvent includes, for example, water, acetic acid, alcohols (e.g. methanol, ethanol, isopropanol, etc.), hydrocarbons (e.g. hexane, cyclohexane, etc.), ethers (e.g. dioxane, tetrahydrofuran, diethyl ether, ethylene glycol dimethyl ether, etc.), esters (e.g. ethyl acetate, methyl acetate, etc.), aprotic polar solvents (e.g. dimethylformamide, etc.), or a mixture of these solvents. The catalyst is, for example, palladium, palladium-black, palladium - carbon, platinum, platinum oxide, copper chromite, Raney-nickel, etc. and used in an amount of 0.02 to 1 part by weight to 1 part by weight of the compound (7). The reaction is usually carried out at a temperature of -20 to 100°C, preferably at a temperature of 0 to about 80°C, under 1 to 10 atms of hydrogen gas, for 0.5 to 20 hours.

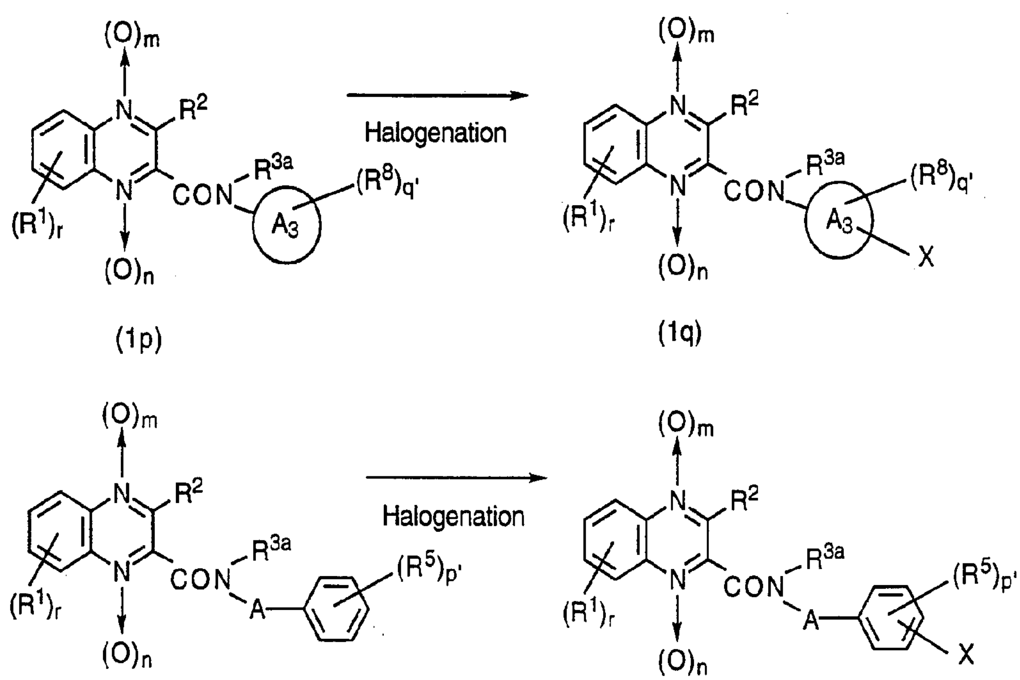
The reaction of converting the compound (1o) into the compound (1m) is carried out by subjecting the compound (1o) to hydrolysis when R^{14} is a lower alkoxy group or a lower alkoxy-substituted lowre alkoxy group. The hydrolysis is carried out in the presence of an acid in a suitable solvent. The solvent includes, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ethers (e.g. dioxane, tetrahydrofuran, etc.), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon tetrachloride, etc.), polar solvents (e.g. acetonitrile, etc.), or a mixture of these solvents. The acid includes, for example, inorganic acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.), fatty acids (e.g. formic acid, acetic acid, etc.), Lewis acids (e.g. boron trifluoride, aluminum chloride, boron tribromide, etc.), iodides (e.g. sodium iodide, potassium iodide, etc.), a mixture of the above Lewis acid and a iodide, and the like. The reaction is usually carried out at a temperature of 0 to 150°C, preferably at a temperature of room temperature to 100°C, for 0.5 to about 50 hours.

The reaction of converting the compound (1o) into the compound

(1m) is carried out in the same manner as in the hydrolysis of the compound (1j) in the above mentioned Reaction Scheme-5, when R^{14} is a lower alkanoyloxy group.

The reaction of the compound (1m) into the compound (10) is carried out under the same conditions as those of the reaction of the compound (1g) and the compound (6) in the above mentioned Reaction Scheme-4. The compound (1n) wherein R^{13} is a lower alkanoyl group is also prepared by reacting the compound (1m) and the compound (7) under the same conditions as those of the reaction of the compound (1g) and the compound (7) in the above mentioned Reaction Scheme-4.

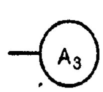
Reaction Scheme-7



(1p) (1q)

(1E) (1F)

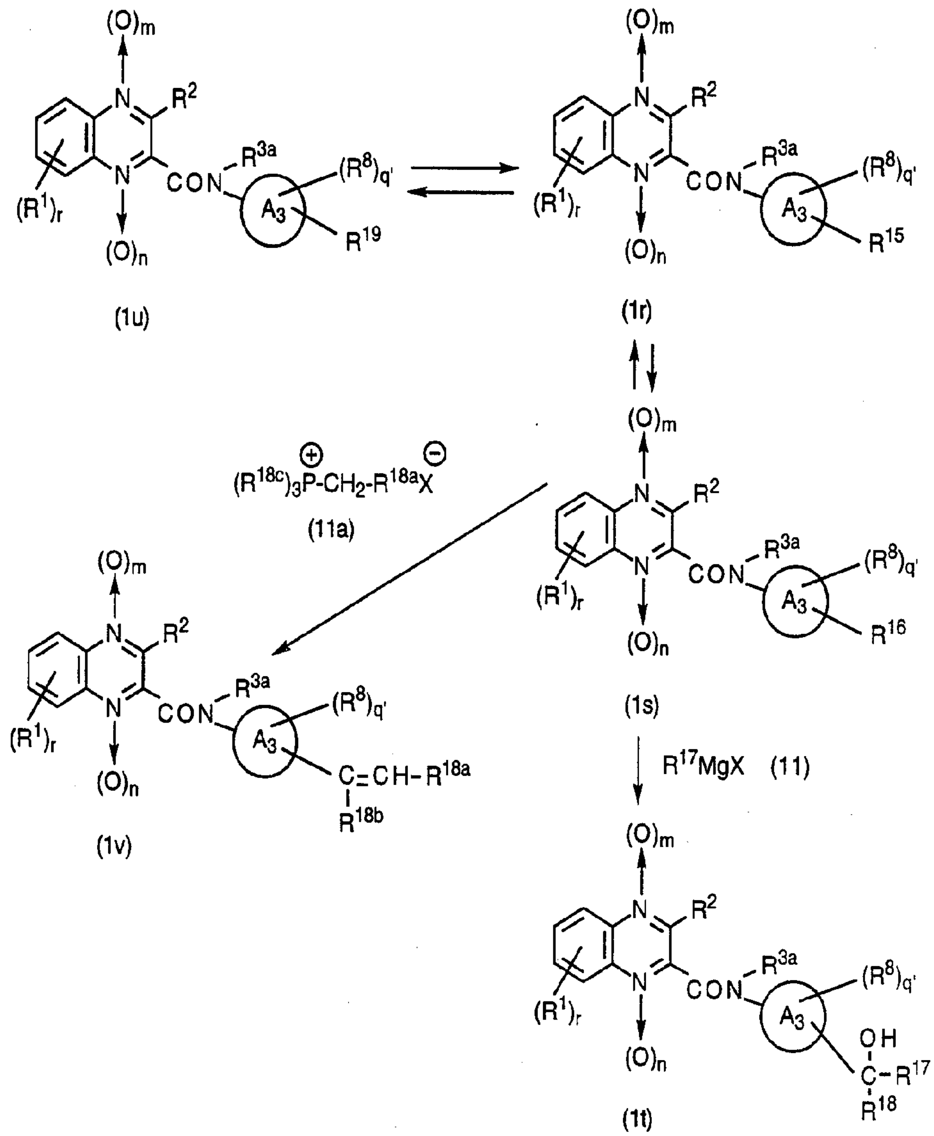
[wherein R^1, R^2, R^{3a}, R^5, R^8, m, n, q', A, X, r and the group of the formula:

 are the same as defined above, and p' is 1 or 2]

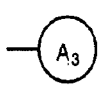
The halogenation reaction of the compound (1p) or the compound (1E) is carried out in the presence of a conventional halogenating agent. The halogenating agent may be any conventional ones, for example, a halogen

atom (e.g. bromine, chlorine, etc.), or halogenating agents such as iodine monochloride, sulfuryl chloride, N-halogenosuccinimides (e.g. N-bromo - succinimide, N-chlorosuccinimide, etc.). The halogenating agent is used at least in equimolar amount, preferably in an amount of 1 to 1.5 mole, to 1 mole o the compound (1p) or the compound (1E). The solvent includes, for example, halogenated hydrocarbons (e.g. dichloromethane, dichloroethane, chloroform, carbon tetrachloride, etc.), acetic acid, propionic acid, water, or a mixture of these solvents. The reaction is carried out at a temperature of 0°C to a boiling point of the solvent to be used, preferably at a temperature of 0 to 100°C, for 1 to about 10 hours.

Reaction Scheme-8



[wherein R^1, R^2, R^{3a}, R^8, m, n, q', X, r, and the compound of the formula:

 are the same as defined above, R^{15} is a lower alkyl group having a hydroxy substituent, R^{16} is a lower alkanoyl group, R^{17} and R^{18} are each a lower alkyl group, R^{19} is a lower alkanoyloxy-substituted lower alkyl group, R^{18a} is a hydrogen atom or a lower alkyl group, R^{18c} is phenyl group, and R^{18b} is a lower alkyl group]

The reaction of converting the compound (1r) into the compound (1s) is carried out in the presence of an oxidizing agent in a suitable solvent.

The oxidizing agent includes, pyridinium chromates (e.g. pyridinium chloro - chromate, pyridinium dichlorochromate, etc.), dimethylsulfoxide-oxazolyl - chloride, manganese dioxide, DDQ, chromic acid, chromites (e.g. sodium chromite, potassium chromite, etc.), permanganic acid, permanganates (e.g. potassium permanganate, sodium permanganate, etc.), and the like. The solvent includes, for example, water, organic acids (e.g. formic acid, acetic acid, trifluoroacetic acid, etc.), alcohols (e.g. methanol, ethanol, etc.), halogenated hydrocarbons (e.g. chloroform, dichloromethane, etc.), ethers (e.g. tetrahydrofuran, diethyl ether, dioxane, etc.), dimethylosulfoxide, dimethyl - formamide, or a mixture of these solvents. The oxidizing agent is usually used at least in equimolar amount, preferably in an amount of 1 to 30 moles, to 1 mole of the starting compound. The reaction is carried out at a temperature of 0 to 150°C, preferably at a temperature of 0 to 100°C, for 1 to about 10 hours.

The reaction of converting the compound (1r) into the compound (1s) is carried out by reacting the compound (1r) with an oxidizing agent in the presence of a co-oxidizing agent in a suitable solvent. The solvent includes, pyridine, ethers (e.g. dioxane, tetrahydrofuran, diethyl ether, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), halogenated hydrocarbons (e.g. dichloromethane, dichloroethane, chloroform, carbon tetrachloride, etc.), esters (e.g. ethyl acetate, etc.), water, alcohols (e.g. methanol, ethanol, isopropanol, t-butanol, etc.), or a mixture of these solvents. The co-oxidizing agent used therein includes, for example, N-oxides of organic amine such as pyridine N-oxide, N-ethyldiisopropylamine N-oxide, N-methylmorpholine N - oxide, trimethylamine N-oxide, triethylamine N-oxide, etc. The oxidizing agent includes, for example, tetra(n-propyl)ammonium perruthenate, and the like. The co-oxidizing agent is used at least in equimolar amount, preferably in an amount of 1 to 5 moles, to 1 mole of the starting compound. The oxidizing agent is used in a catalytic amount. The reaction is carried out at a temperature of -20 to 150°C, preferably at a temperature of 0 to 100°C, for 1 to about 20 hours. The reaction may proceed more advantageously by adding Molecular Shieves into the reaction system.

The reaction of converting the compound (1s) into the compound (1r) is carried out by a reduction reaction using a hydrogenating agent. The

hydrogenating agent includes, for example, lithium aluminum hydride, diboran, aluminum diisobutyl hydride, sodium borohydride, lithium borohydride, tetrabutylammonium borohydride, calcium borohydride, aluminum hydride, and the like, and is used at least in 0.1 mole amount, preferably in an amount of 0.1 to 15 moles, to 1 mole of the starting compound. The reduction reaction is usually carried out in a suitable solvent, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ethers (e.g. tetrahydrofuran, diethyl ether, diisopropyl ether, diglyme, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), or a mixture of these solvents, at a temperature of -60 to 150°C, preferably at a temperature of -30 to 100°C, for about 10 minutes to about 20 hours.

The reaction of the compound (1s) and the compound (11) is carried out in a suitable solvent. The solvent includes any solvents used for the Grignard reaction, and preferable ones are, for example, ethers (e.g. diethyl ether, dioxane, tetrahydrofuran, etc.), aromatic hydrocarbons (e.g. benzene, toluene, etc.), saturated hydrocarbons (e.g. pentane, hexane, heptane, cyclohexane, etc.), and the like. The compound (11) is usually used at least in equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound (1s). The reaction is carried out at a temperature of -70 to 100°C, preferably at -30 to about 70°C, for 1 to about 50 hours.

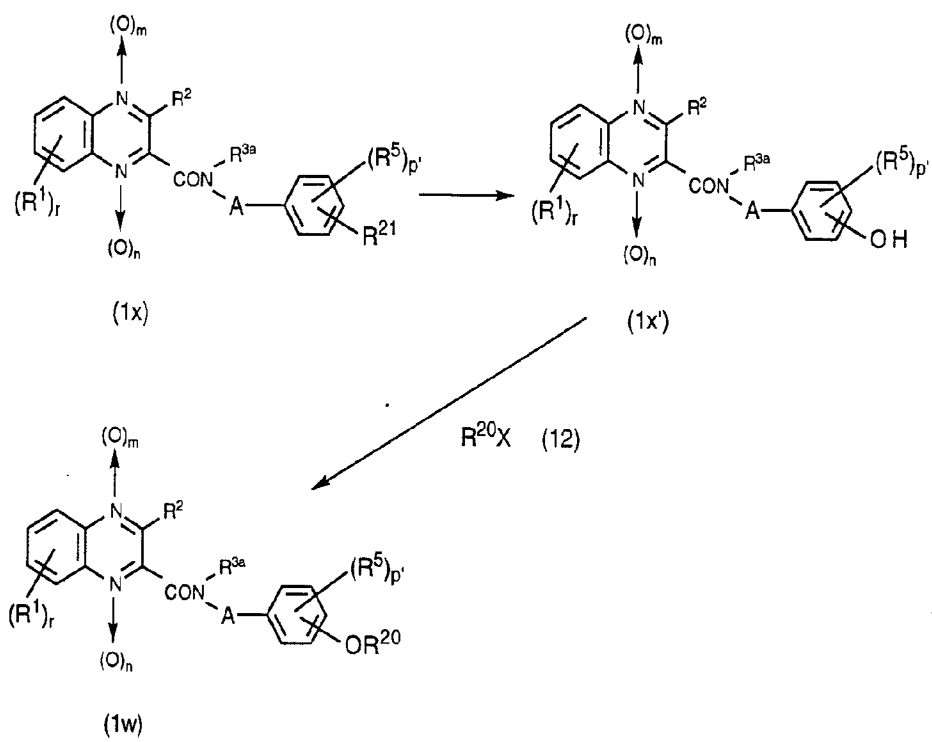
The reaction of converting the compound (1r) into the compound (1u) is carried out by reacting the compound (1r) with the compound (7) or the compound (8) under the same conditions as those of the reaction of the compound (1h) and the compound (7) or the compound (8) in the above mentioned Reaction Scheme-4.

The reaction of converting the compound (1u) into the compound (1r) is carried out under the same conditions as those of the hydrolysis of the compound (1j) in the above mentioned Reaction Scheme-5.

The reaction of the compound (1s) and the compound (11a) is carried out in the presence of a basic compound in a suitable solvent. The basic compound includes, for example, inorganic bases such as metal sodium, metal potassium, sodium hydride, sodium amide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogen

carbonate, and organic bases such as alkali metal alcoholates (e.g. sodium methylate, sodium ethylate, potassium t-butoxide, etc.), an alkyl lithium, aryl lithium or lithium amide (e.g. methyl lithium, n-butyl lithium, phenyl lithium, lithium diisopropylamide, etc.), pyridine, piperidine, quinoline, triethylamine, N,N-dimethylaniline, and the like. The solvent may be any one which does not affect the reaction, for example, ethers (e.g. diethyl ether, dioxane, tetrahydrofuran, monoglyme, diglyme, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), aliphatic hydrocarbons (e.g. n-hexane, heptane, cyclohexane, etc.), amines (e.g. pyridine, N,N-dimethylaniline, etc.), aprotic polar solvents (e.g. N,N-dimethylformamide, dimethylsulfoxide, hexamethylphosphoric triamide, etc.), alcohols (e.g. methanol, ethanol, isopropanol, etc.), or a mixture of these solvents. The reaction is usually carried out at a temperature of -80 to 150°C, preferably at a temperature of -80 to about 120°C, for 0.5 to about 15 hours. The compound (11a) is used at least in equimolar amount, preferably in an amount of 1 to 10 moles, to 1 mole of the compound (1s).

Reaction Scheme-9

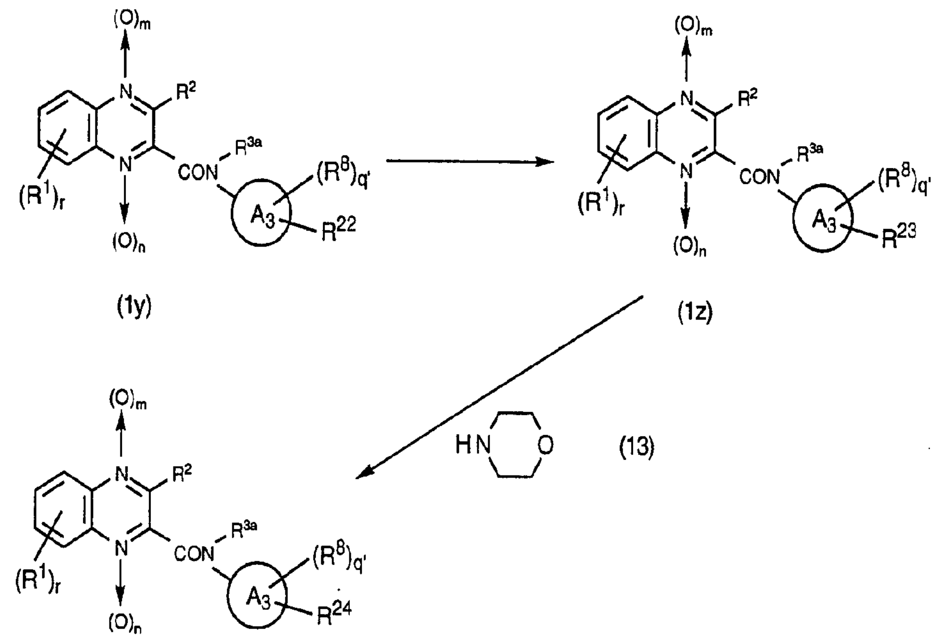


[wherein R^1, R^2, R^{3a}, R^5, m, n, p', A, r and X are the same as defined above, R^{20} is a lower alkyl group, a lower alkoxy-substituted lower alkyl group, a lower alkenyl group, a lower alkoxycarbonyl-substituted lower alkyl group, a hydroxy - substituted lower alkyl group, a carboxy-substituted lower alkyl group, a phenyl - lower alkyl group which may optionally have a substituent selected from a lower alkyl group and a lower alkoxy group on the phenyl moiety, a morpholino - substituted lower alkyl group, or a group of the formula: $-A_1-CO-NR^6R^7$ (in which A_1, R^6 and R^7 are the same as defined above), and R^{21} is a lower alkoxy group, a lower alkoxy group-substituted lower alkoxy group, or a phenyl-lower alkoxy group which may optionally have a substituent selected from a lower alkyl group and a lower alkoxy group on the phenyl moiety]

The reaction of converting the compound (1x) into the compound (1x') is carried out under the same conditions as those of the reaction of converting the compound (1o) into the compound (1m) in the above mentioned Reaction Scheme-6.

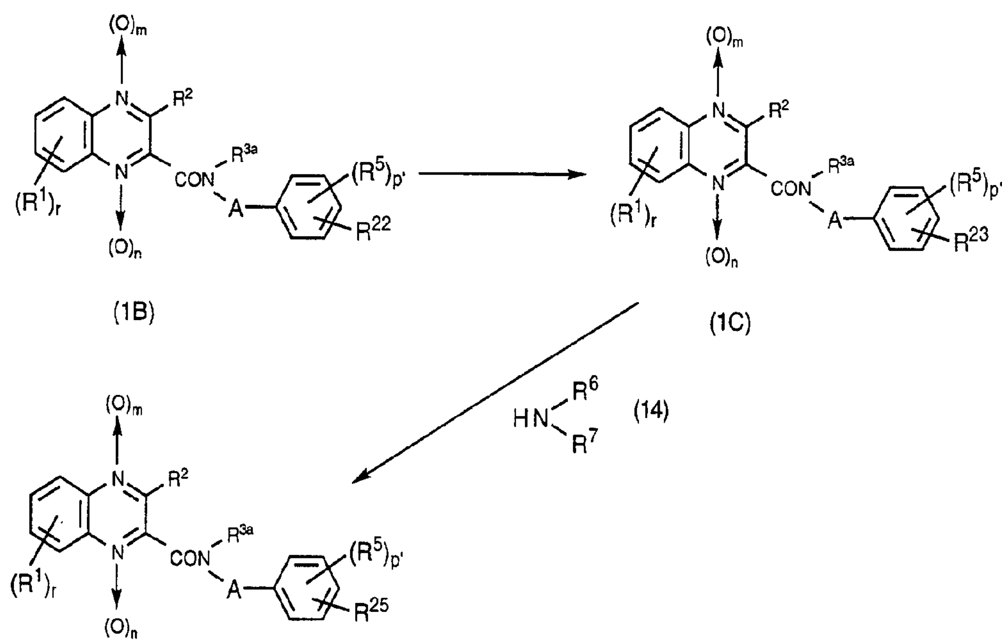
The reaction of the compound (1x') and the compound (12) is carried out under the same conditions as those of the reaction of the compound (1m) and the compound (10) in the above mentioned Reaction Scheme-6.

Reaction Scheme-10a

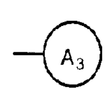


(1y) (1z) (1A)

Reaction Scheme-10b



(1B) (1C) (1D)

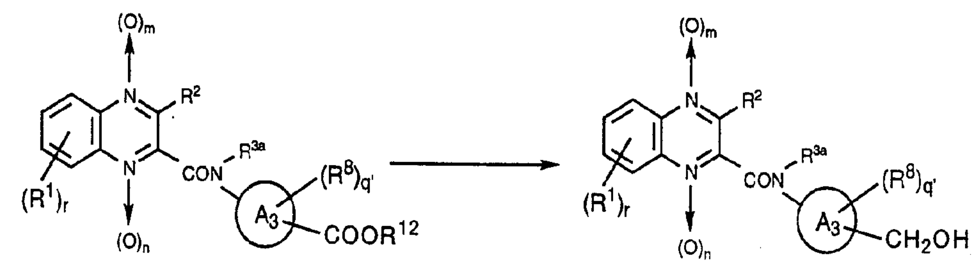
[wherein R^1, R^{3a}, R^8, m, n, q', R^5, p', r and the group of the formula: 

are the same as defined above, R^{22} is a lower alkoxycarbonyl-substituted lower alkoxy group, R^{23} is a carboxy-substituted lower alkoxy group, R^{24} is a morpholinocarbonyl-lower alkoxy group, R^{25} is a group of the formula: $-O-A_1-CO-NR^6R^7$ (in which A_1, R^6 and R^7 are the same as defined above)]

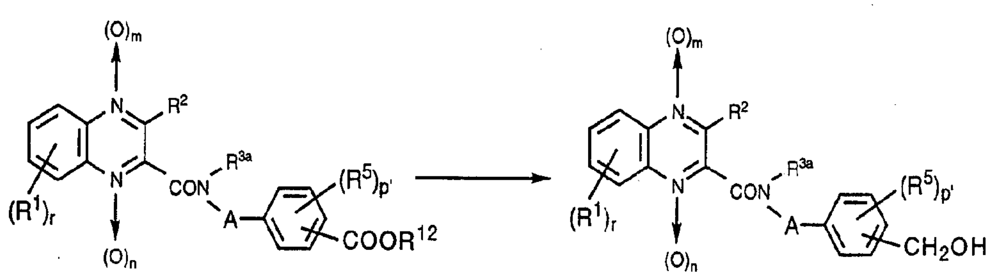
The reaction of converting the compound (1y) into the compound (1z) and the reaction of converting the compound (1B) into the compound (1C) are carried out under the same conditions as those of the hydrolysis of the compound (1j) in the above mentioned Reaction Scheme-5.

The reaction of the compound (1z) and the compound (13) and the reaction of the compound (1C) and the compound (14) are carried out under the same conditions as those of the reaction of the compound (2) and the compound (3) in the above mentioned Reaction Scheme-1.

Reaction Scheme-11

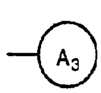


(1j) (1G)



(1H) (1I)

[wherein R^1, R^2, R^8, R^{3a}, m, n, q', R^{12}, R^5, p', A, r and the group of the formula:

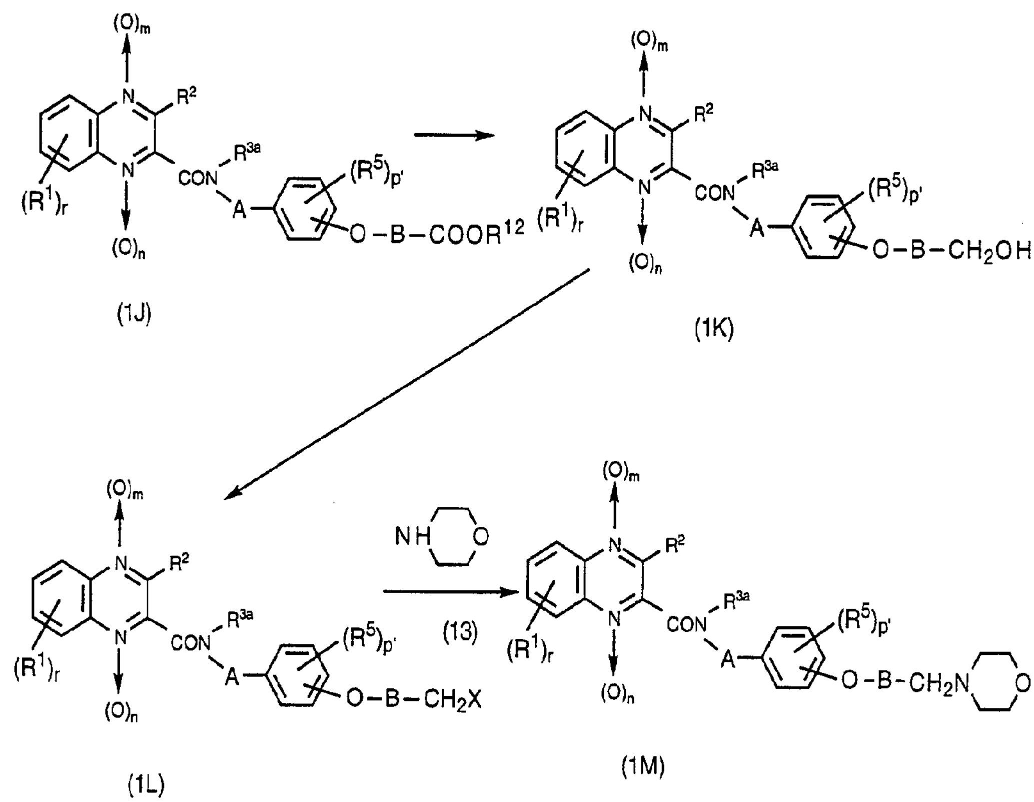


are the same as defined above]

The reaction of converting the compound (1j) into the compound

(1G) and the compound of converting the compound (1H) into the compound (1I) are carried out under the same conditions as those of the reaction of converting the compound (1s) into the compound (1r) in the above mentioned Reaction Scheme-8.

Reaction Scheme-12



[wherein R^1, R^2, R^{3a}, R^5, A, m, n, p', R^{12}, r and X are the same as defined above and B is a lower alkylene group]

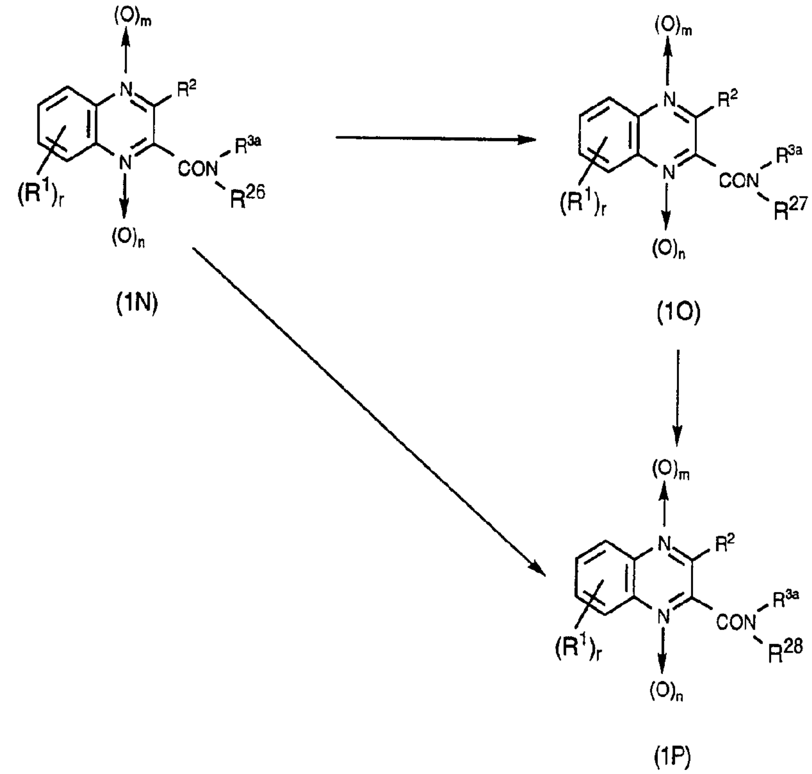
The reaction of converting the compound (1J) into the compound (1K) is carried out under the same conditions as those of the reaction of converting the compound (1s) into the compound (1r) in the above mentioned Reaction Scheme-8.

The reaction of converting the compound (1K) into the compound (1L) is carried out by reacting the compound (1K) with a halogenating agent in a suitable solvent or without a solvent. The halogenating agent includes, for example, inorganic acids (e.g. hydrochloric acid, hydrobromic acid, etc.), N,N - diethyl-1,2,2-trichlorovinylamide, phosphorus pentachloride, phosphorus

pentabromide, phosphorus oxychloride, thionyl chloride, mesyl chloride, tosyl chloride, etc., and a basic compound, carbon tetrachloride or carbon tetrabromide and triphenylphosphine. The basic compound may be the same as the above mentioned basic compounds for the reaction of the carboxylic halide and the amine compound in Reaction Scheme-1. The solvent includes, for example, ethers (e.g. dioxane, tetrahydrofuran, etc.), halogenated hydrocarbons (e.g. chloroform, methylene chloride, carbon tetrachloride, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), and the like. When a phenyl-lower alkyl halide (e.g. tosyl chloride, etc.) and a basic compound are used as a halogenating agent, the halogenating agent is used at least in equimolar amount, preferably in 1 to 2 moles, to 1 mole of the compound (1K). When other halogenating agents are used, the halogenating agent is used at least in equimolar amount, preferably in an excess amount, to 1 mole of the compound (1K). The reaction is usually carried out at a temperature of room temperature to 150°C, preferably at a temperature of room temperature to 80°C, for 1 to about 80 hours.

The reaction of the compound (1L) and the compound (13) is carried out under the same conditions as those of the reaction of the compound (1a) and the compound (4a) in the above mentioned Reaction Scheme-2.

Reaction Scheme-13

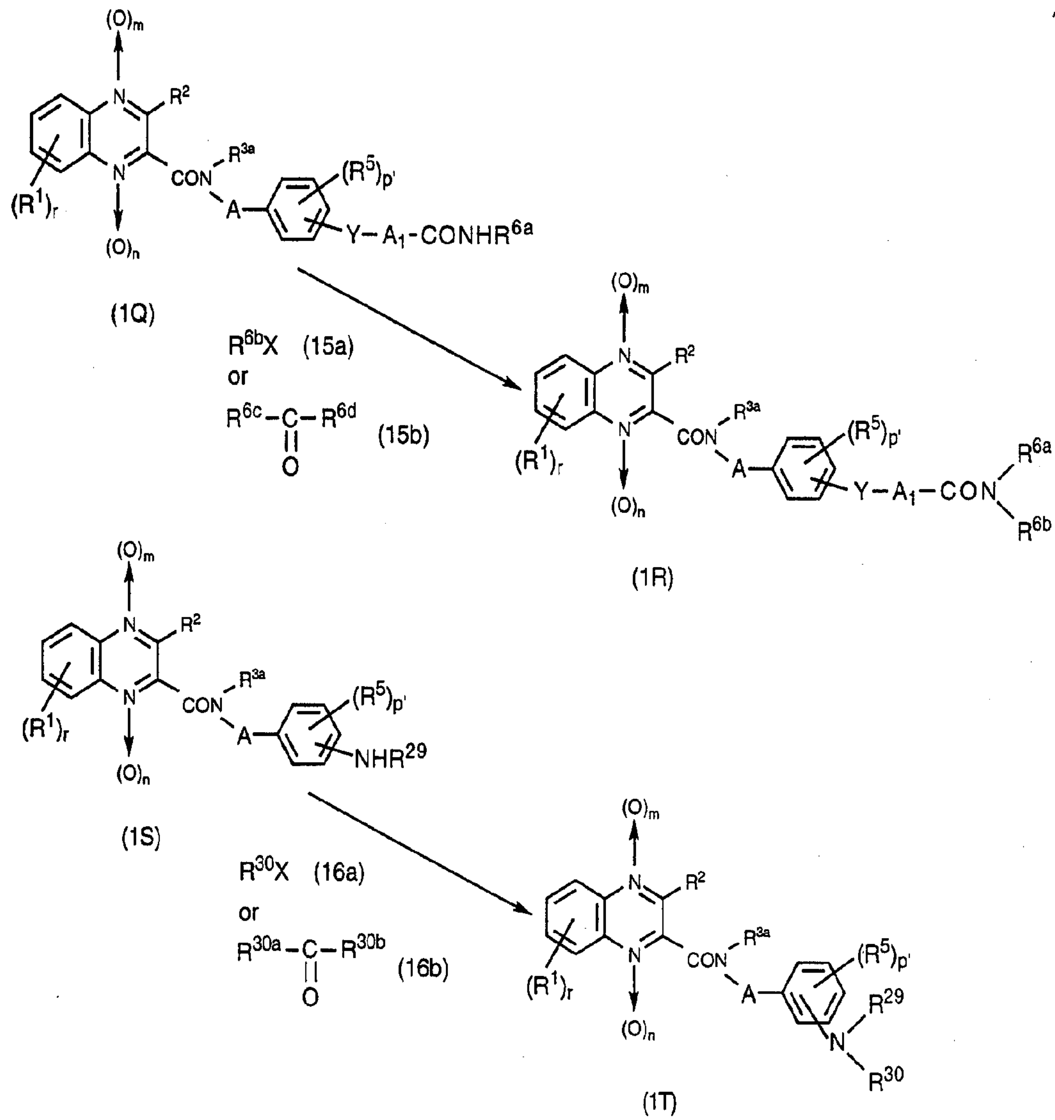


[wherein R^1, R^2, R^{3a}, r, m and n are the same as defined above, R^{26} is a phenylthio-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, R^{27} is a phenylsulfinyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, and R^{28} is a phenylsulfonyl-substituted lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety]

The reaction of converting the compound (1N) into the compound (1O) and the reaction of converting the compound (1O) into the compound (1P) are carried out under the same conditions as those of the reaction of converting the compound (1c) into the compound (1d) in the above mentioned Reaction Scheme-3.

The reaction of converting the compound (1N) into the compound (1P) is carried out under the same conditions as those of the reaction of converting the compound (1N) and the compound (1O) except that the oxidizing agent is used at least in an amount of 2 moles, preferably in an amount of 2 to 4 moles, to 1 mole of the compound (1N).

Reaction Scheme-14



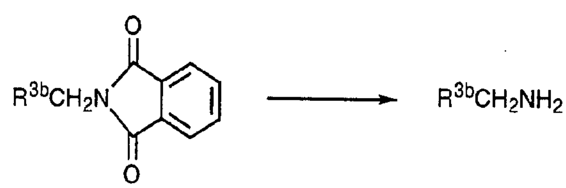
[wherein R^1, R^2, R^{3a}, R^5, m, n, p', X, A, A_1, r and Y are the same as defined above, R^{6a} is hydrogen atom, a lower alkyl group which may optionally have a hydroxy substituent, a phenyl-lower alkyl group which may optionally have a lower alkoxy substituent on the phenyl moiety, a furyl-lower alkyl group, or a lower alkoxy-substituted lower alkyl group, R^{6b} is the same groups for the above mentioned R^{6a} except hydrogen atom, R^{6c} and R^{6d} are each hydrogen atom or a lower alkyl group, R^{29} is hydrogen atom or a lower alkyl group, R^{30} is a lower alkyl group or a group of the formula: $-A_1CONR^6R^7$ (in which A_1, R^6

and R^7 are the same as defined above), R^{30a} and R^{30b} are each hydrogen atom or a lower alkyl group, provided that when R^{30} is a group of the formula: $-A_1CONR^6R^7$, R^{29} is hydrogen atom]

The reaction of the compound (1Q) and the compound (15a) and the reaction of the compound (1S) and the compound (16a) are each carried out under the same conditions as those of the reaction of the compound (1a) and the compound (4a) in the above mentioned Reaction Scheme-2. The reaction of the compound (1Q) and the compound (15b) and the reaction of the compound (1S) and the compound (16b) are each carried out under the same conditions as those of the reaction of the compound (1a) and the compound (4b) in the above mentioned Reaction Scheme-2.

The starting compound (2) or (3) may be prepared by the following processes.

Reaction Scheme-15



(17) (3a)

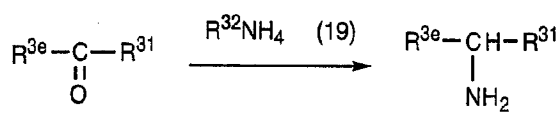
[wherein R^{3b} is the same as defined above, provided that the total number of carbon atoms of no-cyclic parts of a group of the formula: $R^{3b}CH_2$- in the compound (3a) is not over 6]

The reaction of converting the compound (17) into the compound (3a) is carried out by reacting the compound (17) with hydrazine in a suitable solvent or by subjecting the compound (17) to hydrolysis. The solvent used for the reaction of the compound (17) and hydrazine includes, for example, in addition to water, the same solvents for the reaction of the compound (2) and the compound (3) in the above mentioned Reaction Scheme-1. The reaction is usually carried out at a temperature of room temperature to about 120°C, preferably at a temperature of 0 to about 100°C, for 0.5 to about 10 hours. Hydrazine is used at least in equimolar amount, preferably in an amount of 1 to

5 moles, to 1 mole of the compound (17).

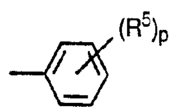
The hydrolysis is carried out in the presence of an acid or a basic compound in a suitable solvent or without a solvent. The solvent includes, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ketones (e.g. acetone, methyl ethyl ketone, etc.), ethers (e.g. dioxane, tetrahydrofuran, ethylene glycol dimethyl ether, etc.), fatty acids (e.g. acetic acid, formic acid, etc.), or a mixture of these solvents. The acid includes, for example, inorganic acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.), organic acids (e.g. formic acid, acetic acid, aromatic sulfonic acid, etc.), and the like. The basic compound includes, for example, alkali metal carbonates (e.g. sodium carbonate, potassium carbonate, etc.), alkali metal or alkaline earth metal hydroxides (e.g. sodium hydroxide, potassium hydroxide, calcium hydroxide, etc.), and the like. The reaction is usually carried out at a temperature of room temperature to about 200°C, preferably at a temperature of room temperature to about 150°C, for 10 minutes to about 25 hours.

<u>Reaction Scheme-16</u>

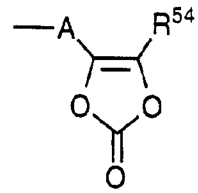


(18) (3b)

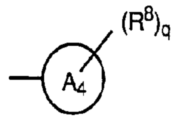
[wherein R^{31} is hydrogen atom, a lower alkyl group or a lower alkenyl group, R^{3e} is hydrogen atom, a lower alkyl group, a group of the formula: -(D)r'-R^{33} (D is a lower alkylene group, r' is 0 or 1, R^{33} is a group of the formula:

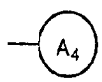


(R^5 and p are the same as defined above), a lower alkanoyloxy - substituted lower alkyl group, a lower alkoxy-lower alkyl group, a lower alkanoyl-substituted lower alkyl group, a lower alkoxycarbonyloxy-substituted lower alkyl group, a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring, a group of the formula: -E-N(R^{52})(R^{53}) (in which R^{52} and R^{53} are the same as defined above, and E is a lower alkylene group), a group of the formula:

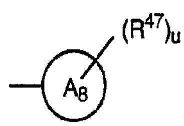
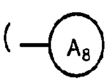
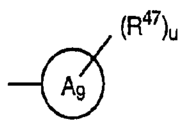
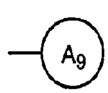


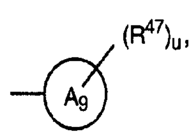
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above), a cycloalkyl group; naphthyl group; a group of the formula:

 (R^8 and q are the same as defined above, a group of the

formula:  is a 5- to 14-membered saturated or unsaturated heteromonocyclic, heterobicyclic or heterotricyclic group having 1 to 4 heteroatoms selected from nitrogen atom, oxygen atom and sulfur atom); a phenyl group which may optionally have a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl ring; a phenyl-lower alkenyl group which may optionally have a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl ring; a phenyltio-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl ring; a phenylsulfinyl-substituted lower alkyl group having optionally a lower

alkoxy substituent on the phenyl ring; a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl ring; a phenoxy-substituted lower alkyl group, a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (A_5, R^{42}, R^{43} and R^{44} are the same as defined above); a 2,3-dihydro-1H - indenyl-substituted lower alkyl group which may optionally have a substituent selected from oxo group, hydroxy group a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring; a group of the formula:

 (, R^{47} and u are the same as defined above), a group of the formula:  (R^{47} and u are the same as defined above, a group of the formula:  is a 5- to 14-membered unsaturated heteromonocyclic, heterobicyclic or heterotricyclic group having 1 to 4 hetero - atoms selected from nitrogen atom, oxygen atom and sulfur atom), provided that wherein R^{3e} is a phenyl group which may optionally have a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl - lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole ring, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (A_4, R^{40} and R^{41} are the same as defined above), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl ring, or a group of the formula:

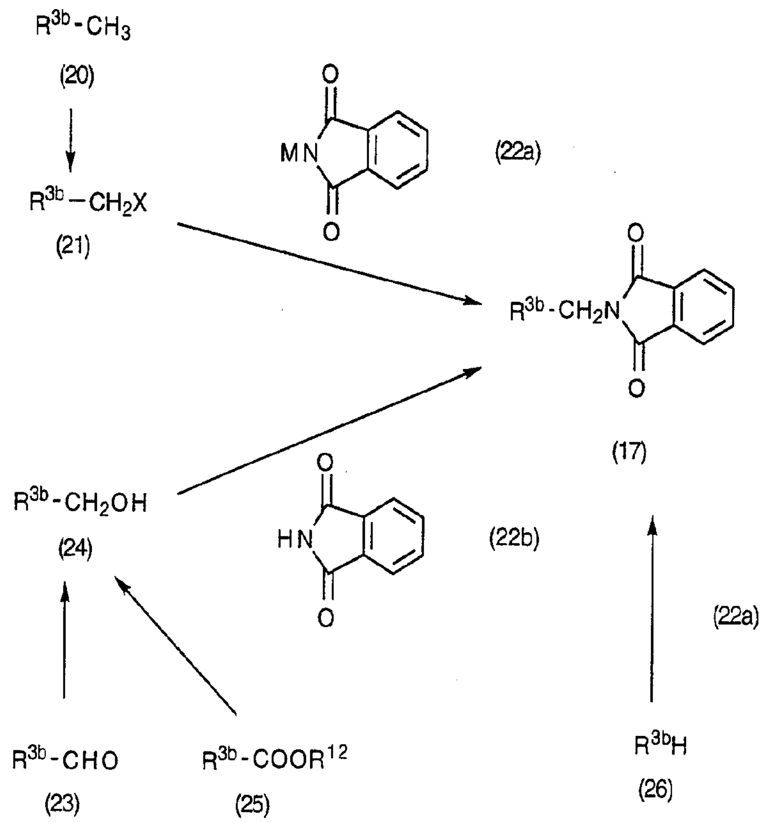
, then R^{31} is a lower alkenyl group, and that the total number of

carbon atoms in non-cyclic part of the group of the formula: $(R^{3e})(R^{31})CH$- in the compound (3b) is not over 6, and R^{32} is a lower alkanoyloxy group]

The reaction of the compound (18) and the compound (19) is carried out under the same conditions as those of the reaction of the compound (1a) and the compound (4b) in the above Reaction Scheme-2.

The starting compound (17) is prepared by the following processes.

Reaction Scheme-17



[wherein R^{3b}, R^{12} and X are the same as defined above, M is an alkali metal such as potassium, sodium, etc., provided that the total number of carbon atoms of non-cyclic part of the group of the formula: $-CH_2R^{3b}$ in the compound (17) is not over 6]

The reaction of converting the compound (20) into the compound (21) is carried out in the same manner as in the halogenation reaction of the compound (1p) in the above mentioned Reaction Scheme-7, but it is preferably carried out by adding to the reaction system a radical initiator such as 2-(4-

biphenylyl)-5-phenyloxazole, azobisisobutyronitrile perbenzoic acid, etc., and a suitable amount of water (e.g. 3 mole %).

The reaction of the compound (21) and the compound (22a) is carried out under the same conditions as those of the reaction of the compound (1a) and the compound (4a) in the above Reaction Scheme-2.

The reaction of converting the compound (23) into the compound (24) is carried out under the same conditions as those of the reaction of converting the compound (1s) into the compound (1r) in the above Reaction Scheme-8.

The reaction of the compound (24) and the compound (22b) is carried out in a suitable solvent in the presence of an azodicarboxylic acid derivative such as dialkyl azodicarboxylate (e.g. diethyl azodicarboxylate, dibutyl azodicarboxylate, etc.) and a dialkylazodicarboxyamide (e.g. 1,1'-azodicarbonyldipiperidine, etc.), and a phosphorus compound such as a trialkylphosphine (e.g. trimethylphosphine, etc.) and a triarylphosphine (e.g. triphenylphosphine, etc.). The solvent may be the same solvents for the reaction of the compound (1a) and the compound (4a) in the above Reaction Scheme-2 except lower alcohols. The azodicarboxylic acid derivative, phosphorus compound and the compound (22) are each used at least in equimolar amount, preferably in an amount of 1 to 1.5 mole, to 1 mole of the compound (24). The reaction is usually carried out at a temperature of 0 to 100°C, preferably at a temperature of 0 to about 70°C, for 1 to about 15 hours.

The reaction of converting the compound (25) into the compound (24) is carried out under the same conditions as those of the reaction of converting the compound (1s) into the compound (1r) in the above Reaction Scheme-8.

The reaction of the compound (26) and the compound (22a) is carried out in a suitable solvent in the presence of formaldehyde and an acid. The solvent includes, for example, halogenated hydrocarbons (e.g. dichloromethane, dichloroethane, chloroform, carbon tetrachloride, etc.), water, alcohols (e.g. methanol, ethanol, isopropanol, etc.), alkanoic acids (e.g. acetic acid, propionic acid, etc.), acid anhydrides (e.g. acetic anhydride, etc.), polar solvents (e.g. acetone, dimethylformamide, etc.), or a mixture of these solvents.

The acid includes, for example, inorganic acids such as hydrogen chloride gas, hydrochloric acid, hydrobromic acid, etc. Formaldehyde includes, for example, an aqueous 20 to 40 % by weight formaldehyde solution, trimer of formaldehyde, polymer of formaldehyde, i.e. para-formaldehyde, and the like. The compound (22a) is usually used at least in equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound (26). Formaldehyde is usually used at least in equimolar amount, preferably in an excess amount, to 1 mole of the compound (26). The reaction is carried out at a temperature of 0 to about 200°C, preferably at a temperature of room temperature to 150°C, for 0.5 to about 24 hours.

Reaction Scheme-18

$$\underset{(27)}{R^{3b}-CN} \longrightarrow \underset{(3c)}{R^{3b}-CH_2NH_2}$$

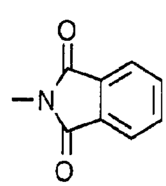
[wherein R^{3b} is the same as defined above]

The reaction of converting the compound (27) into the compound (3c) is carried out under the same conditions as those of the reaction of converting the compound (1s) into the compound (1r) in the above Reaction Scheme-8.

Reaction Scheme-19

$$\underset{(28)}{R^{34}-A-X} \xrightarrow[(29)]{R^{35}H} \underset{(30)}{R^{34}-A-R^{35}} \longrightarrow \underset{(3d)}{H_2N-A-R^{35}}$$

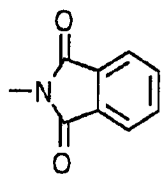
[wherein R^{34} is a group of the formula:



or a group of the formula: $-NR^{36}R^{37}$ (in which R^{36} and R^{37} are each a phenyl - lower alkyl group), R^{35} is a phenylthio group having optionally a lower alkoxy substituent on the phenyl ring, and A is the same as defined above]

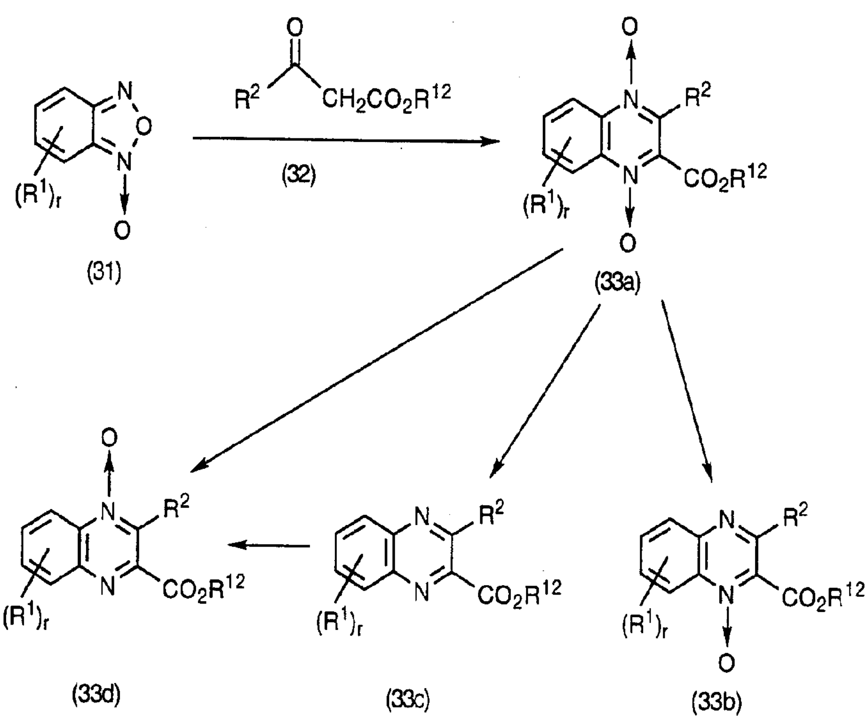
The reaction of the compound (28) and the compound (29) is carried out under the same conditions as those of the reaction of the compound (1a) and the compound (4a) in the above Reaction Scheme-2.

The reaction of converting the compound (30) into the compound (3d) is carried out under the same conditions as those of the reaction of converting the compound (17) into the compound (3a) in the above Reaction Scheme-15, when R^{34} of the compound (30) is a group of the formula:



When R^{34} of the compound (30) is a group of the formula: $-NR^{36}R^{37}$, the reaction of converting the compound (30) into the compound (3d) is carried out under the same conditions as those of the reduction of the compound (1o) into the compound (1m) in the above Reaction Scheme-6 wherein R^{14} is a phenyl-lower alkoxy group.

Reaction Scheme-20



[wherein R^1, R^2, R^{12} and r are the same as defined above]

The reaction of the compound (31) and the compound (32) is carried out in the presence of a basic compound. The basic compound includes the same basic compounds as used for the reaction of the compound (1a) and the compound (4a) in the above Reaction Scheme-2. The compound (32) is used at least in equimolar amount, preferably in an amount of 1 to 5 moles, to 1 mole of the compound (31). The reaction is carried out at a temperature of 0 to 100°C, preferably at a temperature of 0 to about 70°C, for 1 hour to about 5 day.

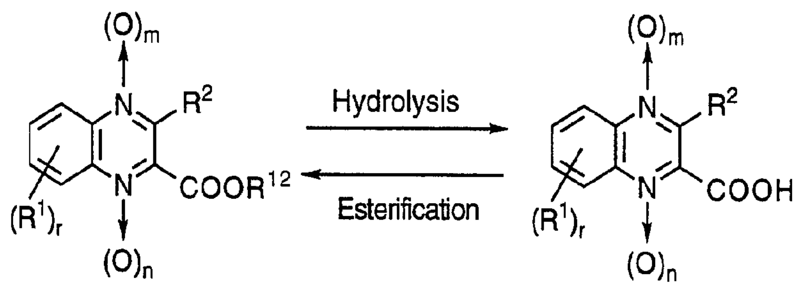
The reaction of converting the compound (33a) into the compound (33b) is carried out in the presence of a halogenated phosphorus compound such as phosphorus tribromide, phosphorus trichloride, etc. in a suitable solvent. The solvent includes, for example, ethers (e.g. dioxane, tetrahydrofuran, etc.), halogenated hydrocarbons (e.g. chloroform, methylene chloride, carbon tetrachloride, etc.), and the like. The halogenated phosphorus compound is used in an amount of 1 to 2 moles, to 1 mole of the compound (33a). The reaction is usually carried out at a temperature of 0 to 100°C, preferably at a temperature of 0 to about 70°C, for 0.5 to 5 hours.

The reaction of converting the compound (33a) into the compound (33c) is carried out by reacting the compound (33a) with sodium hydrosulfate in the presence of an acid in a suitable solvent. The solvent includes, for example, alcohols (e.g. methanol, ethanol, propanol, butanol, 3 - methoxy-1-butanol, ethylcellosolve, methylcellosolve, etc.), water, and the like. The acid includes, for example, mineral acids such as hydrochloric acid, etc. Sodium hydrosulfate is used at least in equimolar amount, preferably in an amount of 1 to 5 moles, to 1 mole of the compound (33a). The reaction is usually carried out at a temperature of 0 to 100°C, preferably at a temperature of 0 to about 70°C, for 1 to about 10 hours.

The reaction of converting the compound (33c) into the compound (33d) is carried out under the same conditions as those of the reaction of converting the compound (1c) into the compound (1d) in the above Reaction Scheme-3.

The reaction of converting the compound (33a) into the compound (33d) is carried out under the same conditions as those of the above mentioned reaction of converting the compound (33a) into the compound (33d) except a trialkylphosphite such as trimethylphosphite is used instead of a halogenated phosphorus compound, and the solvent used therein may be an alcohol such as 1-propanol, etc., and the reaction is carried out at a temperature of 0 to 150°C, preferably at a temperature of 0 to 100°C.

Reaction Scheme-21



(33) (2)

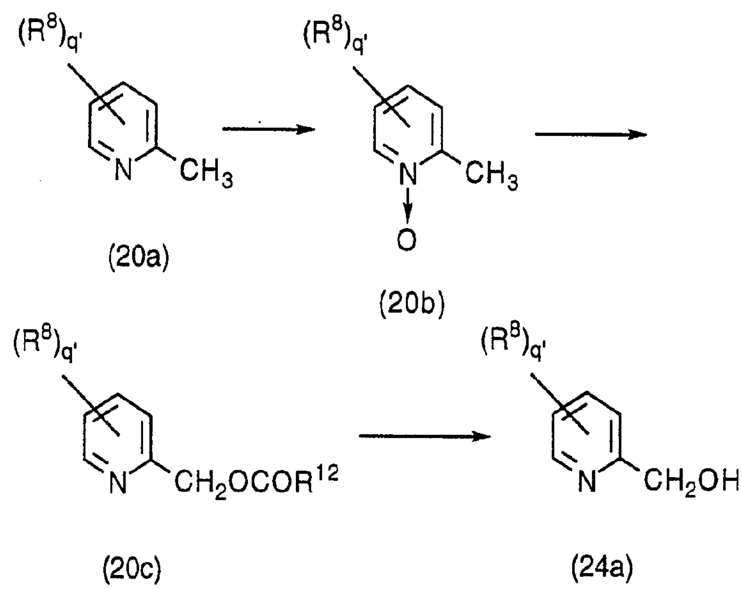
[wherein R^1, R^2, R^{12}, r, m and n are the same as defined above]

The hydrolysis of the compound (33) is carried out under the same conditions as those of the hydrolysis of the compound (1j) in the above Reaction Scheme-5.

The esterification of the compound (2) is carried out by reacting the starting compound with an alcohol (e.g. methanol, ethanol, isopropanol, etc.) in the presence of an inorganic acid (e.g. hydrochloric acid, sulfuric acid, etc.) and a halogenating agent such as thionyl chloride, phosphorus oxychloride, phosphorus pentachloride, phosphorus trichloride, etc., at a temperature of 0 to 150°C, preferably at a temperature of 50 to 100°C, for 5 minutes to about 10 hours.

The intermediate compound (24a) is prepared by the following Reaction Scheme-22.

Reaction Scheme-22



[wherein R^8, q' and R^{12} are the same as defined above]

The reaction of converting the compound (20a) into the compound (20b) is carried out in the presence of an oxidizing agent in a suitable solvent. The solvent and the oxidizing agent used therein are the same solvents and oxidizing agents as used for the reaction of the converting the compound (1c) into the compound (1d) in the above Reaction Scheme-3, respectively. The oxidizing agent is usually used in an excess amount to the compound (20a). The reaction is usually carried out at a temperature of room temperature to 150°C, preferably at a temperature of room temperature to about 120°C, for 1 to about 20 hours.

The reaction of converting the compound (20b) into the compound (20c) is carried out by heating the compound (20b) in the presence of a compound of the formula: $R^{12}COOCOR^{12}$ (R^{12} is the same as defined above) at a temperature of room temperature to about 200°C, preferably at a temperature of room temperature to 150°C, for 1 to 10 hours.

The reaction of converting the compound (20c) into the compound (24a) is carried out under the same conditions as those of the hydrolysis of the compound (1j) in the above Reaction Scheme-5.

The compound (1) wherein R^5 or R^8 is an amino group is

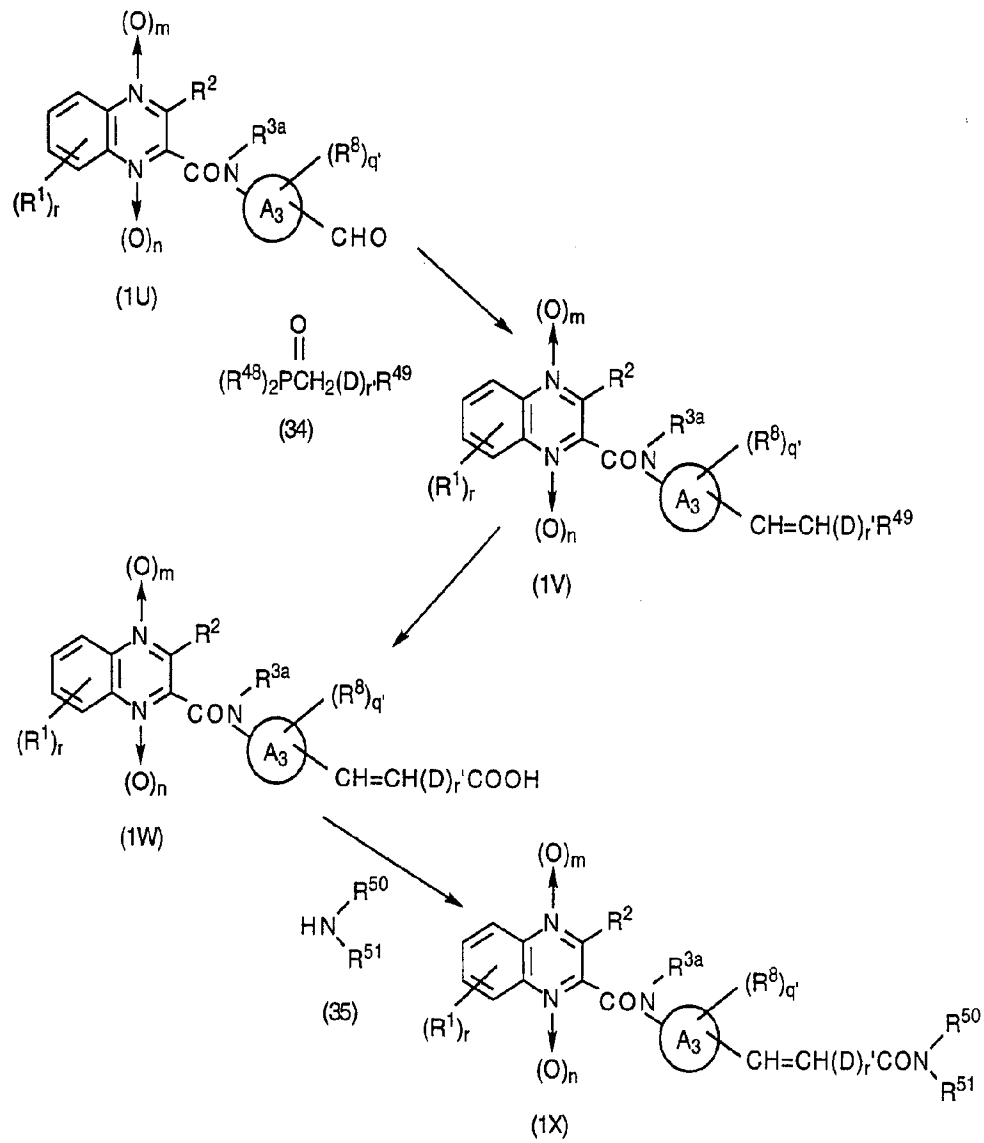
prepared by reducing the corresponding compound (1) wherein R^5 or R^8 is nitro group.

The reduction reaction is carried out, for example, (a) by using a catalyst in a suitable solvent, or (b) by using as a reducing agent a mixture of a metal or a metal salt with an acid, a mixture of a metal or a metal salt and an alkali metal hydroxide, an alkali metal sulfite or an alkali metal ammonium salt in an inert solvent.

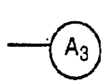
When the above (a) is employed, the solvent includes, for example, water, acetic acid, alcohols (e.g. methanol, ethanol, isopropanol, etc.), hydrocarbons (e.g. hexane, cyclohexane, etc.), ethers (e.g. dioxane, tetrahydrofuran, diethyl ether, diethylene glycol dimethyl ether, etc.), esters (e.g. ethyl acetate, methyl acetate, etc.), aprotic polar solvents (e.g. N,N - dimethylformamide, etc.), or a mixture of these solvents. The catalyst includes, for example, palladium, palladium-black, palladium-carbon, platinum, platinum oxide, copper chromite, Raney-nickel, and the like. The catalyst is used in an amount of 0.02 to 1 mole, to 1 mole of the starting compound. The reaction is carried out at a temperature of -20 to 150°C, preferably, at a temperature of 0 to 100°C, under 1 to 10 atms of hydrogen gas, for 0.5 to 10 hours. An acid (e.g. hydrochloric acid) may be added to the reaction system.

When the method (b) is employed, there is used as a reducing agent a mixture of iron, zinc, tin or stannous chloride and a mineral acid (e.g. hydrochloric acid, sulfuric acid, etc.), or a mixture of iron, iron sulfide, zinc or tin and an alkali metal hydroxide (e.g. sodium hydroxide, etc.), sulfide (e.g. ammonium sulfide, etc.), aqueous ammonia, ammonium salt (e.g. ammonium chloride, etc.). The inert solvent includes, for example, water, methanol, ethanol, dioxane, acetic acid, and the like. The conditions for reduction can be selected according to the kinds of the reducing agent to be used. For example, when a mixture of stannous chloride and hydrochloric acid is used as a reducing agent, the reaction is preferably carried out at a temperature from 0°C to about room temperature, for 0.5 to about 10 hours. The reducing agent may be used at least in equimolar amount, usually in an amount of 1 mole to 5 moles, to 1 mole of the starting compound.

Reaction Scheme-23



[wherein R^1, R^2, R^{3a}, R^8, R^{39}, m, n, q', D, r, r' and the group of the formula:

 are the same as defined above, R^{48} is a lower alkoxy group, R^{49} is a lower alkoxycarbonyl group, and R^{50} and R^{51} are the same or different and each hydrogen atom or a lower alkyl group]

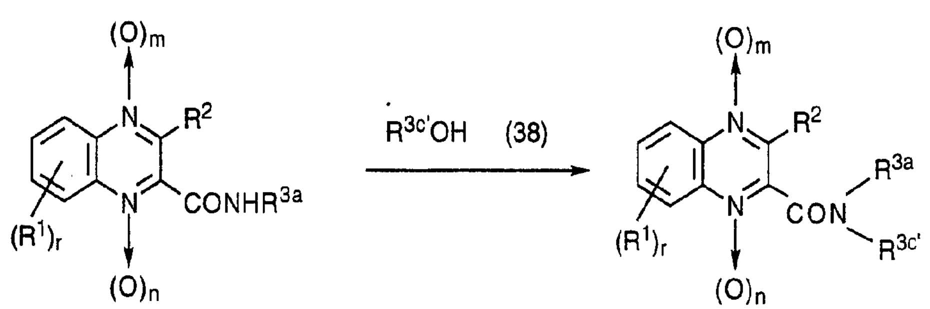
The reaction of the compound (1U) and the compound (34) is carried out in the presence of a basic compound in a suitable solvent. The basic compound includes, for example, inorganic bases (e.g. metal sodium,

metal potassium, sodium hydride, sodium amide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogen carbonate, etc.), organic bases such as an alkali metal alcoholate (e.g. sodium methylate, sodium ethylate, potassium t-butoxide, etc.), an alkyl lithium, aryl lithium or lithium amide (e.g. methyl lithium, n-butyl lithium, phenyl lithium, lithium diisopropylamide, etc.), pyridine, piperidine, quinoline, triethylamine, N,N-dimethylaniline, and the like. The solvent may be any one which does not affect the reaction, and includes, for example, ethers (e.g. diethyl ether, dioxane, tetrahydrofuran, monoglyme, diglyme, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), aliphatic hydrocarbons (e.g. n-hexane, heptane, cyclohexane, etc.), amines (e.g. pyridine, N,N-dimethylaniline, etc.), aprotic polar solvents (e.g. N,N-dimethylformamide, dimethyl sulfoxide, hexamethylphosphoric triamide, etc.), alcohols (e.g. methanol, ethanol, isopropanol, etc.), and the like. The reaction is usually carried out at a temperature from -80°C to 150°C, preferably at a temperature from -80 to about 120°C, for 0.5 to about 15 hours.

The reaction of converting the compound (1V) into the compound (1W) can be carried out under the same conditions as those of the hydrolysis of the compound (1j) in the above Reaction Scheme-5.

The reaction of the compound (1W) and the compound (35) can be carried out under the same conditions as those of the reaction of the compound (2) and the compound (3) in the above Reaction Scheme-1.

Reaction Scheme-24



(1a) (1Y)

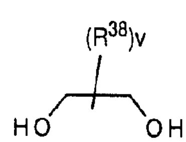
[wherein R^1, R^2, m, n, r and R^{3a} are the same as defined above, $R^{3c'}$ is a phenyl - lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxycarbonyl

group, a phenoxycarbonyl group, or a group of the formula: $-CO-A-NR^{52}R^{53}$ (in which A, R^{52}, R^{53} are the same as defined above)]

The reaction of the compound (1a) and the compound (38) is carried out under the same conditions as those of the reaction of the compound (2) and the compound (3) in Reaction Scheme-1. In said reaction, when the compound (38) is used in the form of an acid anhydride, the reaction of the carboxylic acid anhydride and the amine compound is carried out in the same manner as in the reaction of the compound (2) and the compound (3) when the active ester method is employed, i.e. in the presence of a basic compound in a solvent at a temperature of 0 to 150°C, preferably at a temperature of 10 to 100°C, for 5 to 30 hours. The carboxylic acid anhydride compound is used at least in equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound (1a).

The compound (1) wherein R^8 is a lower alkanoyl group is prepared by reacting the corresponding compound (1) wherein R^8 is cyano group with a compound of the formula: $R^{17}MgX$ (11) (wherein R^{17} and X are the same as defined above), followed by subjecting the resultant to hydrolysis. The reaction of the compound (1) and the compound (11) is carried out under the same conditions as those of the reaction of the compound (1s) and the compound (11) in the above Reaction Scheme-8. The subsequent hydrolysis is carried out under the same conditions as those of the hydrolysis of the compound (1j) in the above Reaction Scheme-5. The reaction is preferably carried out in the presence of an acid.

The compound (1) wherein R^5 is a 1,3-dioxolanyl group having optionally a lower alkyl substituent or R^8 is a 1,3-dioxolanyl-substituted lower alkyl group having optionally a lower alkyl substituent is prepared by reacting the corresponding compound (1) wherein R^5 is a lower alkanoyl group or R^8 is a lower alkanoyl-substituted lower alkyl group with a compound of the formula:



(36)

(wherein R^{38} is a lower alkyl group, v is 0 or an integer of 1 to 3). The reaction is carried out in the presence of an acid in a suitable solvent. The solvent includes, for example, in addition to the same solvents for the above mentioned reaction of the compound (1a) and the compound (4a) in the above mentioned Reaction Scheme-2, halogenated hydrocarbons (e.g. methylene chloride, dichloroethane, chloroform, etc.). The acid includes, for example, mineral acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.), organic acids (e.g. p-toluenesulfonic acid, etc.), and the like. The compound (36) is used at least in equimolar amount, preferably in an amount of 1 to 10 mole, to 1 mole of the starting compound. The reaction is usually carried out at a temperature of room temperature to 150°C, preferably at a temperature of room temperature to about 100°C, for 1 to about 24 hours.

The compound (1) wherein R^5 is a lower alkanoyl group or R^8 is a lower alkanoyl-substituted lower alkyl group is prepared by hydrolysis of the corresponding compound (1) wherein R^5 is a 1,3-dioxolanyl group having optionally a lower alkyl substituent, or R^8 is a 1,3-dioxolanyl-substituted lower alkyl group having optionally a lower alkyl substituent. The hydrolysis is carried out in the presence of an acid in a suitable solvent. The solvent includes, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ketones (e.g. acetone, methyl ethyl ketone, etc.), halogenated hydrocarbons (e.g. dichloromethane, dichloroethane, chloroform, carbon tetrachloride, etc.), or a mixture of these solvents. The acid includes, for example, inorganic acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.) and organic acids (e.g. p-toluenesulfonic acid, etc.). The reaction is carried out at a temperature of 0 to 70°C, preferably at a temperature of 0°C to room temperature, for 1 to 10 about hours.

The compound (1) wherein R^8 is a tetrazolyl group having a substituent selected from a lower alkyl group and a lower alkoxy-lower alkyl group is prepared by reacting the corresponding compound (1) wherein R^8 is a tetrazolyl group with a compound of the formula: $R^{39}X$ (37) (wherein R^{39} is a lower alkyl group or a lower alkoxy-lower alkyl group, and X is the same as defined above) in the same manner as in the reaction of the compound (10)

with the compound (4a) in the above mentioned Reaction Scheme-2.

The compound (1) wherein R^8 is unsubstituted tetrazolyl group is prepared by subjecting the compound (1) wherein R^8 is a tetrazolyl group having a lower alkoxy-lower alkyl substituent, to hydrolysis in the same manner as in the hydrolysis of the compound (1o) wherein R^{14} is a lower alkoxy - substituted lower alkoxy group in the above mentioned Reaction Scheme-6.

The compound (1) wherein R^2 is a morpholino-substituted lower alkyl group or an imidazolyl-substituted lower alkyl group is prepared by reacting the corresponding compound (1) wherein R^2 is a lower alkyl group having a halogen substituent with morpholine or imidazole in the same manner as in the reaction of the compound (1a) and the compound (4a) in the above mentioned Reaction Scheme-2.

The compound (1) wherein R^2 is a halogen-substituted methyl group is prepared by reacting the corresponding compound (1) wherein R^2 is methyl group in the same manner as in the reaction of converting the compound (20) into the compound (21) in the above mentioned Reaction Scheme-17. Further, the compound (1) wherein R^2 is a halogen-substituted methyl group (in which halogen atom is fluorine atom) is also prepared by reacting the corresponding compound (1) wherein R^2 is a halogen-substituted methyl group (in which halogen atom is other than fluorine atom) with silver fluoride.

Among the desired compounds (1) of the present invention, the compounds having a basic group can easily be converted into acid addition salts thereof by treating them with a pharmaceutically acceptable acid. The acid includes, for example, inorganic acids (e.g. hydrochloric acid, sulfuric acid, phosphoric acid, hydrobromic acid, etc.), and organic acids (e.g. oxalic acid, acetic acid, succinic acid, malonic acid, methanesulfonic acid, maleic acid, fumaric acid, malic acid, tartaric acid, citric acid, benzoic acid, etc.). These salts show as well excellent antidiabetic activity as the free compounds (1).

Besides, among the desired compounds (1) of the present invention, the compounds having an acidic group can easily be converted into

salts by treating them with a pharmaceutically acceptable basic compound. The basic compound includes, for example, sodium hydroxide, potassium hydroxide, calcium hydroxide, sodium carbonate, potassium hydrogen carbonate, and the like.

The desired compound of each process can easily be isolated and purified by conventional isolation methods. The isolation methods are, for example, extraction with solvent, dilution method, recrystallization method, column chromatography, preparative thin layer chromatography, and the like.

In addition, the compounds (1) of the present invention include stereoisomers and optical isomers, and these isomers are also useful as antidiabetic agents.

The desired compounds (1) of the present invention and salts thereof are useful as antidiabetic agent and are used in the form of a conventional pharmaceutical preparation. The preparation is prepared by using conventional diluents or carriers such as fillers, thickening agents, binders, wetting agent, disintegrators, surfactants, lubricants, and the like. The pharmaceutical preparations can be selected from various forms in accordance with the desired utilities, and the representative forms are tablets, pills, powders, solutions, suspensions, emulsions, granules, capsules, suppositories, injections (solutions, suspensions, etc.), and the like. In order to form in tablets, there are used carriers such as vehicles (e.g. lactose, white sugar, sodium chloride, glucose, urea, starch, calcium carbonate, kaolin, crystalline cellulose, silicic acid, etc.), binders (e.g. water, ethanol, propanol, simple syrup, glucose solution, starch solution, gelatin solution, carboxymethyl cellulose, shellac, methyl cellulose, potassium phosphate, polyvinyl - pyrrolidone, etc.), disintegrators (e.g. dry starch, sodium alginate, agar powder, laminaran powder, sodium hydrogen carbonate, calcium carbonate, polyoxyethylene sorbitan fatty acid esters, sodium laurylsulfate, stearic monoglyceride, starches, lactose, etc.), disintegration inhibitors (e.g. white sugar, stearin, cacao butter, hydrogenated oils, etc.), absorption promoters (e.g. quaternary ammonium base, sodium laurylsulfate, etc.), wetting agents (e.g. glycerin, starches, etc.), adsorbents (e.g. starches, lactose, kaolin, bentonite, colloidal silicates, etc.), lubricants (e.g. purified talc, stearates, boric acid

powder, polyethylene glycol, etc.), and the like. Moreover, the tablets may also be in the form of a conventional coated tablet, such as sugar-coated tablets, gelatin-coated tablets, enteric coated tablets, film coating tablets, or double or multiple layer tablets. In the preparation of pills, the carriers may be conventional ones, and include, for example, vehicles (e.g. glucose, lactose, starches, cacao butter, hydrogenated vegetable oils, kaolin, talc, etc.), binders (e.g. gum arabic powder, tragacanth powder, gelatin, ethanol, etc.), disintegrators (e.g. laminaran, agar, etc.), and the like. In the preparation of suppositories, the carriers may be conventional ones, and include, for example, polyethylene glycol, cacao butter, higher alcohols, higher alcohol esters, gelatin, semi-synthetic glycerides, and the like. Capsules can be prepared by charging a mixture of the compound of the present invention and the above carriers into hard gelatin capsules or soft capsules in usual manner. In the preparation of injections, the solutions, emulsions and suspensions are sterilized and are preferably made isotonic with the blood. In the preparation of these solutions, emulsions and suspensions, there are used conventional diluents, such as water, ethyl alcohol, macrogol, propylene glycol, ethoxylated isostearyl alcohol, polyoxylated isostearyl alcohol, polyoxyethylene sorbitan fatty acid esters, and the like. In this case, the pharmaceutical preparations may also be incorporated with sodium chloride, glucose, or glycerin in an amount sufficient to make them isotonic, and may also be incorporated with conventional solubilizers, buffers, anesthetizing agents. Besides, the pharmaceutical preparations may optionally be incorporated with coloring agent, preservatives, perfumes, flavors, sweetening agents, and other medicaments, if required.

The amount of the desired compound of the present invention to be incorporated into the pharmaceutical preparation is not specified but may be selected from a broad range, but usually, it is preferably in the range of 1 to 70 % by weight.

The pharmaceutical preparation of the present invention containing as an active ingredient the compounds (1) of the present invention or a salt thereof may be administered in any method, and suitable method for administration may be determined in accordance with various forms of

preparations, ages, sexes and other conditions of the patients, the degree of severity of diseases, and the like. For example, tablets, pills, solutions, suspensions, emulsions, granules and capsules are administered orally. The injections are intravenously administered alone or together with a conventional auxiliary liquid (e.g. glucose, amino acid solutions), and further are optionally administered alone in intramuscular, intracutaneous, subcutaneous, or intraperitoneal route, if required. Suppositories are administered in intrarectal route.

The dosage of the pharmaceutical preparation of the present invention may be selected in accordance with the usage, ages, sexes and other conditions of the patients, the degree of severity of the diseases, and the like, but it is usually in the range of about 0.2 to 200 mg of the active compound of the present invention per 1 kg of body weight of the patient per day.

Best Mode for Carrying Out the Invention

Examples

The present invention is illustrated in more detail by the following Preparations of antidiabetic agent, Reference Examples of processes for preparing the starting compounds to be used for preparing the desired compounds of the present invention, and Examples of processes for preparing the desired compounds, and Experiments of the activities of the desired compounds of the present invention.

Preparation 1

Tablets are prepared from the following components.

Components	Amount
2-(2-Benzofuranylmethylaminocarbonyl)-3-methylquinoxalin-4-oxide	5 mg
Starch	132 mg
Magnesium stearate	18 mg
Lactose	45 mg
Totally	200 mg

In the conventional manner, these are obtained tablets each containing the above components.

Preparation 2

Film coated tablets are prepared from the following components.

Components	Amount
2-[3-(4-Methoxyphenyl)propylaminocarbonyl]-3-methylquinoxalin-4-oxide	150 mg
Avicel (trade name of microcrystalline cellulose manufactured by Asahi Chemical Industry, Co., Ltd. Japan)	40 g
Corn starch	30 g
Magnesium stearate	2 g
Hydroxypropyl methylcellulose	10 g
Polyethylene glycol-6000	3 g
Castor oil	40 g
Methanol	40 g

The active compound of the present invention, Avicel, corn starch and magnesium stearate are mixed and kneaded and the mixture is tabletted

using a conventional pounder (R 10 mm) for sugar coating. The tablets thus obtained are coated with a film coating agent consisting of hydroxypropyl methylcellulose, polyethylene glycol-6000, castor oil and methanol to give film coated tablets.

Reference Example 1

A solution of 2-ethoxycarbonyl-3-methylbenzofuran (5.0 g) in anhydrous diethyl ether (10 ml) is added dropwise to a suspension of lithium aluminum hydride (0.93 g) in diethyl ether (30 ml) under ice-cooling, and the mixture is stirred at room temperature for 30 minutes. The mixture is cooled, and decomposed with saturated aqueous sodium sulfate solution. The mixture is filtered through celite, and dried over anhydrous sodium sulfate, and the residue is evaporated to remove the solvent to give 2-hydroxymethyl-3-methylbenzofuran (3.7 g) as white powder.

^{1}H-NMR ($CDCl_3$) δ ppm: 1.82 (1H, t, J=6 Hz), 2.27 (3H, s), 4.76 (2H, d, J=6 Hz), 7.20-7.55 (4H, m)

Reference Example 2

In tetrahydrofuran (40 ml) are suspended 2-hydroxymethyl-3-methylbenzofuran (3.7 g), triphenylphosphine (6.6 g) and phthalimide (3.7 g), and thereto is added dropwise a solution of diethyl azodicarboxylate (4.4 g) in tetrahydrofuran (10 ml) under ice-cooling. The mixture is stirred at room temperature overnight, and evaporated to remove the solvent, and the resulting residue is purified by silica gel column chromatography (solvent; methylene chloride), and crystallized from diethyl ether. The crystals are collected by filtration, and dried to give 3-methyl-2-phthalimidomethylbenzofuran (4.6 g) as white powder.

^{1}H-NMR ($CDCl_3$) δ ppm: 2.40 (3H, s), 4.97 (2H, s), 7.10-7.30 (2H, m), 7.40 (1H, d, J=7 Hz), 7.48 (1H, d, J=7 Hz), 7.65-7.75 (2H, m), 7.80-7.90 (2H, m)

Reference Example 3

To methanol (80 ml) is added 3-methyl-2-phthalimidomethyl-benzofuran (4.6 g), and thereto is added hydrazine hydrate (1.2 g), and the mixture is refluxed for three hours. The mixture is evaporated to remove the solvent, and to the residue is added diluted aqueous sodium hydroxide solution, and then the mixture is extracted with chloroform. The chloroform

layer is washed with saturated brine solution, and dried over anhydrous sodium sulfate. The residue is evaporated to remove the solvent to give 2-aminomethyl-3-methylbenzofuran (3.0 g) as colorless transparent liquid.

^{1}H-NMR ($CDCl_3$) δ ppm: 1.55 (2H, brs), 2.21 (3H, s), 3.93 (2H, s), 7.15-7.30 (2H, m), 7.35-7.50 (2H, m)

Reference Example 4

To carbon tetrachloride (50 ml) are added 2-methylbenzothiophene (4.0 g), N-bromosuccinimide (4.8 g) and azobisisobutyronitrile (0.3 g), and the mixture is refluxed for five hours. After cooling, the insoluble materials are removed by filtration, and the filtrate is concentrated to give 2-bromomethylbenzothiophene (6.7 g) as brown powder.

^{1}H-NMR ($CDCl_3$) δ ppm: 4.79 (2H, s), 7.30-7.45 (2H, m), 7.36 (1H, s), 7.70-7.85 (2H, m)

Reference Example 5

In dimethylformamide (40 ml) is dissolved 2-bromomethylbenzothiophene (6.7 g), and thereto is added potassium phthalimide (5.0 g), and the mixture is stirred at 60°C for two hours. The mixture is evaporated to remove the dimethylformamide, and the residue is extracted with chloroform. The extract is washed with water and saturated brine solution, dried over anhydrous sodium sulfate, and evaporated to remove the solvent. To the residue is added diethyl ether, and the precipitated crystals are collected by filtration, and dried to give 2-phthalimidomethylbenzothiophene (4.5 g) as pale brown powder.

^{1}H-NMR ($CDCl_3$) δ ppm: 5.10 (2H, s), 7.20-7.40 (3H, m), 7.70-7.80 (4H, m), 7.80-7.95 (2H, m)

Reference Example 6

3-Formylbenzofuran (4.3 g) is dissolved in methanol (50 ml), and thereto is added gradually sodium borohydride (1.1 g) under ice-cooling. The mixture is stirred at the same temperature for one hour, and evaporated to remove the methanol. The residue is extracted with chloroform, and the extract is washed with water, and dried over anhydrous sodium sulfate. The residue is evaporated to remove the solvent to give 3-hydroxymethylbenzofuran (4.1 g) as

pale yellow liquid.

^{1}H-NMR ($CDCl_3$) δ ppm: 1.62 (1H, t, J=5 Hz), 4.85 (2H, d, J=5 Hz), 7.20 - 7.45 (2H, m), 7.53 (1H, d, J=8 Hz), 7.62 (1H, s), 7.68 (1H, d, J=8 Hz)

Reference Example 7

A solution of ethyl 2-benzofuranacrylate (3.46 g) in dry toluene is cooled to -50°C, and thereto is added dropwise alminum diisobutyl hydride (1M toluene solution, 37 ml). The mixture is stirred at -20°C for one hour, and thereto is added methanol (30 ml), and the mixture is stirred at room temperature overnight. The precipitates are removed by filtration, and the filtrate is concentrated. The residue is dissolved in ethyl acetate, and filtered through Florisil (an activated magnesium silicate), and concentrated to give 2 -(3-hydroxy-1-propenyl)benzofuran (2.6 g) as colorless liquid.

^{1}H-NMR ($CDCl_3$) δ ppm: 4.39 (2H, brs), 6.60 (3H, m), 7.17-7.32 (2H, m), 7.43 (1H, d, J=7 Hz), 7.52 (1H, d, J=7 Hz)

Reference Example 8

Into a mixture of benzothiophene (13.4 g) and 37 % aqueous formaldehyde solution (15 ml) is blown hydrogen chloride gas for about 20 to 30 minutes under ice-cooling. The mixture is stirred at room temperature for two hours. The reaction mixture is poured into ice-water, and extracted with diethyl ether. The extract is washed with saturated sodium hydrogen carbonate solution, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent. and the resulting residue and potassium phthalimide (18.5 g) are dissolved in diemthylformamide (100 ml). The mixture is heated at 60°C for 1.5 hour, and after cooling, the mixture is poured into ice-water. The precipitated crystals are collected by filtration, washed with diisopropyl ether to give 3-phthalimidomethylbenzothiophene (13.4 g) as pale brown crystals.

^{1}H-NMR ($CDCl_3$) δ ppm: 5.08 (2H, s), 7.3-7.5 (2H, m), 7.61 (1H, s), 7.6 - 7.9 (5H, m), 8.15 (1H, d, J=8 Hz)

Reference Example 9

2-Acetylbenzofuran (3.2 g) is dissolved in methanol (60 ml), and thereto are added ammonium acetate (15 g) and sodium cyanoborohydride (1.26 g). The mixture is stirred at room temperature overnight, and thereto is

added diluted aqueous hydrochloric acid solution to make the solution acidic. The mixture is washed with ethyl acetate, and the aqueous layer is basified with aqueous sodium hydroxide solution, and extracted with chloroform. The chloroform layer is washed with water, dried over anhydrous sodium sulfate, and evaporated to remove chloroform to give 2-(1-aminoethyl)benzofuran (1.8 g) as colorless liquid.

^{1}H-NMR ($CDCl_3$) δ ppm: 1.52 (3H, d, J=6 Hz), 1.83 (2H, brs), 4.20 (1H, q, J=6 Hz), 6.50 (1H, s), 7.15-7.30 (2H, m), 7.43 (1H, d, J=8 Hz), 7.51 (1H, d, J=8 Hz)

Reference Example 10

5-Ethyl-2-methylpyridine (25 g) is dissolved in acetic acid (200 ml), and thereto is added 30 % aqueous hydrogen peroxide solution (25 ml), and the mixture is heated at 100°C. Four hours later, to the mixture is added 30 % aqueous hydrogen peroxide solution (25 m), and the mixture is heated with stirring at the same temperature for 14 hours. After cooling, the mixture is concentrated several times with adding water thereto. The final residue is neutralized with saturated aqueous potassium carbonate solution, and extracted with chloroform. The extract is dried over anhydrous potassium carbonate, and evaporated to remove the solvent. The resulting residue is dissolved in acetic anhydride (200 ml), and the mixture is heated at 120°C for 4 hours. The mixture is evaporated to remove the solvent, and thereto is added saturated aqueous sodium hydrogen carbonate solution, and extracted with ethyl acetate. The extract is dried over anhydrous sodium sulfate, and evaporated to remove the solvent. The residue is dissolved in methanol (200 ml), and thereto is added potassium carbonate (57 g), and the mixture is stirred at room temperature for 12 hours. The mixture is evaporated to remove the solvent, and thereto is added water. The mixture is extracted with chloroform, and dried over anhydrous potassium carbonate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; ethyl acetate : n-hexane=1 : 4) to give 5-ethyl-2 - hydromethylpyridine (20.4 g) as pale brown liquid.

^{1}H-NMR ($CDCl_3$) δ ppm: 1.26 (3H, t, J=8 Hz), 2.66 (2H, q, J=8 Hz), 3.67 (1H, br), 4.73 (2H, s), 7.18 (1H, d, J=8 Hz), 7.52 (1H, d, J=8 Hz), 8.41 (1H, s)

Reference Example 11

4-(2-Methyl-1,3-dioxolan-2-yl)benzonitrile (1.9 g) is dissolved in diethyl ether (20 ml), and thereto is added lithium aluminum hydride (400 mg) at 0°C. The mixture is reacted at room temperature for 14 hours, and thereto are added water (1 ml) and 8M aqueous sodium hydroxide solution (3 ml). To the mixture is added magnesium sulfate, and the insoluble materials are removed by filtration. The filtrate is concentrated to give [4-(2-methyl-1,3-dioxolan-2-yl)benzyl]amine (2.1 g) as colorless oil.

^{1}H-NMR ($CDCl_3$) δ ppm: 7.45 (2H, d, J=8 Hz), 7.29 (2H, d, J=8 Hz), 4.04 (2H, m), 3.87 (2H, s), 3.77 (2H, m), 1.77 (2H, br), 1.65 (3H, s)

Reference Example 12

N-Bromoethylphthalimide (13 g) and p-methoxythiophenol (7.9 g) are dissolved in dimethylformamide (70 ml), and thereto is added potassium carbonate (10 g). The mixture is stirred at 70°C overnight, and evaporated to remove the solvent. The residue is extracted with diethyl ether, washed with water, and dried over anhydrous sodium sulfate. The residue is evaporated to remove diethyl ether, and crystallized from n-hexane. The crystals are collected by filtration, and dried to give N-[2-(4-methoxyphenylthio)ethyl]-phthalimide (13.9 g) as white powder.

^{1}H-NMR ($CDCl_3$) δ ppm: 3.14 (2H, t, J=7 Hz), 3.75 (3H, s), 3.89 (2H, t, J=7 Hz), 6.80 (2H, d, J=8 Hz), 7.42 (2H, d, J=8 Hz), 7.65-7.75 (2H, m), 7.75-7.90 (2H, m)

Reference Example 13

N-(2-Chloroethyl)dibenzylamine · hydrochloride (25 g) and phenol (8.0 g) are dissolved in dimethylformamide (100 ml), and thereto is added potassium carbonate (30 g). The mixture is stirred at 70°C for 6 hours, and evaporated to remove dimethylformamide. The residue is extracted with diethyl ether, and the extract is washed with water, and dried over anhydrous sodium sulfate. The residue is evaporated to remove diethyl ether to give N-(2-phenoxyethyl)dibenzylamine (25.5 g) as colorless oil.

^{1}H-NMR ($CDCl_3$) δ ppm: 2.90 (2H, t, J=6 Hz), 3.72 (4H, s), 4.03 (2H, t, J=6 Hz), 6.80 (2H, d, J=8 Hz), 6.85-7.00 (1H, m), 7.15-7.45 (12H, m)

Reference Example 14

N-(2-Phenoxyethyl)dibenzylamine (25.5 g) is dissolved in ethanol (500 ml), and thereto is added 10 % palladium-carbon (3.0 g). The mixture is subjected to hydrogenation at 50°C under 1 atm of hydrogen gas. The catalyst is removed by filtration, and the filtrate is evaporated to remove ethanol to give 2-phenoxyethylamine (11.0 g) as colorless oil.

^{1}H-NMR ($CDCl_3$) δ ppm: 1.47 (2H, brs), 3.08 (2H, t, J=5 Hz), 4.01 (2H, t, J=5 Hz), 6.85-7.00 (3H, m), 7.25-7.35 (2H, m)

Reference Example 15

To triethylamine (1.5 liter) are added benzofuroxane (216 g) and ethyl acetoacetate (207 g), and the mixture is stirred at room temperature for four days. The precipitated crystals are collected by filtration, washed with water, dried, and crystallized from ethyl acetate to give 2-ethoxycarbonyl-3-methylquinoxaline-1,4-dioxide (115 g) as pale yellow needles.

M.p. 137-138°C

Reference Example 16

2-Ethoxycarbonyl-3-methylquinoxaline-1,4-dioxide (77 g) is dissolved in ethanol (3.5 liters) and conc. hydrochloric acid (200 ml), and to the mixture is added dropwise aqueous solution of sodium hydrosulfite (200 g) in water (1 liter) with stirring at room temperature. The mixture is stirred at the same temperature for four hours, and the reaction mixture is neutralized with sodium hydrogen carbonate, and evaporated to remove ethanol. To the residue is added water, and the precipitated crystals are collected by filtration, washed with water, dried, and recrystallized from n-pentane to give 2-ethoxy-carbonyl-3-methylquinoxaline (67 g) as colorless needles.

M.p. 74-75°C

Reference Example 17

2-Ethoxycarbonyl-3-methylquinoxaline (64 g) is dissolved in methylene chloride (700 ml), and thereto is added gradually m-chloro-perbenzoic acid (70 g) under ice-cooling. The mixture is stirred at room temperature overnight, and the reaction solution is washed successively with diluted aqueous sodium thiosulfate solution, saturated sodium hydrogen carbonate solution, and water, and dried over anhydrous sodium sulfate. The

resultant is evaporated to remove the solvent, and to the residue is added n - hexane. The precipitated crystals are collected by filtration, dried, and recrystallized from n-hexane/diethyl ether to give 2-ethoxycarbonyl-3 - methylquinoxalin-4-oxide (45 g) as colorless needles.

M.p. 91-93°C

Reference Example 18

2-Ethoxycarbonyl-3-methylquinoxaline-1,4-dioxide (105 g) is dissolved in chloroform (500 ml), and thereto is added dropwise gradually phosphorus tribromide (44 ml) under ice-cooling. The mixture is stirred at room temperature for one hour, and evaporated to remove the solvent. The residue is poured into ice-water, and neutralized with potassium carbonate. The mixture is extracted with chloroform, washed with water, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; n - hexane : ethyl acetate = 2 : 1), and recrystallized from ethyl acetate/n-hexane to give 2-ethoxycarbonyl-3-methylquinoxalin-1-oxide (35 g) as colorless prisms.

M.p. 85-87°C

Reference Example 19

2-Ethoxycarbonyl-3-methylquinoxalin-4-oxide (4.0 g) is suspended in methanol (80 ml) and 5N aqueous sodium hydroxide solution (10 ml), and the mixture is stirred at room temperature for three hours. The mixture is evaporated to remove the solvent, and the residue is dissolved in water. The aqueous layer is washed with ethyl acetate, and acidified with hydrochloric acid. The precipitated crystals are collected by filtration, washed with water, and dried to give 2-carboxy-3-methylquinoxaline-4-oxide (3.2 g) as white powder.

M.p. 143-145°C (decomposed)

Reference Example 20

2-Carboxyquinoxaline (2.0 g) is dissolved in methanol (20 ml), and thereto is added dropwise thionyl chloride (1.3 ml) under ice-cooling. The mixture is refluxed for 15 minutes, and evaporated to remove the solvent. The residue is extracted with chloroform, and the extract is washed with saturated aqueous sodium hydrogen carbonate solution, and dried over anhydrous

sodium sulfate. The residue is evaporated to remove the solvent, and the resulting 2-methoxycarbonylquinoxaline is dissolved in methylene chloride (40 ml). To the mixture is added m-chloroperbenzoic acid (2.9 g) with stirring at room temperature, and the mixture is stirred at room temperature overnight. The reaction solution is washed with diluted aqueous sodium thiosulfate solution and saturated sodium hydrogen carbonate solution, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and to the residue is added n-hexane. The precipitated crystals are collected by filtration, and dried to give 2-methoxycarbonylquinoxalin-4-oxide (2.0 g) as yellow powder.

M.p. 154-155°C

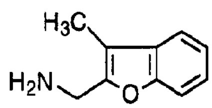
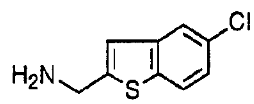
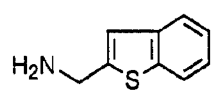
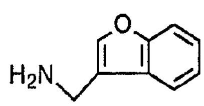
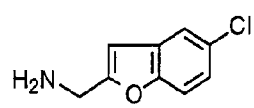
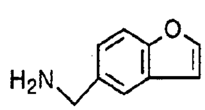
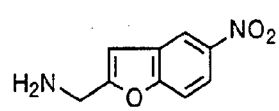
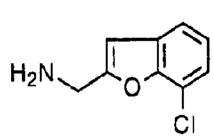
Reference Example 21

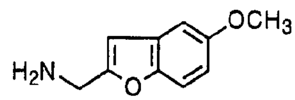
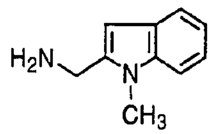
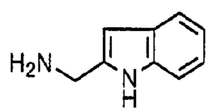
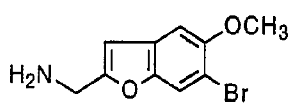
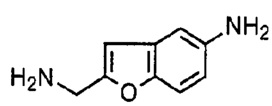
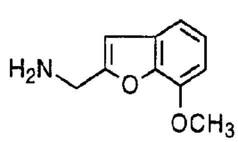
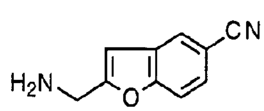
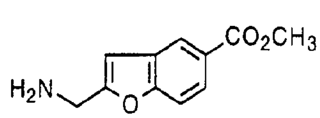
2-Ethoxycarbonyl-3-methylquinoxaline (2.2. g) is dissolved in carbon tetrachloride (40 ml), and thereto are added N-bromosuccinimide (2.7 g) and perbenzoic acid (0.2 g), and the mixture is refluxed for 8 hours. The mixture is evaporated to remove the solvent, and thereto is added water. The mixture is extracted with dichloromethane, and the extract is dried over anhydrous sodium sulfate, and evaporated. The residue is dissolved in isopropanol (50 ml), and thereto is added imidazole (2.8 g). The mixture is refluxed for 10 hours, and evaporated to remove the solvent. To the residue is added water, and the mixture is extracted with chloroform, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the resulting residue is purified by silica gel column chromatography (solvent; dichloromethane : methanol = 16 : 1) to give 2-ethoxycarbonyl-3-(1-imidazolyl)methylquinoxaline (1.33 g) as yellow powder.

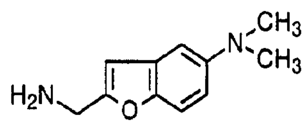
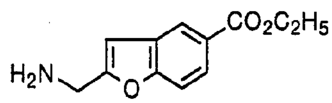
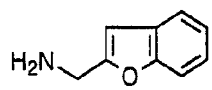
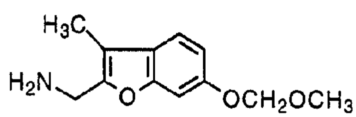
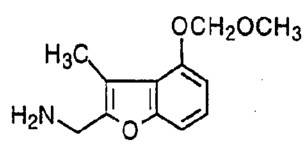
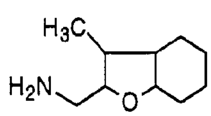
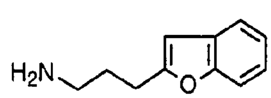
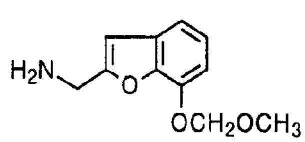
^{1}H-NMR ($CDCl_3$) δ ppm: 1.47 (3H, t, J=7 Hz), 4.55 (2H, q, J=7 Hz), 5.82 (2H, s), 7.04 (2H, d, J=5 Hz), 7.70 (1H, s), 7.8-8.0 (2H, m), 8.0-8.1 (1H, m), 8.2-8.3 (1H, m)

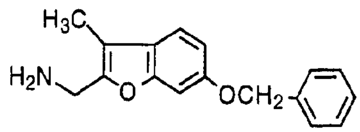
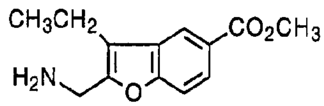
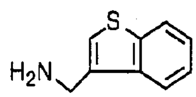
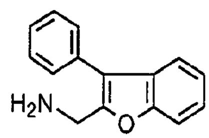
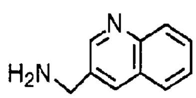
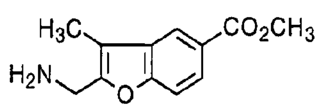
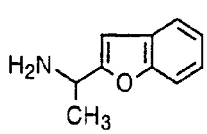
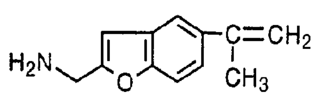
By using the suitable starting compounds, there are obtained the following compounds as listed in Table 1 in the same manner as in Reference Examples 3, 9, 11 and 14.

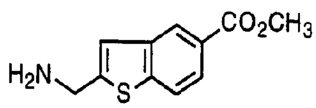
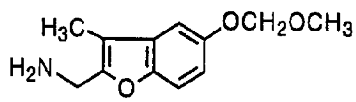
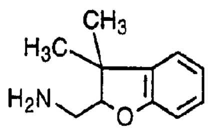
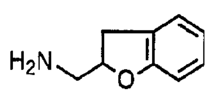
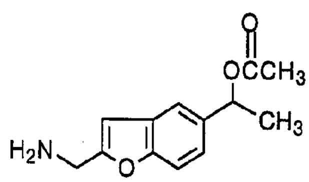
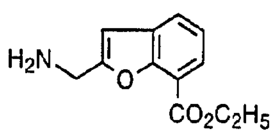
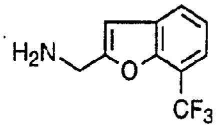
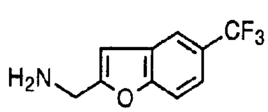
Table 1

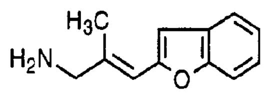
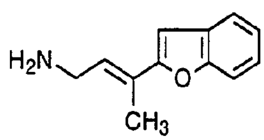
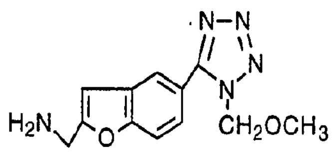
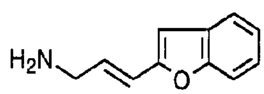
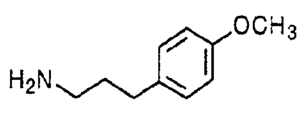
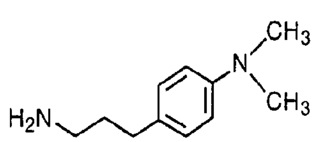
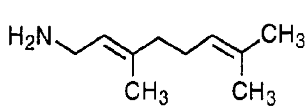
No.	Structure	M.p. °C	Crystalline Form	^{1}H-NMR ($CDCl_3$) δ ppm:
1			Colorless oil	1.55 (2H, brs), 2.21 (3H, s), 3.93 (2H, s), 7.15-7.30 (2H, m), 7.35-7.50 (2H, m)
2			Yellow powder	1.31 (2H, brs), 4.14 (2H, s), 7.07 (1H, s), 7.2-7.3 (1H, m), 7.6-7.7 (2H, m)
3		65	Yellow powder	1.61 (2H, brs), 4.15 (2H, s), 7.14 (1H, s), 7.25-7.40 (2H, m), 7.72 (1H, d, J=8 Hz), 7.82 (1H, d, J=8 Hz)
4			Pale yelow oil	1.27 (2H, brs), 4.01 (2H, s), 7.20-7.40 (2H, m), 7.50 (1H, d, J=8 Hz), 7.55 (1H, s), 7.60 (1H, d, J=8 Hz)
5			Pale yellow oil	1.56 (2H, brs), 3.97 (2H, s), 6.48 (1H, s), 7.20 (1H, d, J=8 Hz), 7.34 (1H, d, J=8 Hz), 7.48 (1H, s)
6			Pale yellow oil	1.54 (2H, brs), 3.95 (2H, s), 6.74 (1H, d, J=2 Hz), 7.25 (1H, d, J=8 Hz), 7.49 (1H, d, J=8 Hz), 7.54 (1H, s), 7.63 (1H, d, J=2 Hz)
7			Yellow powder	1.58 (2H, brs), 4.04 (2H, s), 6.69 (1H, s), 7.50 (1H, d, J=9 Hz), 8.21 (1H, d, J=9 Hz), 8.46 (1H, s)
8			Pale yellow oil	1.61 (2H, brs), 4.01 (2H, s), 6.58 (1H, s), 7.13 (1H, m), 7.25 (1H, d, J=8 Hz), 7.42 (1H, d, J=8 Hz)

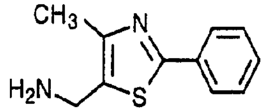
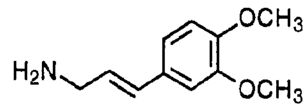
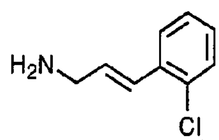
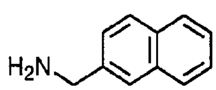
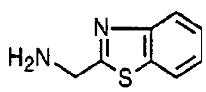
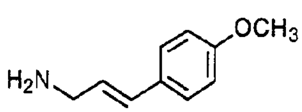
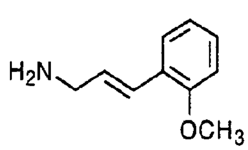
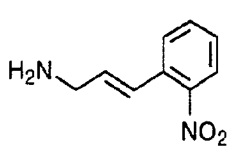
9			Pale yellow oil	1.56 (2H, brs), 3.83 (3H, s), 3.94 (2H, s), 6.46 (1H, s), 6.84 (1H, d, J=8 Hz), 6.98 (1H, s), 7.31 (1H, d, J=8 Hz)
10			Yellow powder	1.49 (2H, brs), 3.75 (3H, s), 4.03 (2H, s), 6.38 (1H, s), 7.04-7.24 (2H, m), 7.30 (1H, d, J=8 Hz), 7.58 (1H, d, J=8 Hz)
11			Yellow powder	1.58 (2H, brs), 4.06 (2H, s), 6.32 (1H, s), 7.03-7.20 (2H, m), 7.34 (2H, d, J=8 Hz), 7.54 (2H, d, J=8 Hz), 8.50 (1H, brs)
12			Pale yellow powder	1.54 (2H, brs), 3.9 1(3H, s), 3.94 (2H, s), 6.46 (1H, s), 7.01 (1H, s), 7.63 (1H, s)
13			Yellow powder	1.51 (2H, brs), 3.56 (2H, brs), 3.91 (2H, s), 6.36 (1H, s), 6.62 (1H, d, J=8 Hz), 6.79 (1H, s), 7.22 (1H, d, J=8 Hz)
14			Pale yellow oil	1.57 (2H, brs), 3.98 (2H, s), 4.01 (3H, s), 6.52 (1H, s), 6.74-6.83 (1H, m), 7.08-7.18 (2H, m)
15			Pale yellow powder	4.02 (2H, s), 6.61 (1H, s), 7.52 (2H, brs), 7.86 (1H, brs)
16			Yellow powder	3.94 (3H, s), 4.00 (2H, s), 6.60 (1H, s), 7.45 (1H, d, J=9 Hz), 7.98 (1H, d, J=9 Hz), 8.26 (1H, s)

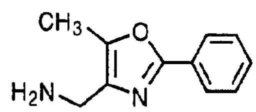
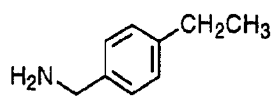
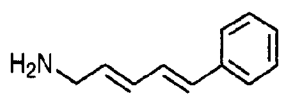
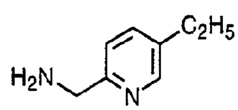
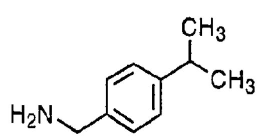
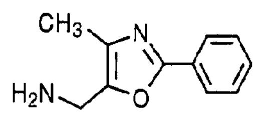
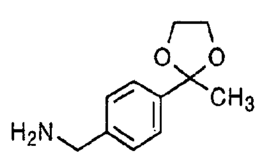
17			Pale yellow oil	1.56 (2H, brs), 2.93 (6H, s), 3.93 (2H, s), 6.42 (1H, s), 6.80 (1H, d, J=8 Hz), 6.85 (1H, s), 7.34 (1H, d, J=8 Hz)
18			Pale yellow oil	1.42 (3H, t, J=7 Hz), 1.53 (2H, brs), 4.00 (2H, s), 4.40 (2H, q, J=7 Hz), 6.60 (1H, s), 7.47 (1H, d, J=8 Hz), 8.00 (1H, d, J=8 Hz), 8.26 (1H, s)
19			Pale yellow oil	1.57 (2H, brs), 3.97 (2H, s), 7.15-7.30 (2H, m), 7.44 (1H, d, J=7 Hz), 7.52 (1H, d, J=7 Hz)
20			Pale yellow oil	1.52 (2H, brs), 2.18 (2H, s), 3.05 (3H, s), 3.89 (2H, s), 5.20 (2H, s), 6.95 (1H, d, J=8 Hz), 7.14 (1H, s), 7.32 (1H, d, J=8 Hz)
21			Pale yellow oil	1.51 (2H, brs), 2.34 (2H, s), 3.52 (3H, s), 3.89 (2H, s), 5.28 (2H, s), 6.81 (1H, d, J=8 Hz), 7.05-7.16 (2H, m)
22			Colorless oil	0.92 (3H, t, J=7 Hz), 1.08 - 1.18 (2H, m), 1.40-2.05 (9H, m), 2.45-2.62 (1H, m), 2.62 - 2.90 (2H, m), 3.80-3.95 (2H, m)
23			Pale yellow oil	1.92 (2H, m), 2.82 (2H, t, J=7 Hz), 2.84 (2H, t, J=7 Hz), 6.41 (1H, s), 7.13-7.25 (2H, m), 7.35-7.50 (2H, m)
24			Pale yellow oil	1.83 (2H, brs), 3.56 (3H, s), 3.40 (2H, s), 5.37 (2H, s), 6.55 (1H, s), 7.04 (1H, d, J=8 Hz), 7.05-7.21 (2H, m)

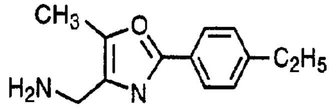
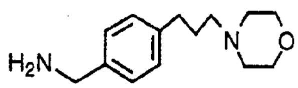
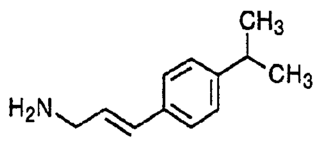
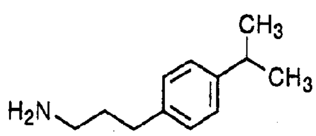
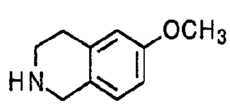
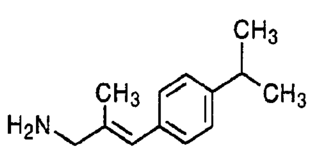
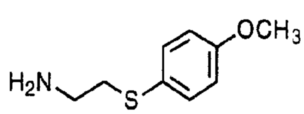
25			White powder	1.51 (2H, brs), 2.17 (3H, s), 3.89 (2H, s), 5.10 (2H, s), 6.94 (1H, d, J=8 Hz), 7.02 (1H, s), 7.27-7.50 (6H, m)
26			Pale yellow oil	1.26 (3H, t, J=7 Hz). 2.92 (2H, q, J=7 Hz), 3.95 (3H, s), 4.24 (2H, s), 7.41 (1H, d, J=9 Hz), 8.00 (1H, d, J=9 Hz), 8.25 (1H, s)
27			Yellow oil	1.89 (2H, brs), 4.13 (2H, s), 7.2-7.5 (3H, m), 7.7-7.9 (2H, m)
28			Pale yellow oil	1.97 (2H, brs), 4.05 (2H, s), 7.2-7.6 (9H, m)
29			Brown oil	1.59 (2H, brs), 4.10 (2H, s), 7.54 (1H, dd, J=8 Hz, 8 Hz), 7.69 (1H, dd, J=8 Hz, 8 Hz), 7.80 (1H, d, J=8 Hz), 8.0-8.2 (2H, m), 8.89 (2H, s)
30			Pale yellow oil	2.27 (3H, s), 3.97 (3H, s), 4.52 (2H, d, J=6 Hz), 7.42 (1H, d, J=8 Hz), 7.98 (1H, d, J=8 Hz), 8.20 (1H, s),
31			Color - less oil	1.52 (3H, d, J=6 Hz), 1.83 (2H, brs), 4.20 (1H, q, J=6 Hz), 6.50 (1H, s), 7.15-7.30 (2H, m), 7.43 (1H, d, J=8 Hz), 7.51 (1H, d, J=8 Hz)
32			Pale yellow oil	2.20 (3H, brs), 4.00 (2H, s), 5.08 (1H, s), 5.34 (1H, brs), 6.52 (1H, s), 7.38 (2H, s), 7.56 (1H, s)

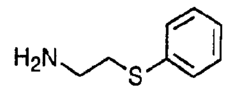
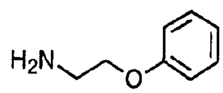
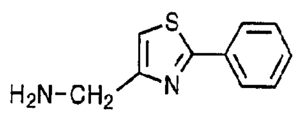
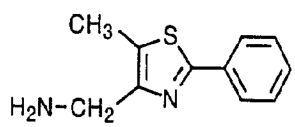
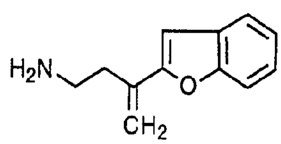
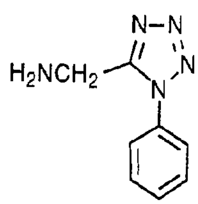
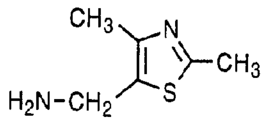
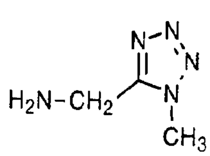
33			White powder	1.64 (2H, brs), 3.95 (3H, s), 4.17 (2H, s), 7.21 (1H, s), 7.84 (1H, d, J=8 Hz), 7.94 (1H, d, J=8 Hz), 8.40 (1H, s)
34			Pale yellow oil	1.60 (2H, brs), 2.18 (3H, s), 3.51 (3H, s), 3.91 (2H, s), 5.20 (2H, s), 6.95 (1H, d, J=8 Hz), 7.10 (1H, s), 7.29 (1H, d, J=8 Hz)
35			Yellow oil	1.14 (3H, s), 1.44(3H, s), 2.8 - 3.1 (2H, m), 4.2-4.3 (1H, m), 6.7-7.2 (4H, m)
36			Yellow oil	1.35 (2H, brs), 2.8-3.1 (3H, m), 3.2-3.4 (1H, m), 4.7-4.9 (1H, m), 6.7-6.9 (2H, m), 7.0 - 7.2 (2H, m)
37			Pale yellow oil	1.57 (3H, d, J=8 Hz). 1.79 (2H, brs), 2.07 (3H, s), 3.97 (2H, s), 5.97 (1H, q, J=8 Hz), 6.52 (1H, s), 7.25 (3H, d, J=8 Hz), 7.40 (1H, d, J=8 Hz), 7.52 (1H, s)
38			Pale brown oil	1.45 (3H, t, J=7 Hz), 1.74 (2H, s), 4.05 (2H, s), 4.46 (2H, q, J=7 Hz), 6.58 (1H, s), 7.20- 7.35 (1H, m), 7.70 (1H, d, J=8 Hz), 7.89 (1H, d, J=8 Hz)
39			Pale brown oil	1.60 (2H, brs), 4.03 (2H, s), 6.61 (1H, s), 7.20-7.35 (1H, m), 7.48 (1H, d, J=8 Hz), 7.69 (1H, d, J=8 Hz)
40			Pale brown oil	1.56 (2H, brs), 4.01 (2H, s), 6.60 (1H, s), 7.50 (2H, s), 7.81 (1H, s)

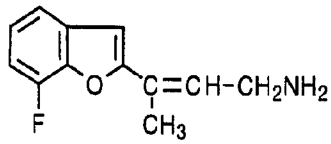
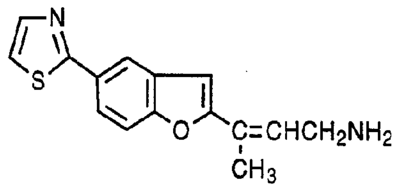
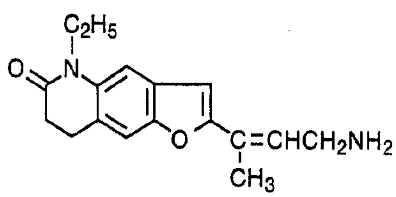
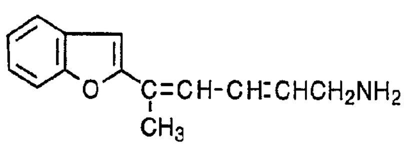
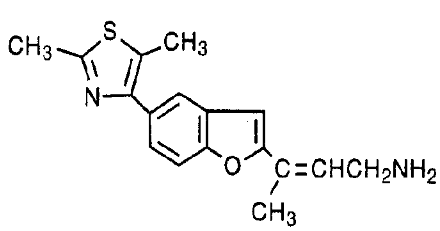
41			Pale yellow oil	2.14 (3H, s), 3.43 (2H, s), 6.39 (1H, brs), 6.59 (1H, s), 7.15-7.25 (2H, m), 7.40-7.50 (2H, m)
42			Pale yellow oil	2.04 (3H, s), 3.54 (2H, d, J=7 Hz), 6.44 (1H, t, J=7 Hz), 6.60 (1H, s), 7.15-7.30 (2H, m), 7.46 (1H, d, J=7 Hz), 7.51 (1H, d, J=7 Hz)
43	 (A mixture of compounds with 1- or 2-methoxymethyl group)		Pale yellow oil	3.53 and 3.60 (3H, s), 4.02 and 4.04 (2H, s), 5.89 and 5.72 (2H, s), 6.62 and 6.66 (1H, s), 7.54 and 7.62 (1H, d, J=9 Hz), 8.00 and 8.02 (1H, d, J=9 Hz), 8.37 (1H, s)
44			Pale yellow oil	3.54 (2H, d, J=5 Hz), 6.43 - 6.63 (3H, m), 7.13-7.30 (2H, m), 7.40-7.55 (2H, m)
45			Pale yellow oil	1.39 (2H, brs), 1.67-1.80 (2H, m), 2.60 (2H, t, J=6 Hz), 2.72 (2H, t, J=6 Hz), 3.79 (3H, s), 6.82 (2H, d, J=8 Hz), 7.09 (2H, d, J=8 Hz)
46			Pale yellow oil	1.28 (2H, brs), 1.15-1.30 (2H, m), 2.56 (2H, t, J=7 Hz), 2.72 (2H, t, J=7 Hz), 2.91 (6H, s), 6.69 (2H, d, J=8 Hz), 7.07 (2H, d, J=8 Hz)
47			Pale brown oil	1.27 (2H, brs), 1.61 (3H, s), 1.63 (3H, s), 1.71 (3H, s), 1.95-2.15 (4H, m), 3.27 (2H, d, J=7 Hz), 5.05-5.15 (1H, m), 5.20-5.31 (1H, m)

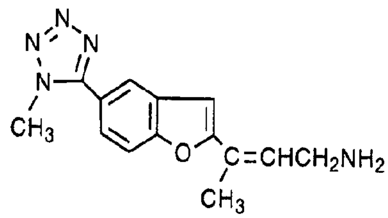
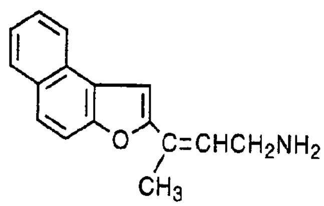
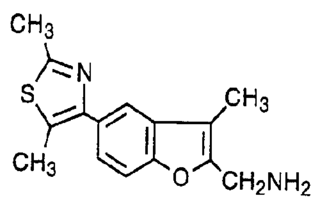
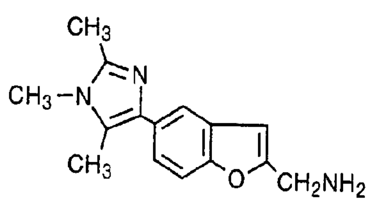
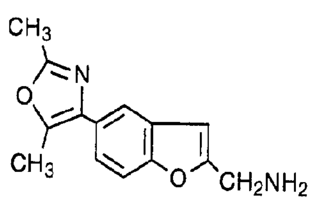
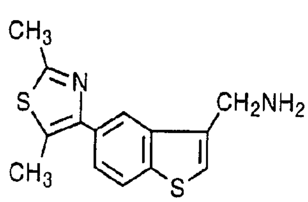
48			Brown oil	2.50 (3H, s), 3.90 (2H, s), 7.3-7.5 (3H, m), 7.8-8.0 (2H, m)
49			Yellow oil	1.26 (2H, br), 3.49 (2H, d, J=6 Hz), 3.88 (3H, s), 3.90 (3H, s), 6.2-6.3 (1H, m), 6.42 (1H, d, J=16 Hz), 6.82 (1H, d, J=8 Hz), 6.9-7.0 (2H, m)
50			Yellow oil	1.30 (2H, br), 3.53 (2H, d, J=6 Hz), 6.2-6.4 (1H, m), 6.90 (1H, d, J=16 Hz), 7.1 - 7.3 (2H, m), 7.3-7.5 (2H, m)
51			Pale brown powder	1.53 (2H, brs), 4.03 (2H, s), 7.35-7.50 (3H, m), 7.70-7.92 (4H, m)
52			Brown oil	1.78 (2H, brs), 4.31 (2H, s), 7.30-7.50 (2H, m), 7.88 (1H, d, J =7 Hz), 7.97 (1H, d, J=7 Hz)
53			Yellow oil	1.30 (2H, brs), 3.45 (2H, d, J=6 Hz), 3.81 (3H, s), 6.0 - 6.2 (1H, m), 6.48 (1H, d, J=16 Hz), 6.82 (2H, d, J=8 Hz), 7.20 (2H, d, J=8 Hz)
54			Yellow oil	1.25 (2H, br), 3.48 (2H, d, J=6 Hz), 3.86 (3H, s), 6.3 - 6.5 (1H, m), 6.7-6.9 (3H, m), 7.20 (1H, m), 7.42 (1H, d, J=8 Hz)
55			Brown oil	1.36 (2H, brs), 3.54 (2H, d, J=6 Hz), 6.2-6.4 (1H, m), 6.98 (1H, d, J=16 Hz), 7.37 (1H, m), 7.5-7.7 (2H, m), 7.90 (1H, d, J=8 Hz)

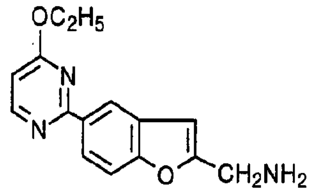
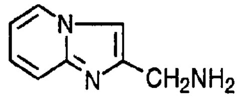
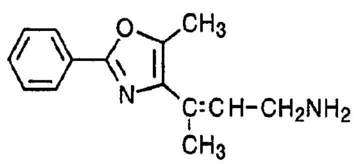
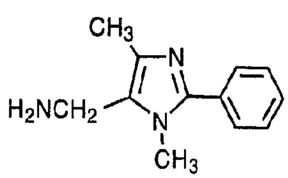
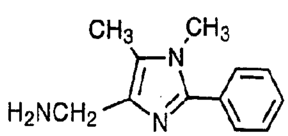
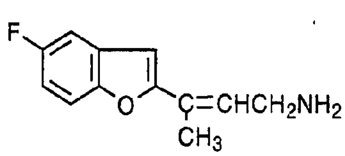
56			White powder	2.37 (3H, s), 3.74 (2H, s), 7.4-7.5 (3H, m), 7.95-8.05 (2H, m)
57			Yelllow oil	1.23 (2H, t, J=8 Hz), 1.49 (2H, brs), 2.63 (2H, q, J=8 Hz), 3.82 (2H, s), 7.16 (2H, d, J=8 Hz), 7.22 (2H, d, J=8 Hz)
58			Pale yellow oil	1.39 (2H, brs), 3.40 (2H, d, J=6 Hz), 5.85-6.00 (1H, m), 6.32 (1H, dd, J=16 Hz, 10 Hz), 6.52 (1H, d, J=16 Hz), 6.78 (1H, dd, J=16 Hz, 10 Hz). 7.15-7.42 (5H, m)
59			Brown oil	1.24 (3H, t, J=8 Hz), 1.91 (2H, brs), 2.64 (2H, q, J=8 Hz), 3.94 (2H, s), 7.19 (1H, d, J=8 Hz), 7.48 (1H, d, J=8 Hz), 8.40 (1H, s)
60			Colorless oil bp.80°C/ 0.3 mmHg	7.24 (2H, d, J=8 Hz), 7.20 (2H, d, J=8 Hz), 3.83 (2H, s), 2.90 (1H, sep, J=7 Hz), 1.40 (2H, br), 1.25 (6H, d, J=7 Hz)
61			White powder	2.22 (3H,s), 3.92 (2H, s), 7.4 - 7.5 (3H, m), 8.00-8.05 (2H, m)
62			Colorless oil	7.45 (2H, d, J=8 Hz), 7.29 (2H, d, J=8 Hz), 4.04 (2H, m), 3.87 (2H, s), 3.77 (2H, m), 1.77 (2H, br), 1.65 (3H, s)

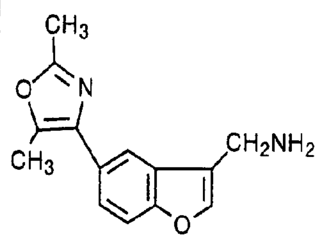
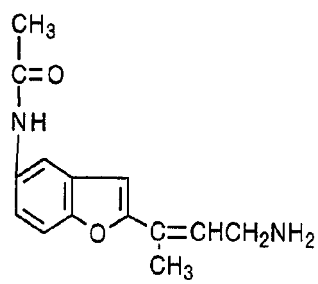
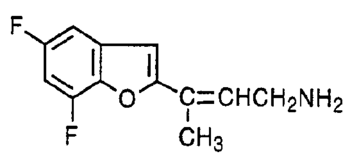
63			Pale yellow powder	1.20 (3H, t, J=8 Hz), 2.36 (3H, s), 2.65 (2H, q, J=8 Hz), 2.82 (2H, brs), 3.58 (2H, s), 7.33 (2H, d, J=8 Hz), 8.06 (2H, d, J=8 Hz)
64			Yellow oil	1.62 (2H, brs), 1.7-1.9 (2H, m), 2.3-2.5 (6H, m), 2.63 (2H, t, J=8 Hz), 3.72 (4H, t, J=5 Hz), 3.83 (2H, s), 7.15 (2H, d, J=8 Hz), 7.23 (2H, d, J=8 Hz)
65			Color - less oil	7.29 (2H, d, J=8 Hz), 7.16 (2H, d, J=8 Hz), 6.48 (1H, d, J=16 Hz), 6.28 (1H, dt, J=16 Hz, 6 Hz), 3.47 (2H, d, J=6 Hz), 2.88 (1H, sep, J=7 Hz), 1.72 (2H, br), 1.23 (6H, d, J=7 Hz)
66			Color - less oil	7.15 (2H, d, J=8 Hz), 7.11 (2H, d, J=8 Hz), 2.88 (1H, sep, J=7 Hz), 2.74 (2H, t, J=7 Hz), 2.63 (2H, t, J=7 Hz), 1.77 (2H, qui, J=7 Hz), 1.24 (6H, d, J=7 Hz), 1.55 (2H, br)
67			Pale yellow oil	2.77 (2H, t, J=6 Hz), 3.12 (2H, t, J=6 Hz), 3.78 (3H, s), 3.95 (2H, s), 6.63 (1H, brs), 6.69 (1H, brd, J=8 Hz), 6.92 (1H, d, J=8 Hz)
68			Color - less oil	7.20 (4H, s), 6.41 (1H, brs), 3.39 (2H, s), 2.90 (1H, sep, J=7 Hz), 2.09 (2H, br), 1.91 (3H, brs), 1.25 (6H, d, J=7 Hz)
69			Color - less oil	1.38 (2H, brs), 2.80-2.95 (4H, m), 3.80 (3H, s), 6.84 (2H, d, J=8 Hz), 7.38 (2H, d, J=8 Hz)

70			Color - less oil	1.38 (2H, brs), 2.80-2.90 (2H, m), 2.90-3.05 (2H, m), 7.10-7.40 (5H, m)
71			Color - less oil	1.47 (2H, brs), 3.08 (2H, t, J=5 Hz), 4.01 (2H, t, J= 5Hz), 6.85-7.00 (3H, m), 7.25 - 7.35 (2H, m)
72			Pale yellow oil	7.9-8.0 (2H, m), 7.4-7.5 (3H, m), 7.08 (1H, s), 4.05 (2H, s)
73			Pale yellow oil	7.85-7.95 (2H, m), 7.4-7.5 (3H, m), 3.88 (2H, s), 2.45 (3H, s)
74			Yellow oil	7.5-7.6 (1H, m), 7.4-7.5 (1H, m), 7.2-7.3 (2H, m), 6.69 (1H, s), 5.90 (1H, s), 5.23 (1H, s), 2.98 (2H, t, J=6.0 Hz), 2.62 (2H, t, J=6.0 Hz)
75			Pale yellow oil	7.58 (5H, brs), 4.17 (2H, s)
76			Pale yellow oil	3.88 (2H, s), 2.59 (3H, s), 2.53 (3H, s)
77			Pale yellow oil	4.16 (2H, s), 4.23 (3H, s)

78			Pale yellow oil	1.23 (2H, br), 2.04 (3H, s), 3.55 (2H, d, J=7 Hz), 6.51 (1H, t, J=7 Hz), 6.62 (1H, d, J=3 Hz), 6.90-7.15 (2H, m), 7.40 - 7.60 (2H, m)
79			White solid	1.41 (2H, br), 2.04 (3H, s), 3.56 (2H, d, J=7 Hz), 6.46 (1H, t, J=7 Hz), 6.64 (1H, s), 7.30 (1H, d, J=3 Hz), 7.46 (1H, d, J=9 Hz), 7.85 (1H, d, J=2 Hz), 7.86 (1H, dd, J=9 Hz, 3 Hz), 8.13 (1H, d, J=2 Hz)
80			Pale yellow oil	1.30 (3H, t, J=7 Hz), 1.56 (2H, br), 2.02 (3H, s), 2.64 (2H, t, J=7 Hz), 2.96 (2H, t, J=7 Hz), 3.54 (2H, d, J=7 Hz), 4.04 (2H, q, J=7 Hz), 6.41 (1H, t, J=7 Hz), 6.56 (1H, s), 7.12 (1H, s), 7.21 (1H, s)
81			Yellow powder	2.13 (3H, s), 3.47 (2H, d, J=7 Hz), 6.05 (1H, dt, J=15 Hz, 7 Hz), 6.57 (1H, dd, J=15 Hz, 12 Hz), 6.65 (1H, s), 6.97 (1H, d, J=12 Hz), 7.15 - 7.42 (2H, m), 7.43 (1H, d, J=8 Hz), 7.51 (1H, d, J=8 Hz)
82			Pale yellow powder	2.05 (3H, s), 2.52 (3H, s), 2.69 (3H, s), 3.56 (2H, d, J=7 Hz), 6.46 (1H, t, J=7 Hz), 6.62 (1H, s), 7.45 (1H, d, J=8 Hz), 7.51 (1H, d, J=8 Hz), 7.73 (1H, s)

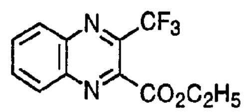
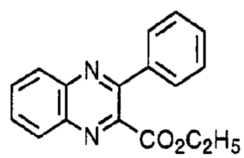
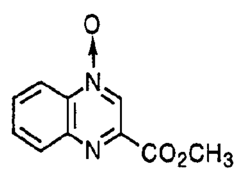
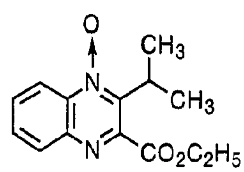
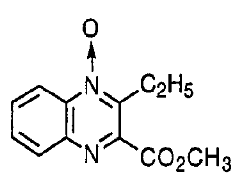
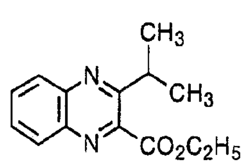
83			Yellow powder	2.07 (3H, s), 3.58 (2H, d, J=7 Hz), 4.20 (3H, s), 6.52 (1H, t, J=7 Hz), 6.68 (1H, s), 7.59 (2H, s), 7.89 (1H, s)
84			Pale yellow powder	2.11 (3H, s), 3.57 (2H, d, J=7 Hz), 6.47 (1H, t, J=7 Hz), 7.10 (1H, s), 7.43-7.62 (3H, m), 7.69 (1H, d, J=8 Hz), 7.93 (1H, d, J=8 Hz), 8.11 (1H, d, J=8 Hz)
85			Yellow oil	1.78 (2H, brs), 2.23 (3H, s), 2.52 (3H, s), 2.70 (3H, s), 3.96 (2H, s), 7.4 - 7.5 (2H, m), 7.70 (1H, s)
86			Yellow powder	1.53 (2H, brs), 2.37 (3H, s), 2.43 (3H, s), 3.48 (3H, s), 3.97 (2H, s), 6.52 (1H, s), 7.4-7.6 (2H, m), 7.72 (1H, s)
87			Yellow oil	1.56 (2H, brs), 2.47 (3H, s), 2.51 (3H, s), 3.98 (2H, s), 6.54 (1H, s), 7.4 - 7.6 (2H, m), 7.77 (1H, s),
88			Colorless oil	1.56 (2H, brs), 2.54 (3H, s), 2.71 (3H, s), 4.17 (2H, s), 7.33 (1H, s), 7.61 (1H, d, J=8 Hz), 7.90 (1H, d, J=8 Hz), 8.01 (1H, s)

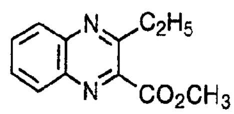
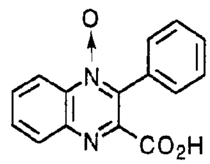
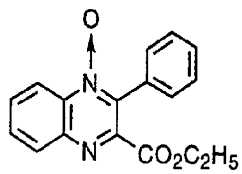
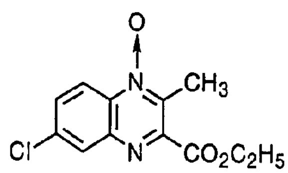
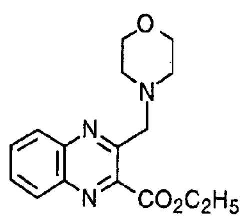
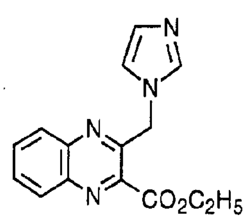
89			White powder	1.46 (3H, t, J=7 Hz), 1.57 (2H, brs), 3.98 (2H, s), 4.57 (2H, q, J=7 Hz), 6.57 (1H, d, J=6 Hz), 6.59 (1H, s), 7.49 (1H, d, J=9 Hz), 8.38 (1H, d, J=9 Hz), 8.48 (1H, d, J=6 Hz), 8.61 (1H, s)
90			Brown oil	1.62 (2H, brs), 4.03 (2H, s), 6.75 (1H, dd, J=7 Hz, 7 Hz), 7.15 (1H, dd, J=7 Hz, 8 Hz), 7.48 (1H, s), 7.54 (1H, d, J=8 Hz), 8.07 (1H, d, J=7 Hz)
91			Pale yellow powder	1.29 (2H, brs), 2.06 (3H, s), 2.50 (3H, s), 3.50 (2H, d, J=7 Hz), 6.05 (1H, t, J=7 Hz), 7.4 - 7.5 (3H, m), 7.9-8.1 (2H, m)
92			Color - less oil	1.2-1.5 (2H, br), 2.27 (3H, s), 3.71 (3H, s), 3.88 (2H, s), 7.3 - 7.7 (5H, m)
93			Pale yellow oil	1.55 (2H, brs), 2.26 (3H, s), 3.56 (3H, s), 3.78 (2H, s), 7.3 - 7.6 (5H, m)
94			Pale yellow powder	1.25 (2H, brs), 2.01 (3H, s), 3.54 (2H, d, J=7 Hz), 6.43 (1H, t, J=7 Hz), 6.55 (1H, s), 6.9-7.0 (1H, m), 7.15 (1H, d, J=9 Hz), 7.2-7.4 (1H, m)

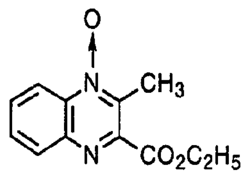
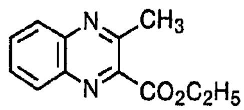
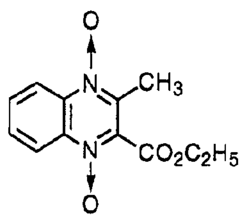
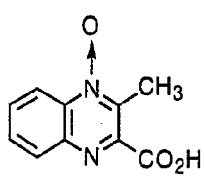
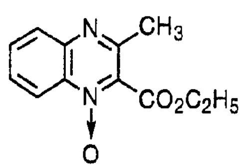
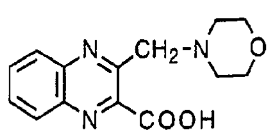
95			Pale yellow oil	1.65 (2H, brs), 2.48 (3H, s), 2.51 (3H, s), 4.03 (2H, s), 7.4 - 7.6 (3H, m), 7.87 (1H, s)
96			Pale yellow powder	DMSO-d_6: 1.96 (3H, s), 2.04 (3H, s), 3.36 (2H, d, J=7 Hz), 6.31 (1H, t, 7 Hz), 6.82 (1H, s), 7.31 (1H, dd, J=2 Hz, 9 Hz), 7.42 (1H, d, 9 Hz), 7.90 (1H, d, J=2 Hz), 9.91 (1H, s)
97			Yellow powder	1.31 (2H, brs), 2.02 (3H, s), 3.55 (2H, d, J=7 Hz), 6.51 (1H, t, J=7 Hz), 6.58 (1H, d, J=3 Hz), 6.77 (1H, dd, J=10 Hz, 10 Hz), 6.97 (1H, d, J=8 Hz)

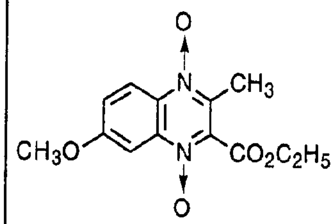
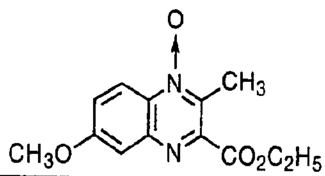
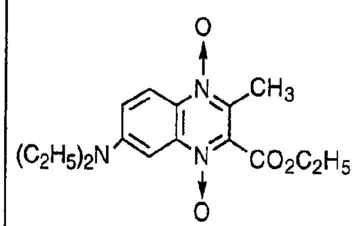
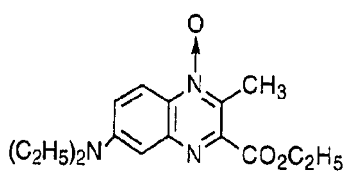
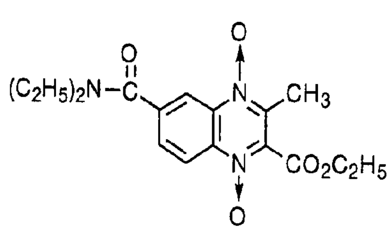
Using suitable starting compounds, there are obtained the compounds as listed in Table 2 in the same manner as in Reference Examples 15-21.

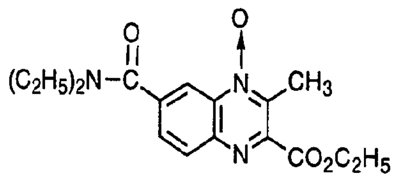
Table 2

No.	Structure	m.p. °C	Crystalline Form	^{1}H-NMR ($CDCl_3$) δ pm:
1			Pale yellow powder	1.48 (3H, t, J=7 Hz), 4.58 (2H, q, J=7 Hz), 7.95-8.05 (2H, m), 8.20 -8.32 (2H, m)
2		64-65	Pale yellow powder	1.18 (3H, t, J=7 Hz), 4.34 (2H, q, J=7 Hz), 7.45-7.55 (3H, m), 7.70-7.80 (2H, m), 7.80-7.90 (2H, m), 8.15-8.25 (2H, m)
3		154-155	Yellow powder	4.12 (3H, s), 7.80-7.95 (2H, m), 8.34 (1H, d, J=8 Hz), 8.61 (1H, d, J=8 Hz), 9.04 (1H, s)
4			Pale yellow powder	1.48 (3H, t, J=7 Hz), 1.52 (6H, d, J=8 Hz), 3.6-3.8 (1H, m), 4.54 (2H, q, J=7 Hz), 7.7 - 7.9 (2H, m), 8.0-8.2 (1H, m), 8.5-8.6 (1H, m)
5			Yellow powder	1.38 (3H, t, J=7 Hz), 3.27 (2H, q, J=7 Hz), 4.09 (3H, s), 7.7-7.9 (2H, m), 8.1-8.3 (1H, m), 8.5-8.7 (1H, m)
6			Yellow powder	1.42 (3H, d, J=7 Hz), 1.49 (3H, t, J=7 Hz), 3.6-3.8 (1H, m), 4.56 (2H, q, J=7 Hz), 7.7 - 7.9 (2H, m), 8.0-8.2 (2H, m)

7			Pale brown powder	1.43 (3H, t, J=7 Hz), 3.30 (2H, q, J=7 Hz), 4.09 (3H, s), 7.7-7.9 (2H, m), 8.09 (1H, dd, J=2 Hz, 8 Hz), 8.18 (1H, dd, J=2 Hz, 8Hz)
8			Pale yellow powder	DMSO-d_6: 7.45-7.60 (5H, m), 7.85-8.05 (2H, m), 8.21 (1H, d, J=8 Hz), 8.48 (1H, d, J=8 Hz)
9		106 - 108	Pale yellow powder	1.03 (3H, t, J=7 Hz), 4.18 (2H, q, J=7 Hz), 7.45-7.60 (5H, m), 7.75-7.95 (2H, m), 8.25 (1H, d, J=8 Hz), 8.64 (1H, d, J=8 Hz)
10			Yellow flakes (diisopropyl ether)	1.49 (3H, t, J=7 Hz), 2.78 (3H, s), 4.56 (2H, q, J=7 Hz), 7.73 (1H, dd, J=2 Hz, 9 Hz), 8.18 (1H, d, J=2 Hz), 8.53 (1H, d, J=9 Hz)
11			Yellow oil	1.49 (3H, t, J=7 Hz), 3.0-3.2 (4H, m), 3.8-4.0 (4H, m), 4.56 (2H, q, J=7 Hz), 4.56 (2H, s), 7.8-7.9 (2H, m), 8.0-8.1 (1H, m), 8.2-8.3 (1H, m)
12			Yellow powder	1.47 (3H, t, J=7 Hz), 4.55 (2H, d, J=7 Hz), 5.82 (2H, s), 7.04 (2H, d, J=5 Hz), 7.70 (1H, s), 7.8-8.0 (2H, m), 8.0 - 8.1 (1H, m), 8.2-8.3 (1H, m)

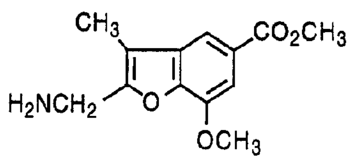
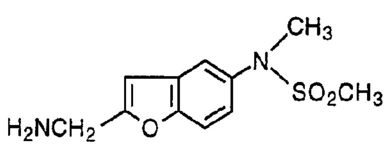
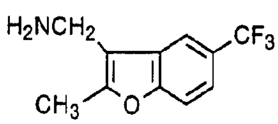
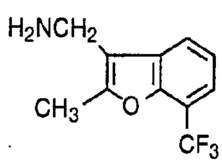
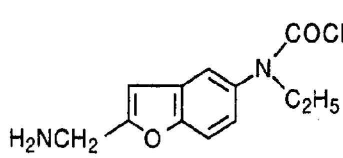
13		91-93	Colorless needles (n-hexane/ diethyl ether)	1.49 (3H, t, J=7 Hz), 2.81 (3H, s), 4.56 (2H, q, J=7 Hz), 7.75-7.85 (2H, m), 8.15-8.25 (1H, m), 8.55-8.65 (1H, m)
14		74-75	Colorless needles (n-pentane)	1.50 (3H, t, J=7 Hz), 2.96 (3H, s), 4.57 (2H, q, J=7 Hz), 7.70-7.90 (2H, m), 8.05 (1H, d, J=8 Hz), 8.19 (1H, d, J=8 Hz)
15		137 - 138	Pale yellow needles (ethyl acetate)	1.48 (3H, t, J=7 Hz), 2.60 (3H, s), 4.59 (2H, q, J=7 Hz), 7.30-7.46 (2H, m), 8.53-8.67 (2H, m)
16		143 - 145 (dec)	White powder	2.87 (3H, s), 7.75-7.90 (2H, m), 8.15-8.25 (1H, m), 8.54 - 8.65 (1H, m)
17		85-87	Colorless prisms (n-hexane/ ethyl acetate)	1.50 (3H, t, J=7 Hz), 2.68 (3H, s), 4.58 (2H, q, J=7 Hz), 7.65-7.90 (2H, m), 8.04 (1H, d, J=8 Hz), 8.53 (1H, d, J=8 Hz)
18			Yellow powder	3.0-3.2 (4H, m), 3.9-4.0 (4H, m), 4.56 (2H, s), 7.8-7.9 (2H, m), 8.0-8.1 (1H, m), 8.2-8.3 (1H, m)

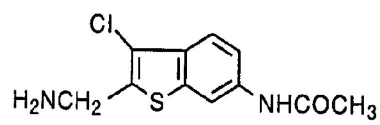
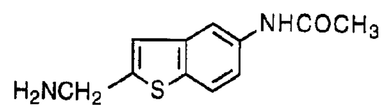
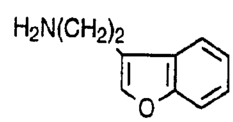
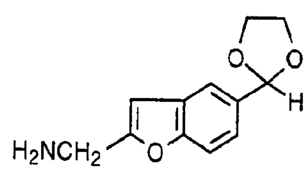
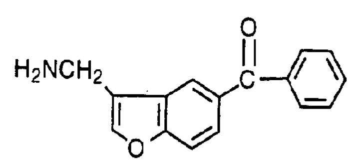
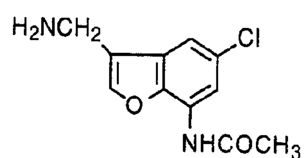
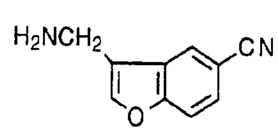
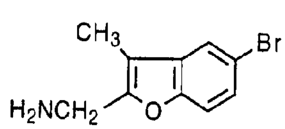
19			Yellow powder	1.48 (3H, t, J=7 Hz), 2.56 (3H, s), 4.00 (3H, s), 4.59 (2H, q, J=7 Hz), 7.46 (1H, dd, J=3 Hz, 10 Hz), 7.86 (1H, d, J=3 Hz), 8.51 (1H, d, J=10 Hz)
20			Yellow powder	1.49 (3H, t, J=7 Hz), 2.78 (3H, s), 3.96 (3H, s), 4.56 (2H, q, J=7 Hz), 7.3-7.5 (2H, m), 8.48 (1H, d, J=9 Hz)
21			Brown powder	1.26 (6H, t, J=7 Hz), 1.47 (3H, t, J=7 Hz), 2.52 (3H, s), 3.52 (4H, q, J=7 Hz), 4.57 (2H, q, J=7 Hz), 7.27 (1H, dd, J=3 Hz, 10 Hz), 7.42 (1H, d, J=3 Hz), 8.39 (1H, d, J=10 Hz)
22			Brown powder	1.25 (6H, t, J=7 Hz), 1.47 (3H, t, J=7 Hz), 2.73 (3H, s), 3.50 (4H, q, J=7 Hz), 4.53 (2H, q, J=7 Hz), 7.08 (1H, d, J=3 Hz), 7.29 (1H, dd, J=3 Hz, 10 Hz), 8.38 (1H, d, J=10 Hz)
23			Brown powder	1.0-1.4 (6H, br), 1.48 (3H, t, J=7 Hz), 2.60 (3H, s), 3.1 - 3.7 (4H, br), 4.59 (2H, q, J=7 Hz), 7.8-7.9 (1H, m), 8.5-8.6 (2H, m)

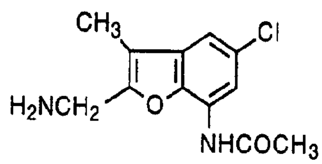
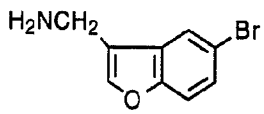
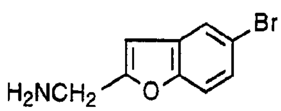
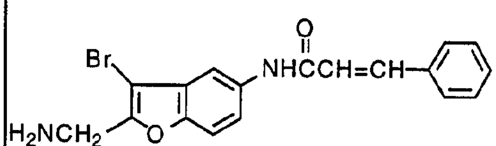
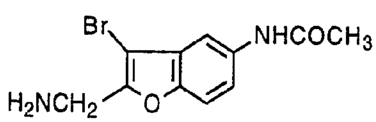
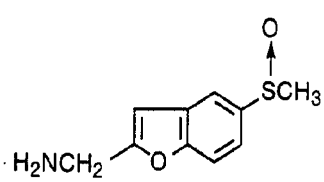
24			Brown powder	1.0-1.4 (6H, br), 1.49 (3H, t, J=7 Hz), 2.81 (3H, s), 3.2 - 3.8 (4H, br), 4.56 (2H, q, J=7 Hz), 7.80 (1H, dd, J=2 Hz, 9 Hz), 8.24 (1H, d, J=9 Hz), 8.58 (1H, d, J=2 Hz)

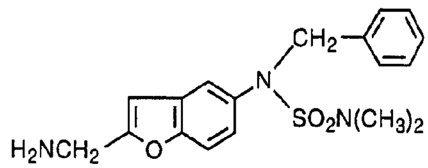
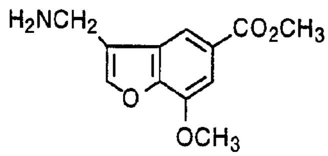
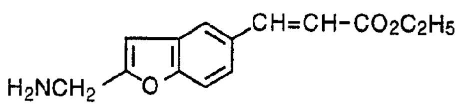
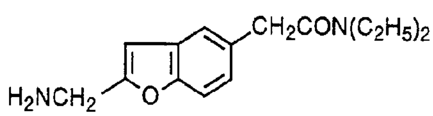
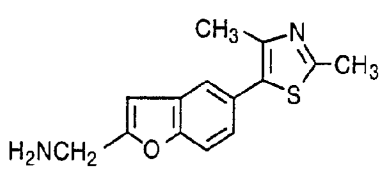
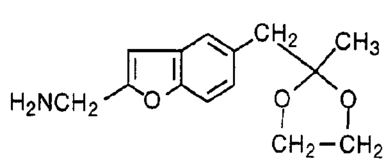
Using the suitable starting compounds, there are obtained the compounds as listed in Table 3 in the same manner as in Reference Example 3, 9, 11 adn 14.

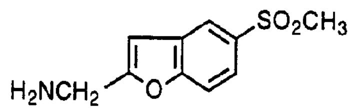
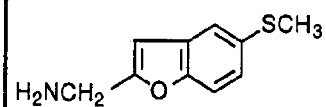
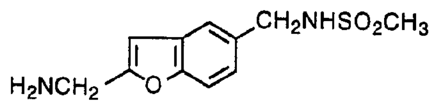
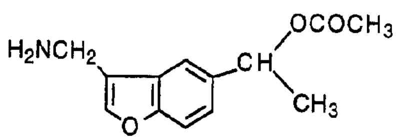
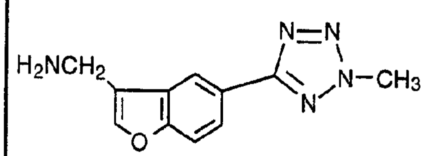
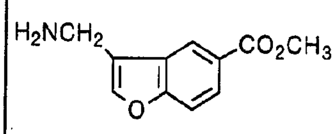
Table 3

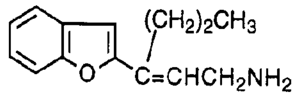
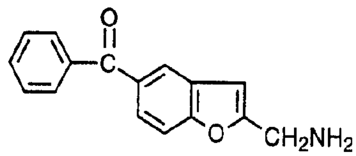
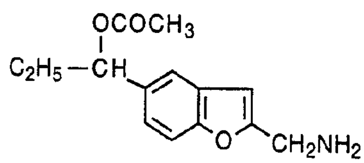
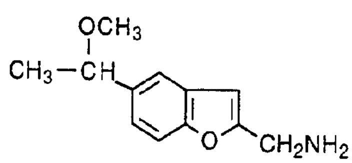
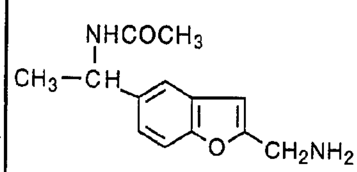
No.	Structure	Crystalline Form	^{1}H-NMR ($CDCl_3$) δ ppm:
1		Pale yellow solid	1.61 (2H, br), 2.23 (3H, s), 3.95 (5H, s), 4.05 (3H, s), 7.50 (1H, s), 7.85 (1H, s)
2		Pale orange solid	1.56 (2H, br), 2.87 (3H, s), 3.36 (3H, s), 3.98 (2H, s), 6.53 (1H, s), 7.24 (1H, d, J=9 Hz), 7.43 (1H, d, J=9 Hz), 7.54 (1H, s)
3		Pale yellow oil	1.43 (2H, br), 2.47 (3H, s), 3.95 (2H, s), 7.40-7.60 (2H, m), 7.85 (1H, s)
4		Pale yellow oil	1.44 (2H, br), 2.50 (3H, s), 3.94 (2H, s), 7.20-7.35 (1H, m), 7.45 (1H, d, J=9 Hz), 7.75 (1H, d, J=9 Hz)
5		Pale yellow oil	1.12 (3H, t, J=7 Hz), 1.67 (2H, br), 1.82 (3H, s), 3.77 (2H, q, J=7 Hz), 4.01 (2H, s), 6.56 (1H, s), 7.03 (1H, d, J=9 Hz), 7.30 (1H, s), 7.46 (1H, d, J=9 Hz)

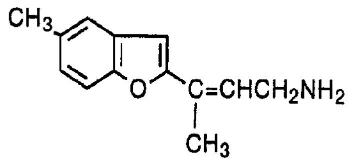
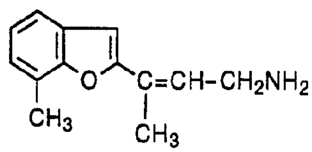
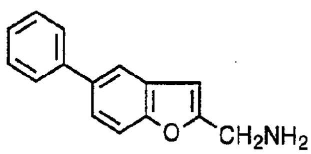
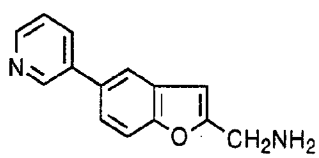
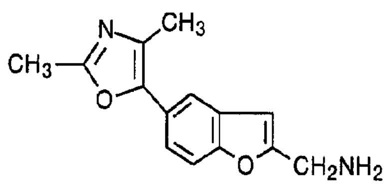
6		Yellow powder	DMSO-d_6: 2.18 (3H, s), 4.13 (2H, s), 7.43 (1H, d, J=9 Hz), 7.63 (1H, d, J=9 Hz), 8.38 (1H, s), 9.42 (1H, br)
7		White powder	1.60 (2H, br), 2.21(3H, s), 4.13 (2H, s), 7.09 (1H, s), 7.15-7.30 (2H, m), 7.70 (1H, d, J=9 Hz), 8.03 (1H, s)
8		Pale brown oil	1.56 (2H, br), 2.83 (2H, t, J=7 Hz), 3.05 (2H, t, J=7 Hz), 7.20 - 7.40 (2H, m), 7.40-7.65 (3H, m)
9		Pale brown oil	1.58 (2H, br), 3.97 (2H, s), 4.00 - 4.25 (4H, m), 5.89 (1H, s), 6.53 (1H, s), 7.37 (1H, d, J=8 Hz), 7.44 (1H, d, J=8 Hz), 7.65 (1H, s)
10		Pale yellow oil	1.54 (2H, br), 4.04 (2H, s), 7.45 - 7.70 (5H, m), 7.75-7.90 (3H, m), 8.12 (1H, s)
11		White powder	1.48 (2H, br), 2.27 (3H, s), 3.97 (2H, s), 7.31 (1H, s), 7.55 (1H, s), 7.61 (1H, br), 8.25 (1H, s)
12		Pale yellow powder	1.48 (2H, br), 4.04 (2H, s), 7.50 - 7.65 (2H, m), 7.68 (1H, s), 8.01 (1H, s)
13		Orange solid	1.58 (2H, br), 2.17 (3H, s), 3.92 (2H, s), 7.20-7.40 (2H, m), 7.57 (1H, s)

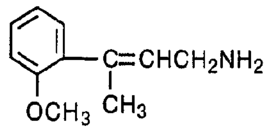
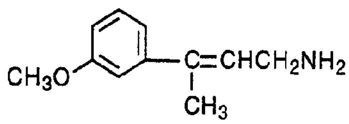
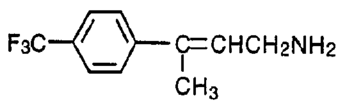
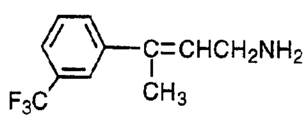
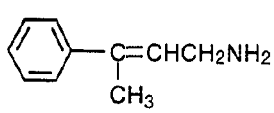
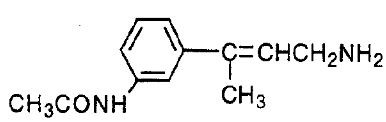
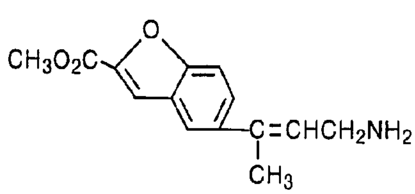
14		Pale yellow powder	1.58 (2H, br), 2.17 (3H, s), 2.27 (3H, s), 3.95 (2H, s), 7.15 (1H, s), 7.70 (1H, br), 8.21 (1H, s)
15		Pale yellow oil	1.51 (2H, br), 3.97 (2H, s), 7.30-7.45 (2H, m), 7.55 (1H, s), 7.75 (1H, s)
16		Pale yellow oil	1.58 (2H, br), 3.97 (2H, s), 6.53 (1H, s), 7.20 - 7.60 (3H, m)
17		Pale brown oil	1.61 (2H, br), 4.00 (2H, s), 6.58 (1H, d, J=16 Hz), 7.30-7.90 (10H, m)
18		Pale brown solid	1.61 (2H, br), 2.20 (3H, s), 4.00 (2H, s), 7.35 (2H, s), 7.46 (1H, br), 7.68 (1H, s)
19		Pale yellow solid	1.61 (2H, br), 2.75 (3H, s), 4.01 (2H, s), 6.62 (1H, s), 7.49 (1H, d, J=9 Hz), 7.57 (1H, d, J=9 Hz), 7.89 (1H, s)

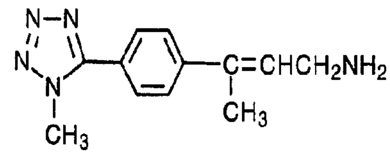
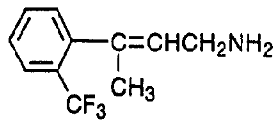
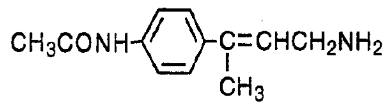
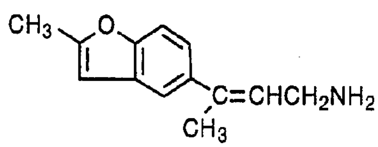
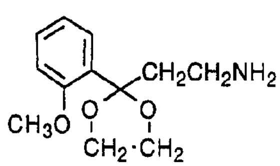
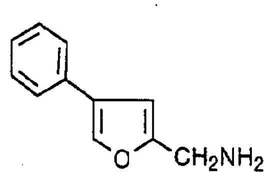
20		Pale red oil	1.57 (2H, s), 2.74 (6H, s), 3.94 (2H, s), 4.78 (2H, s), 6.46 (1H, s), 7.00 (1H, d, J=9 Hz), 7.15-7.32 (5H, m), 7.32 (1H, d, J=9 Hz), 7.41 (1H, s)
21		Pale yellow oil	1.57 (2H, s), 3.95 (3H, s), 4.03 (2H, s), 4.05 (3H, s), 7.53 (1H, s), 7.62 (1H, s), 7.97 (1H, s)
22		White solid	1.35 (3H, t, J=7 Hz), 1.60 (2H, br), 3.99 (2H, s), 4.27 (2H, q, J=7 Hz), 6.41 (1H, d, J=16 Hz), 6.55 (1H, s), 7.40 (2H, m), 7.68 (1H, s), 7.77 (1H, d, J=16 Hz)
23		Pale brown oil	1.00-1.20 (6H, m), 1.58 (2H, br), 3.20-3.45 (4H, m), 3.76 (2H, s), 3.96 (2H, s), 6.47 (1H, s), 7.13 (1H, d, J=8 Hz), 7.37 (2H, d, J=8 Hz), 7.41 (1H, s)
24		Brown oil	1.57 (2H, br), 2.45 (3H, s), 2.69 (3H, s), 3.96 (2H, s), 6.47 (1H, s), 7.10 (1H, d, J=8 Hz), 7.30-7.55 (2H, m)
25		Color - less oil	1.32 (3H, s), 1.57 (2H, br), 2.99 (2H, s), 3.70 - 3.95 (4H, m), 3.96 (2H, s), 6.48 (1H, s), 7.16 (1H, d, J=8 Hz), 7.34 (1H, d, J=8 Hz), 7.41 (1H, s)

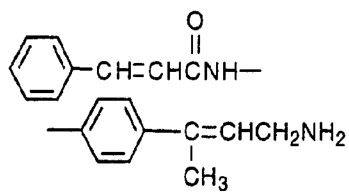
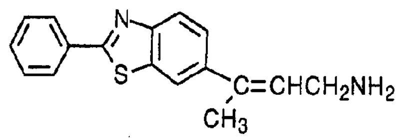
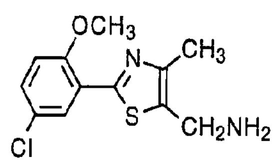
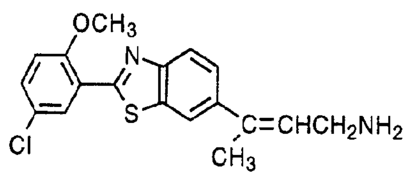
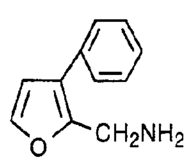
26		White powder	1.60 (2H, br), 3.09 (3H, s), 4.04 (2H, s), 6.67 (1H, s), 7.58 (1H, d, J=9 Hz), 7.84 (1H, d, J=9 Hz), 8.16 (1H, s)
27		White solid	1.57 (2H, br), 2.51 (3H, s), 3.97 (2H, s), 6.47 (1H, s), 7.22 (1H, d, J=9 Hz), 7.35 (1H, d, J=9 Hz), 7.47 (1H, s)
28		Pale yellow powder (HCl)	DMSO-d_6: 2.84 (3H, s), 4.24 (4H, s), 7.04 (1H, s), 7.33 (1H, d, J=9 Hz), 7.57 (1H, d, J=9 Hz), 7.64 (1H, s), 8.78 (1H, br)
29		Pale yellow oil	1.54 (2H, br), 1.59 (3H, d, J=8 Hz), 2.07 (3H, s), 4.02 (2H, s), 6.00 (1H, q, J=8 Hz), 7.32 (1H, d, J=10 Hz), 7.45 (1H, d, J=10 Hz), 7.56 (1H, s), 7.60 (1H, s)
30		White powder	1.53 (2H, br), 4.07 (2H, s), 4.41 (3H, s), 7.57 (1H, d, J=9 Hz), 7.62 (1H, s), 8.11 (1H, d, J=9 Hz), 8.41 (1H, s)
31		Pale yellow powder	1.52 (2H, br), 3.95 (3H, s), 4.05 (2H, s), 7.50 (1H, d, J=10 Hz), 7.62 (1H, s), 8.04 (1H, d, J=10 Hz), 8.35 (1H, s)

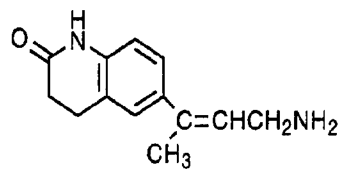
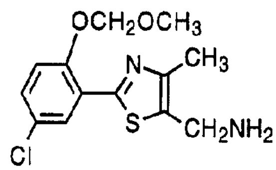
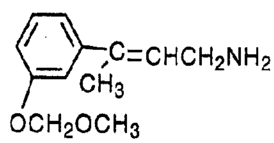
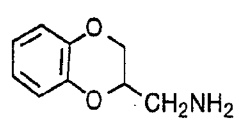
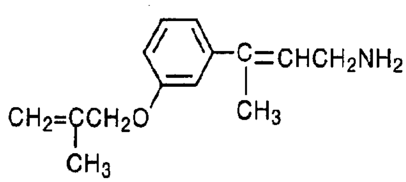
32		Pale yellow oil	0.94 (3H, t, J=7 Hz), 1.50-1.62 (2H, m), 2.40 - 2.60 (2H, m), 3.55 (2H, d, J=7 Hz), 5.70 (1H, t, J=7 Hz), 6.63 (1H, s), 7.17-7.29 (2H, m), 7.40 - 7.56 (2H, m)
33		Pale yellow oil	4.02 (2H, s), 6.61 (1H, s), 7.46-7.63 (4H, m), 7.77-8.01 (3H, m), 8.01 (1H, s)
34		Pale yellow amorphous	0.88 (3H, t, J=7 Hz), 1.80-2.00 (2H, m), 2.07 (3H, s), 3.96 (1H, s), 5.73 (1H, t, J=7 Hz), 6.51 (1H, s), 7.23 (1H, dd, J=8 Hz, 2 Hz), 7.39 (1H, d, J=8 Hz), 7.48 (1H, d, J=2 Hz)
35		Colorless oil	1.47 (3H, d, J=7 Hz), 3.22 (3H, s), 3.96 (2H, s), 4.37 (1H, q, J=7 Hz), 6.51 (1H, s), 7.20 (1H, dd, J=8 Hz, 2 Hz), 7.40 (1H, d, J=8 Hz), 7.45 (1H, d, J=2 Hz)
36		Yellow amorphous	1.53 (3H, d, J=7 Hz), 1.99 (3H, s), 3.96 (2H, s), 5.21 (1H, qui, J=7 Hz), 5.7 (1H, br), 6.65 (1H, s), 7.23 (1H, d, J=8 Hz), 7.40 (1H, d, J=8 Hz), 7.50 (1H, s)

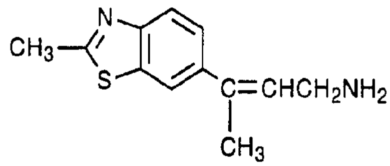
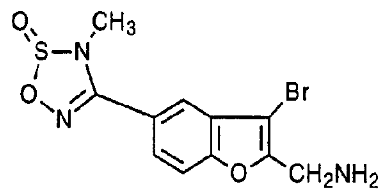
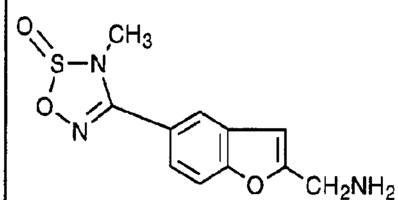
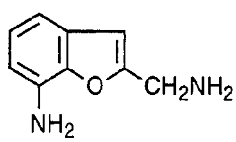
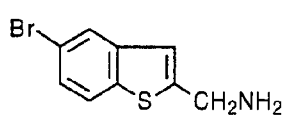
37		Pale yellow oil	2.02 (3H, s), 2.42 (3H, s), 3.53 (2H, d, J=7 Hz), 6.41 (1H, t, J=7 Hz), 6.52 (1H, s), 7.04 (1H, d, J=8 Hz), 7.28 (1H, s), 7.30 (1H, d, J=8 Hz)
38		Pale yellow oil	2.04 (3H, s), 2.52 (3H, s), 3.55 (2H, d, J=7 Hz), 6.47 (1H, t, J=7 Hz), 6.58 (1H, s), 7.04 (1H, d, J=8 Hz), 7.08 (1H, t, J=8 Hz), 7.33 (1H, d, J=8 Hz)
39		Pale yellow powder	3.99 (2H, s), 6.57 (1H, s), 7.30-7.48 (5H, m), 7.59-7.62 (2H, m), 7.71 (1H, s)
40		Pale yellow powder	4.02 (2H, s), 6.60 (1H, s), 7.34-7.55 (3H, m), 7.72 (1H, d, J=5 Hz), 7.90 (1H, d, J=7 Hz), 8.58 (1H, d, J=5 Hz), 8.87 (1H, s)
41		Pale yellow powder	2.39 (3H, s), 2.49 (3H, s), 4.00 (2H, s), 6.56 (1H, s), 7.47 (2H, s), 7.70 (1H, s)

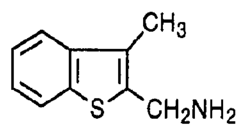
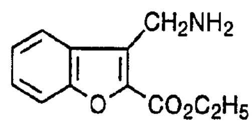
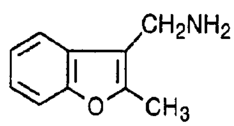
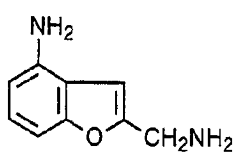
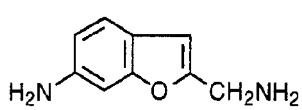
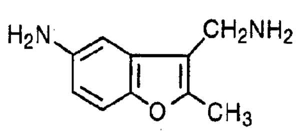
42		Pale yellow oil	2.00 (3H, s), 3.48 (2H, d, J=7 Hz), 3.82 (3H, s), 5.55 (1H, t, J=7 Hz), 6.85 - 6.93 (2H, m), 7.13 (1H, dd, J=8 Hz, 2 Hz), 7.22 (1H, dd, J=8 Hz, 2 Hz)
43		Colorless oil	2.04 (3H, s), 3.49 (2H, d, J=7 Hz), 3.82 (3H, s), 5.86 (1H, t, J=7 Hz), 6.79 (1H, dd, J=8 Hz, 2 Hz), 6.93 (1H, t, J=2 Hz), 6.99 (1H, d, J=8 Hz), 7.23 (1H, t, J=8 Hz)
44		Colorless oil	2.06 (3H, s), 3.52 (2H, d, J=7 Hz), 5.92 (1H, t, J=7 Hz), 7.47-7.61 (4H, m)
45		Colorless oil	2.07 (3H, s), 3.52 (2H, d, J=7 Hz), 5.90 (1H, t, J=7 Hz), 7.39-7.58 (3H, m), 7.63 (1H, s)
46		Colorless oil	2.06 (3H, s), 3.49 (2H, d, J=7 Hz), 5.85 (1H, t, J=7 Hz), 7.21-7.42 (5H, m)
47		Pale yellow oil	2.04 (3H, s), 2.18 (3H, s), 3.48 (2H, d, J=7 Hz), 5.86 (1H, t, J=7 Hz), 7.15 (1H, d, J=8 Hz), 7.26 (1H, t, J=8 Hz), 7.39 (1H, d, J=8 Hz), 7.51 (1H, s), 7.20 (1H, br)
48		Yellow amorphous	DMSO-d_6: 2.05 (3H, s), 3.38 (2H, d, J=7 Hz), 3.90 (3H, s), 5.86 (1H, t, J=7 Hz), 7.50 - 7.78 (4H, m)

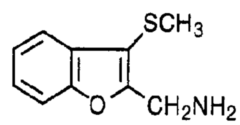
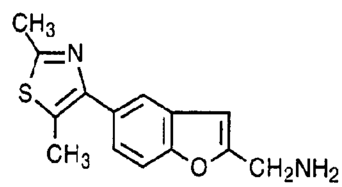
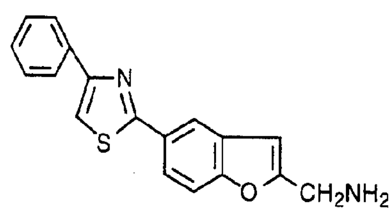
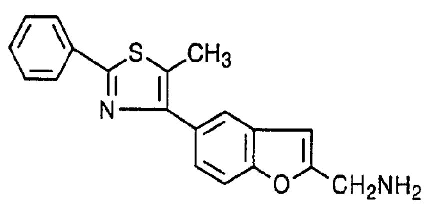
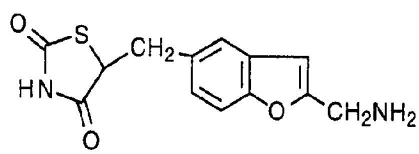
49		White powder	2.09 (3H, s), 3.53 (2H, d, J=7 Hz), 4.40 (3H, s), 5.96 (1H, t, J=7 Hz), 7.53 (2H, d, J=8 Hz), 8.09 (2H, d, J=8 Hz)
50		Colorless oil	1.97 (3H, s), 3.44 (2H, d, J=7 Hz), 5.41 (1H, t, J=7 Hz), 7.22 (1H, d, J=8 Hz), 7.37 (1H, t, J=8 Hz), 7.48 (1H, t, J=8 Hz), 7.63 (1H, d, J=8 Hz)
51		Colorless oil	2.03 (3H, s), 2.17 (3H, s), 3.48 (2H, d, J=7 Hz), 5.83 (1H, d, J=7 Hz), 7.35 (2H, d, J=8 Hz), 7.45 (2H, d, J=8 Hz), 7.30 (1H, br)
52		Colorless oil	2.09 (3H, s), 2.44 (3H, s), 3.50 (2H, d, J=6 Hz), 5.82 (1H, t, J=6 Hz), 6.34 (1H, s), 7.25 (1H, dd, J=8 Hz, 2Hz), 7.32 (1H, d, J=8 Hz), 7.46 (1H, d, J=2 Hz)
53		Colorless oil	2.31 (2H, t, J=7 Hz), 2.72 (2H, t, J=7 Hz), 3.82-3.91 (2H, m), 3.88 (3H, s), 3.98 - 4.07 (2H, m), 6.90 (1H, t, J=8 Hz), 6.93 (1H, t, J=8 Hz), 7.29 (1H, t, J=8 Hz), 7.47 (1H, d, J=8 Hz)
54		Pale yellow needles	3.86 (2H, s), 6.47 (1H, s), 7.20-7.55 (5H, m), 7.64 (1H, s)

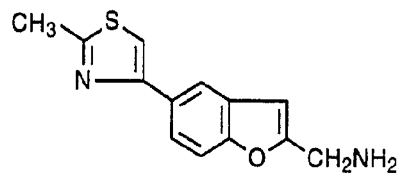
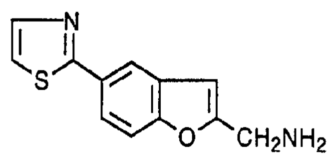
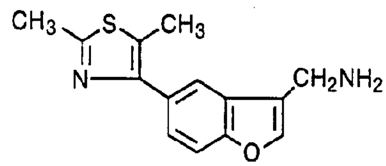
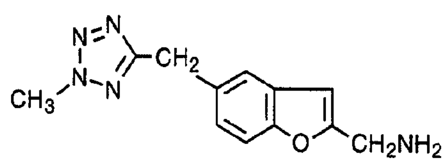
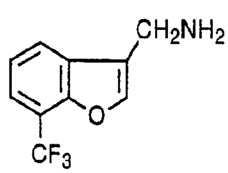
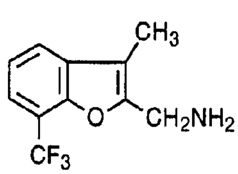
55		Pale yellow oil	2.03 (3H, s), 3.49 (2H, d, J=7 Hz), 5.84 (1H, t, J=7 Hz), 6.59 (1H, d, J=16Hz), 7.35-7.68 (10H, m), 7.75 (1H, d, J=16 Hz)
56		Yellow solid	2.14 (3H, s), 3.54 (2H, d, J=7 Hz), 5.96 (1H, t, J=7 Hz), 7.45-7.60 (4H, m), 7.91 (1H, d, J=2 Hz), 8.00 (1H, d, J=8 Hz), 8.07-8.11 (2H, m)
57		Pale yellow powder	2.43 (3H, s), 3.99 (3H, s), 4.05 (2H, s), 6.93 (1H, d, J=8 Hz), 7.28 (1H, dd, J=8 Hz, 3 Hz), 8.32 (1H, d, J=3 Hz)
58		Yellow amorphous	2.14 (3H, s), 3.54 (2H, d, J=7 Hz), 4.06 (3H, s), 5.96 (1H, t, J=7 Hz), 7.00 (1H, d, J=8 Hz), 7.39 (1H, dd, J=8 Hz, 3 Hz), 7.57 (1H, dd, J=8 Hz, 2 Hz), 7.92 (1H, d, J=2 Hz), 8.0 1(1H, d, J=8 Hz), 8.53 (1H, d, J=3 Hz)
59		Brown oil	3.97 (2H, s), 6.52 (1H, d, J=2 Hz), 7.25-7.55 (6H, m)

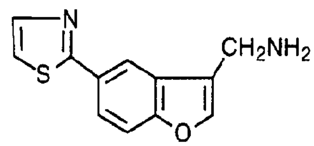
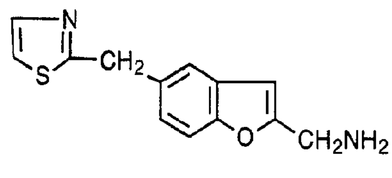
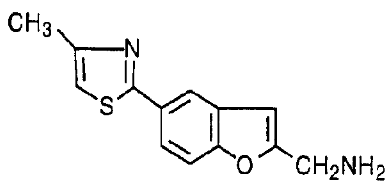
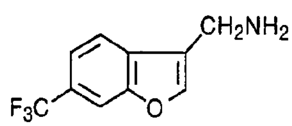
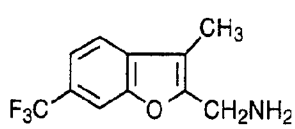
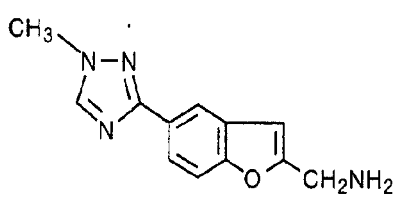
60		Yellow amorphous	2.06 (3H, s), 2.65 (2H, t, J=7 Hz), 2.98 (2H, t, J=7 Hz), 3.53 (2H, d, J=7 Hz), 5.94 (1H, t, J=7 Hz), 6.72 (1H, d, J=8 Hz), 7.23 (2H, m), 8.06 (1H, br)
61		Yellow oil	2.44 (3H, s), 3.52 (3H, s), 4.06 (2H, s), 5.35 (2H, s), 7.17 (1H, d, J=8 Hz), 7.26 (1H, dd, J=8 Hz, 2 Hz), 8.34 (1H, d, J=2 Hz)
62		Colorless oil	2.04 (3H, s), 3.48 (2H, d, J=7 Hz), 3.49 (3H, s), 5.19 (2H, s), 5.86 (1H, t, J=7 Hz), 6.93 (1H, dd, J=8 Hz, 3 Hz), 7.05 (1H, dd, J=8 Hz, 3 Hz), 6.95 (1H, s), 7.23 (1H, t, J=8 Hz)
63		Colorless oil	2.98 (2H, dd, J=6 Hz, 2Hz), 4.01 (1H, dd, J=11 Hz, 7 Hz), 4.13 (1H, m), 4.27 (1H, dd, J=11 Hz, 2 Hz), 6.81 - 6.92 (4H, m)
65		Colorless oil	1.84 (3H, s), 2.04 (3H, s), 3.49 (2H, d, J=7 Hz), 4.44 (2H, s), 4.99 (1H, s), 5.10 (1H, s), 6.82 (1H, d, J=8 Hz), 6.97 (1H, s), 6.99 (1H, d, J=8 Hz), 5.86 (1H, t, J=7 Hz), 7.22 (1H, t, J=8 Hz)

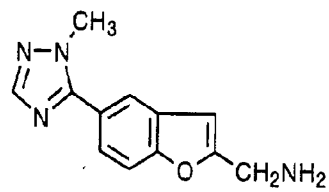
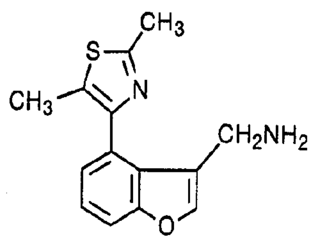
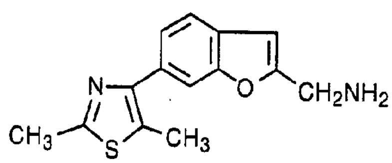
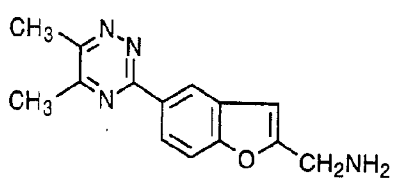
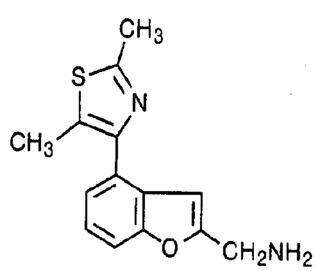
66		Colorless amorphous	2.11 (3H, s), 2.83 (3H, s), 3.52 (2H, d, J=7 Hz), 5.91 (1H, t, J=7 Hz), 7.50 (1H, dd, J=8 Hz, 2Hz), 7.82 (1H, d, J=2 Hz), 7.87 (1H, d, J=8 Hz)
67		Pale yellow oil	3.41 (3H, s,), 3.96 (2H, s), 7.06 (1H, d, J=2 Hz), 7.14 (1H, dd, J=8 Hz, 2 Hz), 7.43 (1H, d, J=8 Hz)
68		Pale yellow oil	3.35 (3H, s), 3.97 (2H, s), 6.68 (1H, s), 7.03 (1H, dd, J=8 Hz, 2 Hz), 7.17 (1H, d, J=2 Hz), 7.41 (1H, d, J=8 Hz)
69		Yellow powder	1.59 (2H, brs), 3.92 (2H, brs), 3.96 (2H, s), 6.48 (1H, s), 6.61 (1H, d, J=7 Hz), 6.9-7.1 (2H, m)
70		Yellow powder	1.61 (2H, brs), 4.14 (2H, s), 7.06 (1H, s), 7.37 (1H, d, J=8 Hz), 7.64 (1H, d, J=8 Hz), 7.82 (1H, s)

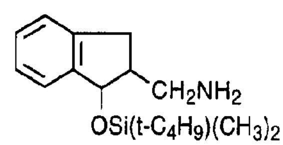
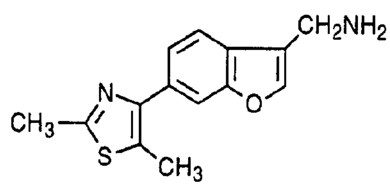
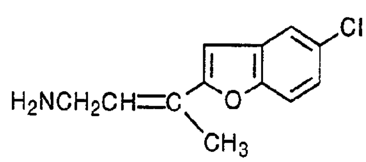
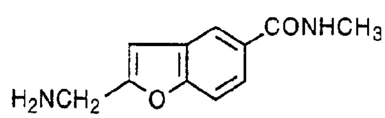
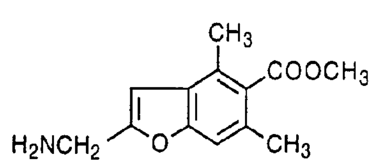
71		Yellow powder	1.51 (2H, brs), 2.34 (3H, s), 4.09 (2H, s), 7.2-7.4 (2H, m), 7.63 (1H, d, J=8 Hz), 7.79 (1H, d, J=8 Hz)
72		Yellow oil	1.46 (3H, t, J=7 Hz), 1.68 (2H, brs), 4.25 (2H, s), 4.48 (2H, q, J=7 Hz), 7.3-7.5 (2H, m), 7.58 (1H, d, J=8 Hz), 7.77 (1H, d, J=8 Hz)
73		Pale yellow oil	1.46 (2H, brs), 2.44 (3H, s), 3.91 (2H, s), 7.1-7.3 (2H, m), 7.3-7.4 (1H, m), 7.5-7.6 (1H, m)
74		Yellow powder	1.53 (2H, brs), 3.87 (2H, brs), 3.95 (2H, s), 6.45 (1H, s), 6.49 (1H, d, J=8 Hz), 6.90 (1H, d, J=8 Hz), 7.05 (1H, dd, J=8 Hz, 8 Hz)
75		Yellow powder	1.54 (2H, brs), 3.71 (2H, brs), 3.90 (2H, s), 6.38 (1H, s), 6.60 (1H, d, J=8 Hz), 6.77 (1H, s), 7.26 (1H, d, J=8 Hz)
76		Orange powder	1.44 (2H, brs), 2.38 (3H, s), 3.56 (2H, brs), 3.83 (2H, s), 6.59 (1H, d, J=8 Hz), 6.83 (1H, s), 7.17 (1H, d, J=8 Hz)

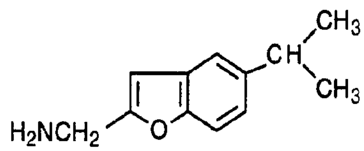
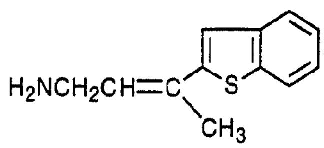
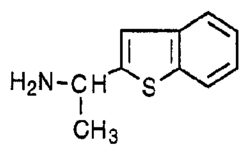
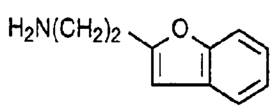
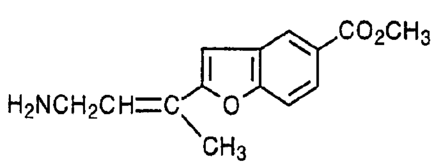
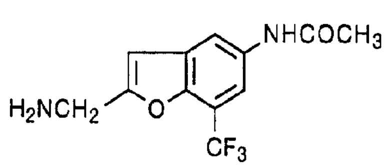
77		Orange oil	1.57 (2H, brs), 2.35 (3H, s), 4.10 (2H, s), 7.2-7.3 (2H, m), 7.4-7.5 (1H, m), 7.6-7.7 (1H, m)
78		White powder	1.64 (2H, brs), 2.52 (3H, s), 2.69 (3H, s), 3.99 (2H, s), 6.55 (1H, s), 7.4-7.6 (2H, m), 7.74 (1H, s)
79		Orange powder	1.59 (2H, brs), 4.00 (2H, s), 6.60 (1H, s), 7.3-7.5 (5H, m), 7.9-8.1 (3H, m), 8.22 (1H, s)
80		White powder	1.61 (2H, brs), 2.61 (3H, s), 4.00 (2H, s), 6.58 (1H, s), 7.3-7.6 (4H, m), 7.61 (1H, d, J=8 Hz), 7.85 (1H, s), 7.9 - 8.0 (2H, m)
81		Brown powder	DMSO-d_6: 3.0-3.1 (1H, m), 3.4-3.6 (1H, m), 4.09 (2H, s), 4.7 - 4.8 (1H, m), 6.85 (1H, s), 7.18 (1H, d, J=9 Hz), 7.4 - 7.6 (2H, m)

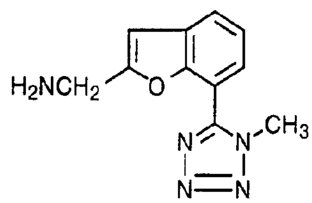
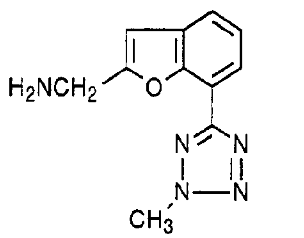
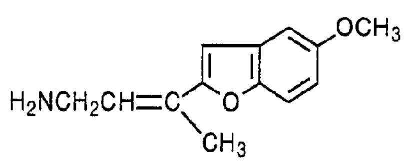
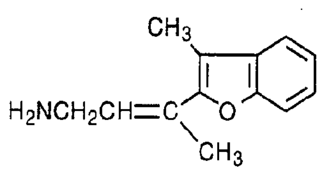
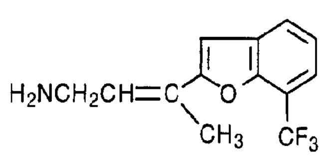
82		Yellow oil	1.58 (2H, brs), 2.79 (3H, s), 3.99 (2H, s), 6.56 (1H, s), 7.26 (1H, s), 7.45 (1H, d, J=8 Hz), 7.75 (1H, d, J=8 Hz), 8.06 (1H, s)
83		Brown powder	1.53 (2H, brs), 4.00 (2H, s), 6.59 (1H, s), 7.30 (1H, d, J=3 Hz), 7.48 (1H, d, J=9 Hz), 7.8-7.9 (2H, m), 8.14 (1H, s)
84		Yellow oil	1.56 (2H, brs), 2.52 (3H, s), 2.70 (3H, s), 4.03 (2H, s), 7.4-7.6 (3H, m), 7.85 (1H, s)
85		Yellow oil	1.57 (2H, brs), 3.95 (2H, s), 4.29 (3H, s), 4.30 (2H, s), 6.47 (1H, s), 7.21 (1H, d, J=8 Hz), 7.36 (1H, d, J=8 Hz), 7.46 (1H, s)
86		Yellow oil	1.49 (2H, brs), 4.05 (2H, s), 7.33 (1H, dd, J=8 Hz, 8 Hz), 7.56 (1H, d, J=8 Hz), 7.66 (1H, s), 7.81 (1H, d, J=8 Hz)
87		Yellow oil	1.61 (2H, brs), 2.24 (3H, s), 3.97 (2H, s), 7.28 (1H, dd, J=8 Hz, 8 Hz), 7.49 (1H, d, J=8 Hz), 7.63 (1H, d, J=8 Hz)

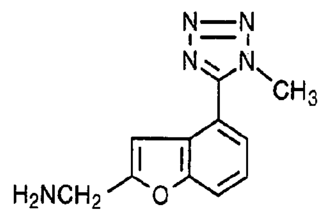
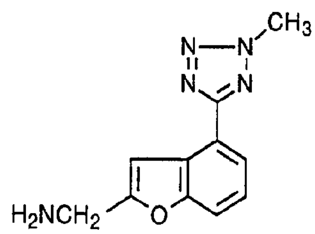
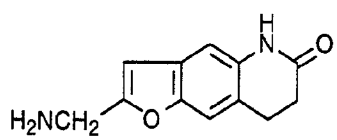
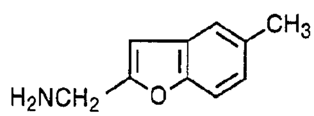
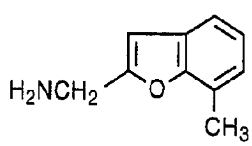
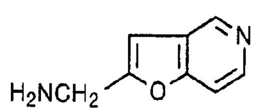
88		Yellow powder	1.45 (2H, brs), 4.06 (2H, s), 7.32 (1H, d, J=3 Hz), 7.52 (1H, d, J=9 Hz), 7.60 (1H, s), 7.8-8.0 (2H, m), 8.24 (1H, s)
89		Yellow powder	1.57 (2H, brs), 3.96 (2H, s), 4.42 (2H, s), 6.49 (1H, s), 7.1-7.3 (2H, m), 7.49 (1H, d, J=8 Hz), 7.46 (1H, s), 7.70 (1H, d, J=3 Hz)
90		Yellow powder	1.54 (2H, brs), 2.51 (3H, s), 3.99 (2H, s), 6.57 (1H, s), 6.84 (1H, s), 7.45 (1H, d, J=9 Hz), 7.83 (1H, d, J=9 Hz), 8.11 (1H, s)
91		Yellow oil	1.53 (2H, brs), 4.05 (2H, s), 7.52 (1H, d, J=8 Hz), 7.6 - 7.8 (3H, m)
92		Yellow powder	1.56 (2H, brs), 2.24 (3H, s), 3.97 (2H, s), 7.4-7.6 (2H, m), 7.67 (1H, s)
93		Yellow powder	1.54 (2H, brs), 3.97 (3H, s), 3.98 (2H, s), 6.58 (1H, s), 7.48 (1H, d, J=9 Hz), 8.01 (1H, d, J=9 Hz), 8.05 (1H, s), 8.26 (1H, s)

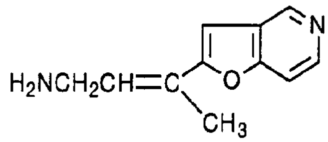
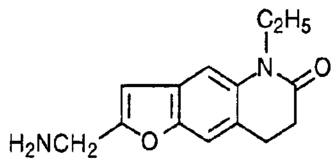
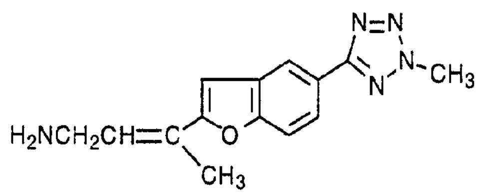
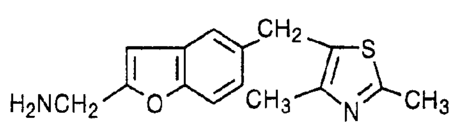
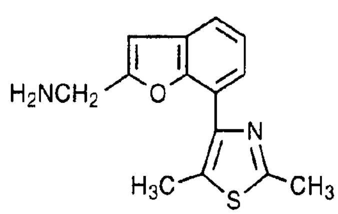
94		Yellow powder	1.61 (2H, brs), 4.01 (3H, s), 4.02 (2H, s), 6.62 (1H, s), 7.5-7.6 (2H, m), 7.83 (1H, s), 7.95 (1H, s)
95		Brown oil	1.37 (2H, brs), 2.34 (3H, s), 2.71 (3H, s), 3.54 (2H, s), 7.15 (1H, d, J=7 Hz), 7.33 (1H, dd, J=7 Hz, 8 Hz), 7.50 (1H, d, J=8 Hz), 7.55 (1H, s)
96		Yellow oil	1.54 (2H, brs), 2.54 (3H, s), 2.69 (3H, s), 3.99 (2H, s), 6.54 (1H, s), 7.4-7.6 (2H, m), 7.67 (1H, s)
97		Pale yellow powder	1.54 (2H, brs), 2.61 (3H, s), 2.72 (3H, s), 4.01 (2H, s), 6.63 (1H, s), 7.54 (1H, d, J=9 Hz), 8.46 (1H, d, J=9 Hz), 8.70 (1H, s)
98		Yellow oil	1.49 (2H, brs), 2.47 (3H, s), 2.71 (3H, s), 3.97 (2H, s), 6.69 (1H, s), 7.2-7.5 (3H, m)

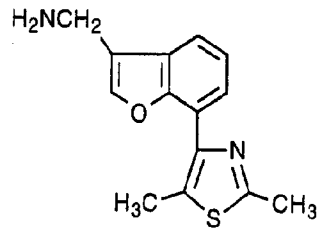
99		Yellow oil	0.16 (3H, s), 0.20 (3H, s), 0.95 (9H, s), 1.61 (2H, brs), 2.3-2.4 (1H, m), 2.5-2.6 (1H, m), 2.7 - 2.9 (1H, m), 3.0-3.2 (2H, m), 4.94 (1H, d, J=7 Hz), 7.1-7.3 (4H, m)
100		Yellow oil	1.50 (2H, brs), 2.54 (3H, s), 2.70 (3H, s), 4.04 (2H, s), 7.5-7.7 (3H, m), 7.73 (1H, s)
101		White powder	2.17 (3H, s), 3.88 (2H, d, J=6.8 Hz), 6.51 (1H, t, J=6.8 Hz), 6.63 (1H, s), 7.20 (1H, d, J=8.7 Hz), 7.33 (1H, d, J=8.7 Hz), 7.49 (1H, s)
102		Yellow oil	2.97 (3H, s), 4.00 (2H, brs), 6.27 (1H, brs), 7.42 (1H, brd, J=8 Hz), 7.65 (1H, brs), 7.76 (1H, brd, J=8 Hz), 8.05 (1H, brs)
103		Yellow oil	2.39 (3H, s), 2.42 (3H, s), 3.92 (3H, s), 4.05 (2H, s), 6.65 (1H, s), 7.12 (1H, s)

104		Pale yellow oil	1.27 (6H, d, J=6.9 Hz), 2.99 (1H, sept. J=6.9 Hz), 3.99 (2H, s), 6.52 (1H, s), 7.12 (1H, d, J=8.7 Hz), 7.34 (1H, d, J=8.7 Hz), 7.36 (1H, s)
105		Yellow oil	2.13 (3H, brs), 3.53 (2H, d, J=6.6 Hz), 6.10 (1H, t, J=6.6 Hz), 7.19 (1H, s), 7.2-7.4 (2H, m), 7.6-7.8 (2H, m)
106		Yellow oil	1.55 (3H, d, J=7.4 Hz), 4.44 (1H, q, J=7.4 Hz), 7.13 (1H, s), 7.2-7.4 (2H, m), 7.68 (1H, d, J=7.3 Hz), 7.80 (1H, d, J=7.3 Hz)
107		Yellow oil	2.92 (2H, t, J=6.5 Hz), 3.10 (2H, t, J=6.5 Hz), 6.46 (1H, s), 7.1-7.3 (2H, m), 7.4-7.6 (2H, m)
108		Yellow oil	2.19 (3H, s), 3.91 (2H, d, J=6.5 Hz), 3.94 (3H, s), 6.54 (1H, t, J=6.5 Hz), 6.74 (1H, s), 7.43 (1H, d, J=8.6 Hz), 8.00 (1H, d, J=8.6 Hz), 8.27 (1H, s)
109		Yellow oil	2.21 (3H, s), 4.01 (2H, s), 6.59 (1H, s), 7.42 (1H, s), 8.06 (1H, s)

110		Yellow oil	4.02 (2H, s), 4.15 (3H, s), 6.69 (1H, s), 7.40 (1H, t, J=8.1 Hz), 7.58 (1H, d, J=8.1 Hz), 7.76 (1H, d, J=8.1 Hz)
111		Yellow oil	4.10 (2H, s), 4.49 (3H, s), 6.64 (1H, s), 7.34 (1H, t, J=7.7 Hz), 7.65 (1H, d, J=7.7 Hz), 8.05 (1H, d, J=7.7 Hz)
112		Pale yellow powder	2.02 (3H, brs), 3.54 (2H, d, J=6.8 Hz), 3.84 (3H, s), 6.41 (1H, t, J=6.8 Hz), 6.54 (1H, s), 6.84 (1H, dd, J=8.8 Hz, 2.5 Hz), 6.98 (1H, d, J=2.5 Hz), 7.30 (1H, d, J=8.8 Hz)
113		Yellow oil	2.12 (3H, brs), 2.35 (3H, s), 3.55 (2H, d, J=6.7 Hz), 6.11 (1H, t, J=6.7 Hz), 7.2-7.3 (2H, m), 7.4-7.5 (2H, m)
114		Yellow oil	2.05 (3H, brs), 3.56 (2H, d, J=7.1 Hz), 6.53 (1H, t, J=7.1 Hz), 6.64 (1H, s), 7.25 (1H, t, J=6.9 Hz), 7.48 (1H, d, J=6.9 Hz), 7.68 (1H, d, J=6.9 Hz)

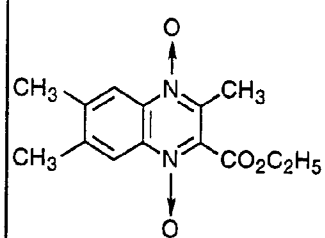
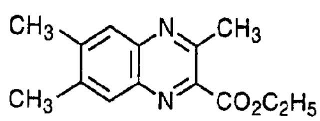
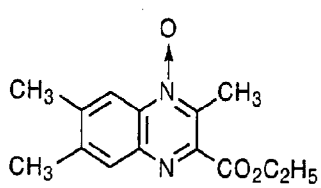
115		Yellow oil	4.03 (2H, s), 4.20 (3H, s), 6.69 (1H, s), 7.4-7.5 (2H, m), 7.6-7.7(1H, m)
116		Yellow oil	4.05 (2H, s), 4.45 (3H, s), 7.33 (1H, s), 7.37 (1H, t, J=7.6 Hz), 7.55 (1H, d, J=7.6 Hz), 8.06 (1H, d, J=7.6 Hz)
117		Yellow oil	2.44 (2H, t, J=7.5 Hz), 2.96 (2H, t, J=7.5 Hz), 4.00 (2H, s), 6.68 (1H, s), 7.00 (1H, s), 7.37 (1H, s), 10.11 (1H, s)
118		Yellow oil	2.42 (3H, s), 3.95 (2H, s), 6.45 (1H, s), 7.0-7.2 (1H, m), 7.3-7.5 (2H, m)
119		Yellow oil	2.51 (3H, s), 3.98 (2H, s), 6.51 (1H, s), 7.06 (1H, d, J=7.3 Hz), 7.10 (1H, t, J=7.3 Hz), 7.34 (1H, d, J=7.3 Hz)
120		Yellow oil	4.02 (2H, s), 6.61 (1H, s), 7.39 (1H, d, J=5.7 Hz), 8.46 (1H, d, J=5.7 Hz), 8.86 (1H, s)

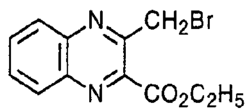
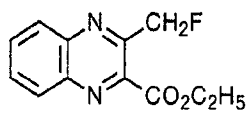
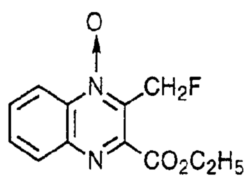
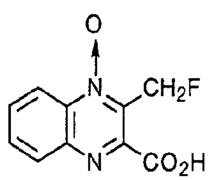
121		Yellow oil	2.05 (3H, s), 3.56 (2H, d, J=6.6 Hz), 6.50 (1H, t, J=6.6 Hz), 6.64 (1H, s), 7.37 (1H, d, J=5.7 Hz), 8.45 (1H, d, J=5.7 Hz), 8.84 (1H, s)
122		Yellow oil	1.29 (3H, t, J=7.1 Hz), 2.64 (2H, t, J=8.2 Hz), 2.97 (2H, t, J=8.2 Hz), 4.04 (2H, q, J=7.1 Hz), 4.12 (2H, s), 6.71 (1H, s), 7.16 (1H, s), 7.27 (1H, s)
123		Yellow oil	2.21 (3H, s), 3.91 (2H, d, J=6.6 Hz), 4.41 (3H, s), 6.54 (1H, t, J=6.6 Hz), 6.76 (1H, s), 7.52 (1H, d, J=8.5 Hz), 8.06 (1H, d, J=8.5 Hz), 8.33 (1H, s)
124		Yellow oil	2.39 (3H, s), 2.61 (3H, s), 3.98 (2H, s), 4.12 (2H, s), 6.47 (1H, s), 7.07 (1H, d, J=8.4 Hz), 7.30 (1H, s), 7.47 (1H, d, J=8.4 Hz)
125		Yellow oil	2.41 (3H, s), 2.72 (3H, s), 3.97 (2H, s), 6.58 (1H, s), 7.3-7.7 (3H, m)

126		Yellow oil	2.41 (3H, s), 2.72 (3H, s), 4.04 (2H, s), 7.3-7.7 (4H, m)

Using the suitable starting compounds, there are obtained the compounds as listed in Table 4 in the same manner as in Reference Examples 15-21.

Table 4

No.	Structure	m.p. °C	Crystalline Form	^{1}H-NMR ($CDCl_3$) δ ppm:
1			Yellow powder	1.47 (3H, t, J=7 Hz), 2.51 (3H, s), 2.53 (3H, s), 2.57 (3H, s), 4.58 (2H, q, J=7 Hz), 8.31 (1H, s), 8.36 (1H, s)
2			Orange powder	1.49 (3H, t, J=7 Hz), 2.48 (3H, s), 2.50 (3H, s), 2.93 (3H, s), 4.55 (2H, q, J=7 Hz), 7.78 (1H, s), 7.91 (1H, s)
3			Pale yellow powder	1.48 (3H, t, J=7 Hz), 2.48 (3H, s), 2.53 (3H, s), 2.80 (3H, s), 4.54 (2H, q, J=7 Hz), 7.93 (1H, s), 8.34 (1H, s)

No.	Structure	m.p. °C	Crystalline Form (solvent for recystal.)
4		83-95	Colorless needles (dichloro - methane/ n-hexane) NMR analysis: *
5		96-97	White powder (dichloromethane/ n-hexane)
6		109-110	Colorless flakes (dichloromethane/ n-hexane)
7		140-141	Brown powder (chloroform)

*: ^{1}H-NMR ($CDCl_3$) ppm:

1.53 (3H, t, J=7 Hz), 4.61 (2H, d, J=7 Hz), 5.14 (2H, s), 7.81-7.93 (2H, m), 8.11 (1H, m), 8.23 (1H, m)

Example 1

2-Ethoxycarbonyl-3-methylquinoxalin-4-oxide (1.5 g) is mixed with 3-aminomethylbenzofuran (1.9 g) under nitrogen atmosphere, and the mixture is stirred at 60°C overnight. The reaction mixture is purified by silica gel column chromatography (solvent; n-hexane : ethyl acetate = 3 : 1), and recrystallized from ethanol to give 2-[(3-benzofuranyl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (1.3 g) as colorless needles.

M.p. 143-144°C

Example 2

2-Carboxy-3-methylquinoxalin-4-oxide (0.8 g) and 2-aminomethyl-5-dimethylaminobenzofuran (0.9 g) are dissolved in dimethylformamide (10 ml), and thereto are added dropwise successively diethyl cyanophosphate (0.8 g) and triethylamine (0.8 g) under ice-cooling. The mixture is stirred at room temperature overnight, extracted with ethyl acetate, and washed with water. The mixture is dried over anhydrous sodium sulfate, and evaporated to remove the solvent. The residue is purified by silica gel column chromatography (solvent; n-hexane : ethyl acetate = 1 : 1), and recrystallized from acetonitrile to give 2-[(5-dimethylamino-2-benzofuranyl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (0.6 g) as yellow plates.

M.p. 155-157°C

Example 3

2-Quinoxalinecarboxylic acid (0.5 g) is dissolved in dichloromethane (10 ml), and thereto is added dicyclohexylcarbodiimide (0.7 g). The mixture is stirred for 30 minutes, and thereto is added 2-aminomethylbenzofuran (0.5 g), and the mixture is stirred overnight. The insoluble materials are removed by filtration, and the organic layer is washed with saturated sodium hydrogen carbonate solution and water, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; n-hexane : ethyl acetate = 3 : 1), and recrystallized from n-hexane/ethyl acetate to give 2-[(2-benzofuranyl)methylaminocarbonyl]quinoxaline (0.43 g) as colorless flakes.

M.p. 155-156°C

Example 4

2-[(4-Morpholinocarbonylmethoxybenzyl)aminocarbonyl]-3-methylquinoxaline (2.2 g) is dissolved in methylene chloride (30 ml), and thereto is added m-chloroperbenzoic acid (1.3 g) at room temperature, and the mixture is stirred for one day. To the mixture is added chloroform, and the organic layer is washed successively with aqueous sodium thiosulfate solution, saturated aqueous sodium hydrogen carbonate solution, and water, and dried over anhydrous sodium sulfate. The mixture is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; ethyl acetate), and recrystallized from acetonitrile to give 2-[(4-morpholinocarbonylmethoxybenzyl)aminocarbonyl]-3-methylquinoxalin-4-oxide (1.5 g) as colorless needles.

M.p. 142-143°C

Using the suitable starting compounds, there are obtained the compounds of Examples 28-103, 125, 126, 128, 130-135, 137, 138, 141, 143-146, 148, 150, 152, 154-157, 159, 161, 163, 165, 167, 169, 171, 173, 175, 177-184, 187-189, 191, 192, 194, 196-201, 203-205, 207, 209-213, 216, 219, 220, 224, 226, 228-230, 233, 235, 236, 239, 240 and the following Examples 435-440, 442-479 and 484-487 in the same manner as in Example 4.

Example 5

2-Cinnamylaminocarbonyl-3-methylquinoxaline (1.0 g) is dissolved in dimethylformamide (15 ml), and thereto is added sodium hydride (160 mg) under ice-cooling. The mixture is stirred at the same temperature for 30 minutes, and thereto is added methyl iodide (0.52 g), and the mixture is stirred at room temperature for two hours. The mixture is poured into ice-water, and extracted with diethyl ether. The extract is washed with water, dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; n-hexane : ethyl acetate = 2 : 1) to give 2-(N-cinnamyl-N-methylaminocarbonyl)-3-methylquinoxaline (0.8 g) as pale yellow liquid.

^{1}H-NMR ($CDCl_3$) δ ppm: 2.78, 2.79 (3H, s), 2.92, 3.24 (3H, s), 3.98, 4.43 (2H, d, J=6 Hz), 6.10-6.40 (1H, m), 6.40, 6.70 (1H, d, J=16 Hz), 7.20-7.50 (5H,

m), 7.70-7.85 (2H, m), 8.00-8.15 (2H, m)

Using the suitable starting compounds, there are obtained the compounds of Examples 44, 66, 107, 110, 135, 138, 150, 151, 152, 153, 175, 176, 178, 186, 187, 191 and 192 in the same manner as in Example 5.

Example 6

2-[(5-Aminobenzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (0.4 g) is suspended in pyridine (6 ml), and thereto is added dropwise with stirring acetic anhydride (0.26 g) under ice-cooling. The mixture is stirred at room temperature for one day, and poured into ice-water. The precipitated crystals are collected by filtration, washed with water, and the resulting crude crystals are recrystallized from acetonitrile to give 2-[(5-acetylaminobenzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (0.4 g) as pale yellow needles.

M.p. 183-184°C

Using the suitable starting compounds, there are obtained the compounds of Examples 84 and 85 in the same manner as in Example 6.

Example 7

2-[(5-Aminobenzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (0.8 g) is dissolved in dimethylformamide (15 ml), and thereto are added potassium carbonate (0.5 g) and N-chloroacetylmorpholine (0.37 g). The mixture is stirred at 70°C for four hours, and evaporated to remove the solvent. To the residue is added water, and the mixture is extracted with chloroform, washed with water, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; ethyl acetate), to convert the resultant to an oxalate thereof, and recrystallized from ethanol to give 2-[(5-morpholinocarbonylmethylaminobenzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (40 mg) as pale brown granules.

M.p. 159-167°C (decomposed)

^{1}H-NMR ($CDCl_3$) δ ppm: 3.09 (3H, s), 3.40-3.55 (2H, m), 3.55-3.85 (6H, m), 3.90 (2H, s), 4.78 (2H, d, J=6 Hz), 6.60 (1H, s), 6.65 (1H, d, J=7 Hz), 6.67 (1H, s), 7.28 (1H, d, J=7 Hz), 7.75-7.85 (2H, m), 8.05-8.15 (1H, m), 8.47 (1H,

brs), 8.50-8.60 (1H, m)

Example 8

2-[(5-Ethoxycarbonylbenzofuran-2-yl)methylaminocarbonyl]-3 -methylquinoxalin-4-oxide (4.2 g) is added to a solution of sodium hydroxide (1.4 g) in methanol (50 ml) and water (14 ml), and the mixture is stirred at room temperature overnight. The mixture is evaporated to remove methanol, and to the resultant is added water and acidified with hydrochloric acid, and the precipitated crystals are collected by filtration, washed with water, and dried to give 2-[(5-carboxybenzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4 -oxide (3.8 g) as white powder.

M.p. 251-252°C (decomposed)

Example 9

2-[(6-Methoxymethoxy-3-methylbenzofuran-2-yl)methylamino -carbonyl]-3-methylquinoxalin-4-oxide (2.1 g) is dissolved in tetrahydrofuran (20 ml) and methanol (20 ml), and to the mixture is added 6N hydrochloric acid (10 ml), and the mixture is refluxed for one hour. The mixture is evaporated to remove the solvent, and the residue is extracted with chloroform. The extract is washed with saturated aqueous sodium hydrogen carbonate solution, water and brine, and dried over anhydrous sodium sulfate. The solvent is evaporated to remove the solvent, and the residue is recrystallized from dimethyl -formamide/water to give 2-[(6-hydroxy-3-methylbenzofuran-2-yl)methylamino -carbonyl]-3-methylquinoxalin-4-oxide (1.6 g) give as yellow needles.

M.p. 222-223°C (decomposed)

Example 10

2-[(4-Hydroxy-3-methylbenzofuran-2-yl)methylaminocarbonyl]-3 -methylquinoxalin-4-oxide (0.55 g) is dissolved in dimethylformamide (4 ml), and to the mixture are added potassium carbonate (0.41 g) and methyl iodide (0.19 ml), and the mixture is stirred at 60°C for four hours. To the mixture is added water, and the precipitated crystals are collected by filtration, and washed with water. The crude crystals are recrystallized from ethyl acetate/n -hexane to give 2-[(4-methoxy-3-methylbenzofuran-2-yl)methylaminocarbonyl] -3-methylquinoxalin-4-oxide (0.41 g) as yellow prisms.

M.p. 196-197°C

Example 11

2-[(Benzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (0.8 g) is dissolved in chloroform (10 ml), and thereto is added N-bromosuccinimide (0.47 g), and the mixture is refluxed for 7 hours. The mixture is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; n-hexane : ethyl acetate = 2 : 1), and recrystallized from ethyl acetate/n-hexane to give 2-[(3-bromobenzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (0.44 g) as colorless needles.

M.p. 170-171°C

Using the suitable starting compounds, there are obtained the compounds of Examples 31, 34, 38, 88, 93, 98, 119, 124, 125, 131 and 139 in the same manner as in Example 11.

Example 12

2-[(4-Methoxybenzyl)aminocarbonyl]-3-methylquinoxaline (10.5 g) is dissolved in dry methylene chloride (150 ml), and thereto is added dropwise a 1M solution of boron tribromide in methylene chloride (105 ml) under ice-cooling. The mixture is stirred at room temperature for two days, cooled, decomposed with methanol, and evaporated to remove the solvent. To the residue is added water, and the mixture is neutralized with sodium hydrogen carbonate, and the precipitated crystals are collected by filtration, washed with water, and dried. The residue is recrystallized from ethanol to give 2-[(4-hydroxybenzyl)aminocarbonyl]-3-methylquinoxaline (10.0 g) as colorless needles.

M.p. 211-214°C

Example 13

2-{[5-(1-Acetoxyethyl)benzofuran-2-yl]methylaminocarbonyl}-3-methylquinoxalin-4-oxide (4.5 g) is dissolved in methanol (90 ml), and thereto is added potassium carbonate (1.8 g), and the mixture is stirred at room temperature overnight. The mixture is evaporated to remove the solvent, and to the residue is added water. The mixture is extracted with chloroform, washed with water, and dried over anhydrous sodium sulfate. The mixture is evaporated to remove the solvent, and the crude crystals are recrystallized from

ethyl acetate/n-hexane to give 2-{[5-(1-hydroxyethyl)benzofuran-2-yl]methyl-aminocarbonyl}-3-methylquinoxalin-4-oxide (3.4 g) as colorless granules.

M.p. 112-114°C

Example 14

2-{[5-(1-Hydroxyethyl)benzofuran-2-yl]methylaminocarbonyl}-3-methylquinoxalin-4-oxide (0.8 g) is dissolved in chloroform (30 ml), and thereto is added manganese dioxide (3.6 g), and the mixture is refluxed for 6 hours. The reaction solution is filtered through celite, and concentrated. The residue is recrystallized from ethyl acetate/n-hexane to give 2-[(5-acetylbenzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (0.5 g) as pale yellow granules.

M.p. 205-206°C

Example 15

2-[(5-Acetylbenzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide (0.7 g) is dissolved in anhydrous tetrahydrofuran (20 ml), and thereto is added dropwise a 1M solution of methylmagnesium bromide in diethyl ether (2.5 ml) under ice-cooling. The mixture is stirred at room temperature for three hours, and poured into ice-aqueous ammonium chloride solution. The mixture is extracted with chloroform, and the extract is washed with water and brine, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; n-hexane : ethyl acetate = 1 : 1), and recrystallized from n-hexane/ethyl acetate to give 2-{[5-(1-hydroxy-1-methylethyl)benzofuran-2-yl]methylaminocarbonyl}-3-methylquinoxalin-4-oxide (0.1 g) as pale yellow prisms.

M.p. 147-150°C

Example 16

2-{[5-(5-Tetrazolyl)benzofuran-2-yl]methylaminocarbonyl}-3-methylquinoxalin-4-oxide (1.4 g) is dissolved in dimethylformamide (15 ml), and thereto are added potassium carbonate (0.97 g) and methyl iodide (0.44 g), and the mixture is stirred at room temperature for four hours. The reaction solution is poured into ice-water, and the precipitated crystals are collected by filtration, and recrystallized from dimethylformamide/ethanol to give 2-{[5-(2-

methyl-5-tetrazolyl)benzofuran-2-yl]methylaminocarbonyl}-3-methylquinoxalin - 4-oxide (0.48 g) as white powder.

M.p. 206-209°C

Using the suitable starting compounds, there are obtained the compounds of Examples 36 and 161-164 in the same manner as in Example 16.

Example 17

2-[(4-Methoxycarbonylmethoxybenzyl)aminocarbonyl]-3 - methylquinoxaline (3.65 g) is dissolved in methanol (50 ml), and thereto is added 2N sodium hydroxide (6 ml), and the mixture is stirred at room temperature for two hours. The mixture is evaporated to remove the solvent, and to the resultant is added water. The mixture is acidified with hydrochloric acid, and the precipitated crystals are collected by filtration, washed with water, and dried to give 2-[(4-carboxymethoxybenzyl)aminocarbonyl]-3-methyl - quinoxaline (3.40 g) as white powder.

^{1}H-NMR (DMSO-d_6) δ ppm: 2.83 (3H, s), 4.48 (2H, d, J=6 Hz), 4.66 (2H, s), 6.91 (2H, d, J=9 Hz), 7.33 (2H, d, J=9 Hz), 7.8-8.0 (2H, m), 8.0-8.2 (2H, m), 9.33 (1H, t, J=6 Hz)

Using the suitable starting compounds, there is obtained the compound of Example 49 in the same manner as in Example 17.

Example 18

2-[(4-Carboxymethoxybenzyl)aminocarbonyl]-3 - methylquinoxaline (1.05 g) is dissolved in dimethylformamide (10 ml), and thereto is added furfurylamine (0.35 g), and thereto are added dropwise successively diethyl cyanophosphate (0.6 g) and triethylamine (0.6 g) under ice - cooling. The mixture is stirred at room temperature overnight, and poured into ice-water, and the precipitated crystals are collected by filtration. The crystals are recrystallized from acetone/n-hexane to give 2-[(4-furfurylaminocarbonyl - methoxybenzylaminocarbonyl]-3-methylquinoxaline (0.92 g) as white powder.

M.p. 175-176°C

Example 19

2-[(4-Methoxycarbonylmethoxybenzyl)aminocarbonyl]-3-

methylquinoxaline (0.73 g) and 2-methoxyethylamine (1.1 ml) are dissolved in toluene (10 ml), and the mixture is refluxed for three hours. The mixture is evaporated to remove the solvent, and the residue is recrystallized from ethyl acetate to give 2-{[4-(2-methoxyethylaminocarbonylmethoxy)benzyl]amino - carbonyl}-3-methylquinoxaline (0.95 g) as white powder.

M.p. 138-140°C

Using the suitable starting compounds, there are obtained the compounds of Examples 46, 47, 66, 82, 83, 109, 110, 127, 138, 146-153, 157 - 159, 161-170, 173-175, 186, 187, 191-193, 195 and 196 in the same manner as in Example 18 or 19.

Example 20

2-[(4-Hydroxybenzyl)aminocarbonyl]-3-methylquinoxaline (1.8 g) is dissolved in acetic acid (30 ml) and chloroform (150 ml), and thereto is added dropwise a solution of bromine (0.32 ml) in acetic acid (5 ml) at room temperature, and the mixture is stirred at the same temperature for three hours. The reaction solution is washed with saturated sodium hydrogen carbonate solution, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent to give 2-[(3-bromo-4-hydroxybenzyl)aminocarbonyl]-3 - methylquinoxaline (1.0 g) as yellow powder.

The above product is reacted with N-chloroacetylmorpholine in the same manner as in Example 10, and recrystallized from ethyl acetate/n - hexane to give 2-[(3-bromo-4-morpholinocarbonylmethoxybenzyl)amino - carbonyl]-3-methylquinoxaline (0.6 g) as colorless needles.

M.p. 142-143°C

Using the suitable starting compounds, there are obtained the compounds of Examples 45, 51, 56, 58-60, 64-66, 78, 79, 82-83, 87, 106, 108, 110, 116, 117, 120, 121, 123, 126, 127, 138, 140-142, 144, 146-153, 156-164, 167-177, 182, 185-193, 195, 196, 202, 207, 210-212, 222-224, 230-234 and 241 in the same manner as in Example 10 or 20.

Example 21

2-[(4-Methoxycarbonylbenzyl)aminocarbony]-3-methyl - quinoxaline (0.75 g) is dissolved in tetrahydrofuran (40 ml), and thereto is added dropwise with stirring lithium aluminum hydride (0.17 g) under ice-

cooling. The mixture is stirred at the same temperature for three hours, and thereto are added successively aqueous 10 % sodium hydroxide solution (0.3 ml) and water (0.3 ml), and decomposed. The mixture is filtered through celite, and the filtrate is dried over anhydrous sodium sulfate, and evaporated to remove the solvent to give 2-[(4-hydroxymethylbenzyl)aminocarbonyl]-3-methylquinoxaline (0.44 g) as yellow powder.

^{1}H-NMR ($CDCl_3$) δ ppm: 1.75 (1H, t, J=6 Hz), 4.70 (4H, d, J=6 Hz), 7.3-7.5 (4H, m), 7.7-7.9 (2H, m), 8.0-8.1 (2H, m), 8.39 (1H, brs)

Example 22

Lithium aluminum hydride (1.9 g) is suspended in tetrahydrofuran (100 ml), and thereto is added dropwise and gradually a solution of 2-[(4-methoxycarbonylmethoxybenzyl)aminocarbonyl]-3-methylquinoxaline (9.5 g) in tetrahydrofuran (50 ml) under ice-cooling. After addition, the mixture is stirred at the same temperature for 30 minutes, and further stirred at room temperature for two hours. After the reaction is complete, to the reaction mixture is added ethyl acetate under ice-cooling, and further added saturated aqueous ammonium chloride solution. The insoluble materials are removed by filtration, and extracted with ethyl acetate. The extract is dried over anhydrous sodium sulfate and evaporated to remove the solvent. The residue is purified by silica gel column chromatography (solvent; ethyl acetate : n-hexane = 1 : 4) to give 2-{[4-(2-hydroxyethoxy)benzyl]aminocarbonyl}-3-methylquinoxaline (1.0 g) as yellow liquid.

^{1}H-NMR ($CDCl_3$) δ ppm: 2.25 (1H, br), 3.17 (3H, s), 3.9-4.1 (4H, m), 4.63 (2H, d, J=6 Hz), 6.92 (2H, d, J=7 Hz), 7.34 (2H, d, J=7 Hz), 7.7-7.9 (2H, m), 8.0-8.1 (2H, m), 8.34 (1H, br)

Example 23

2-{[4-(2-Hydroxyethoxy)benzyl]aminocarbony}-3-methyl-quinoxaline (0.2 g) and triphenylphosphine (0.17 g) is dissolved in carbon tetrachloride (10 ml), and the mixture is refluxed for 1.5 hour. After the reaction is complete, the mixture is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; ethyl acetate : n-hexane = 1 : 2) to give 2-{[4-(2-chloroethoxy)benzyl]aminocarbonyl}-3-methyl-

quinoxaline (0.10 g) as yellow liquid.

^{1}H-NMR ($CDCl_3$) δ ppm: 3.17 (3H, s), 3.82 (2H, t, J=6 Hz), 4.24 (2H, t, J=6 Hz), 4.64 (2H, d, J=6 Hz), 6.93 (2H, d, J=9 Hz), 7.35 (2H, d, J=9 Hz), 7.7-7.9 (2H, m), 8.0-8.1 (2H, m), 8.33 (1H, br)

Example 24

2-{[(4-Chloroethoxy)benzyl]aminocarbony}-3-methylquinoxaline (100 mg) and morpholine (0.21 ml) are dissolved in dimethylformamide (10 ml), and thereto are added sodium iodide (1.2 g) and potassium carbonate (300 mg), and the mixture is heated at 60°C for 7.5 hours. After the reaction is complete, the mixture is poured into ice-water, and extracted with ethyl acetate. The organic layer is washed with water and brine, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; ethyl acetate : n-hexane = 2 : 3) to give yellow liquid, which is dissolved in ethanol, and thereto is added ethanol-hydrochloric acid solution, and concentrated to dryness. The precipitated crystals are recrystallized from ethanol/diethyl ether to give 2-{[4-(2-morpholinoethoxy)benzyl]aminocarbonyl}-3-methylquinoxaline hydrochloride (70 mg) as yellow powder.

M.p. 155-158°C (decomposed)

Using the suitable starting compounds, there is obtained the compound of Example 203 in the same manner as in Example 24.

Example 25

2-{[4-(2-Methyl-1,3-dioxolan-2-yl)benzyl]aminocarbonyl}-3-methylquinoxalin-4-oxide (1.3 g) is dissolved in acetone (20 ml) and dichloromethane (10 ml), and thereto is added p-toluenesulfonic acid (60 mg), and the mixture is stirred at room temperature for five hours. The mixture is evaporated to remove the solvent, and the residue is extracted with ethyl acetate. The extract is washed with saturated aqueous sodium hydrogen carbonate solution, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is recrystallized from ethanol to give 2-[(4-acetylbenzyl)aminocarbonyl]-3-methylquinoxalin-4-oxide (1.0 g) as pale yellow needles.

M.p. 165-167°C

Example 26

2-[2-(4-Methoxyphenylthio)ethylaminocarbonyl]-3-methylquinoxalin-4-oxide (2.4 g) is dissolved in methylene chloride (40 ml), and thereto are gradually added m-chloroperbenzoic acid (1.4 g) under ice-cooling, and the mixture is stirred at the same temperature for one hour. The reaction solution is washed with aqueous sodium thiosulfate solution, saturated sodium hydrogen carbonate solution and water, and dried over anhydrous sodium sulfate. The mixture is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; ethyl acetate), and recrystallized from ethanol to give 2-[2-(4-methoxyphenylsulfinyl)ethylaminocarbonyl]-3-methylquinoxalin-4-oxide (1.8 g) as colorless needles.

M.p. 156-157°C

Using the suitable starting compounds, there are obtained the compounds of Examples 212 and 217-220 in the same manner as in Example 26.

Example 27

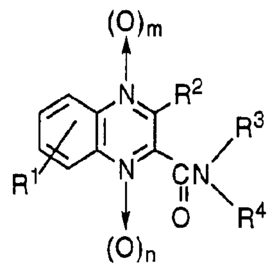
2-[2-(4-Methoxyphenylthio)ethylaminocarbonyl]-3-methylquinoxalin-4-oxide (2.4 g) is dissolved in methylene chloride (40 ml), and thereto is added gradually m-chloroperbenzoic acid (2.8 g) under ice-cooling. The mixture is reacted at the same temperature for one hour, and the reaction solution is washed with aqueous sodium thiosulfate solution, saturated sodium hydrogen carbonate solution and water, and dried over anhydrous sodium sulfate. The mixture is evaporated to remove the solvent, and the residue is recrystallized from ethanol to give 2-[2-(4-methoxyphenylsulfonyl)ethylaminocarbonyl]-3-methylquinoxalin-4-oxide (2.1 g) as colorless needles.

M.p. 148-150°C

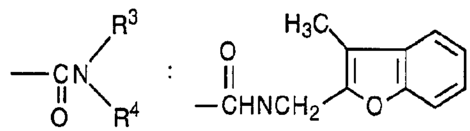
Using the suitable starting compounds, there are obtained the compounds of Examples 218 and 220 in the same manner as in Example 27.

Using the suitable starting compounds, there are obtained the compounds as listed in Table 5 in the same manner as in Example 1, 2 or 3.

Table 5

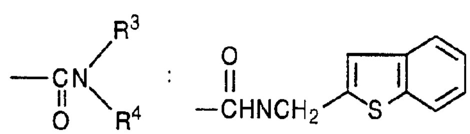


Example 28
Structure:



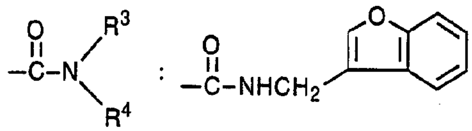
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 154-157
Form: Free

Example 29
Structure:



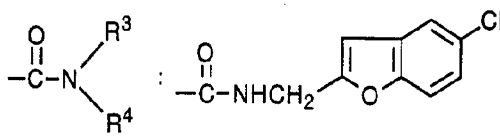
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 169-170
Form: Free

Example 30
Structure:



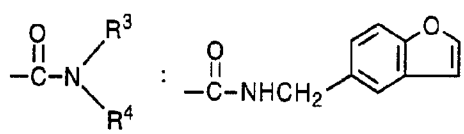
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 143-144
Form: Free

Example 31
Structure:



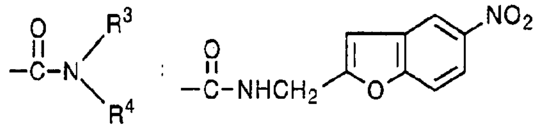
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 121-128
Form: Free

Example 32
Structure:



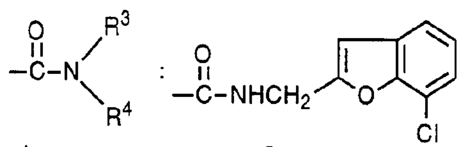
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Orange granules
Solvent for recrystal.: Ethanol
M.p. (°C): 173-174
Form: Free

Example 33
Structure:



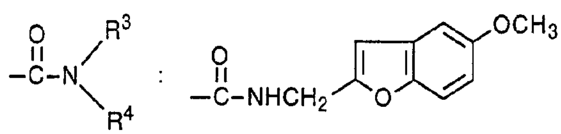
R^1: H　　R^2: CH_3
m: 1　　n: 0
Crystalline form: Pale yellow granules
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 192-195
Form: Free

Example 34
Structure:



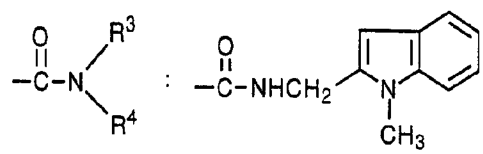
R^1: H　　R^2: CH_3
m: 1　　n: 0
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethanol/water
M.p. (°C): 180-182
Form: Free

Example 35
Structure:



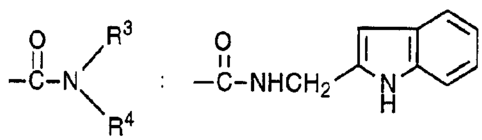
R^1: H　　R^2: CH_3
m: 1　　n: 0
Crystalline form: Colorless granules
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 149-151
Form: Free

Example 36
Structure:



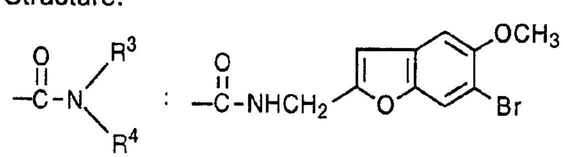
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 171-177
Form: Free

Example 37
Structure:



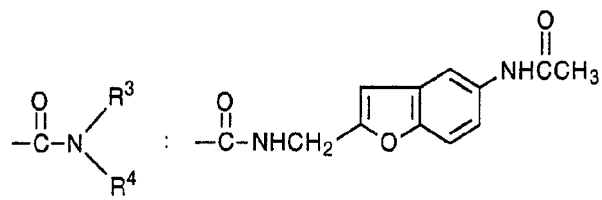
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow prisms
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 210-212 (decomposed)
Form: Free

Example 38
Structure:



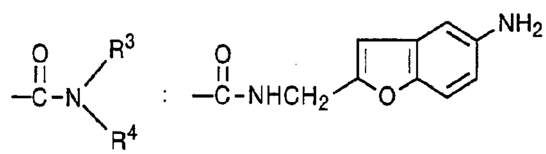
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: Acetonitrile
M.p. (°C): 215-217 (decomposed)
Form: Free

Example 39
Structure:



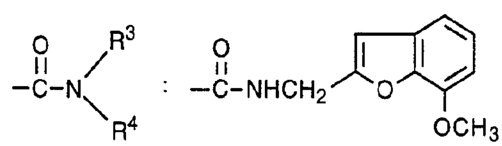
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 183-184
Form: Free

Example 40
Structure:



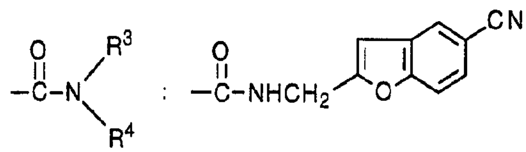
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow powder
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 182-183
Form: Free

Example 41
Structure:



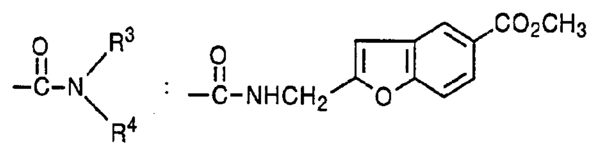
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale red needles
Solvent for recrystal.: Ethanol
M.p. (°C): 173-174
Form: Free

Example 42
Structure:



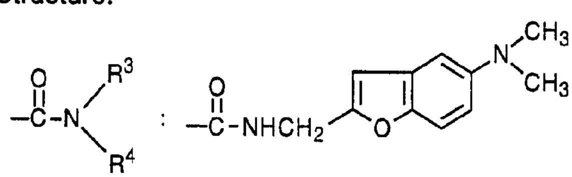
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow granules
Solvent for recrystal.: Acetonitrile
M.p. (°C): 214-215 (decomposed)
Form: Free

Example 43
Structure:



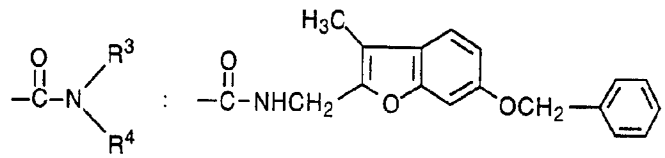
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 187-189
Form: Free

Example 44
Structure:



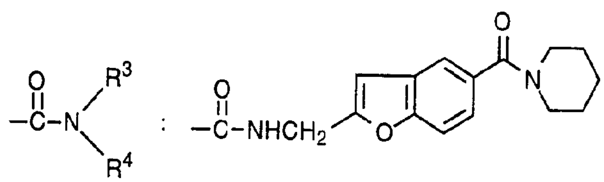
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow plates
Solvent for recrystal.: Acetonitrile
M.p. (°C): 155-157
Form: Free

Example 45
Structure:



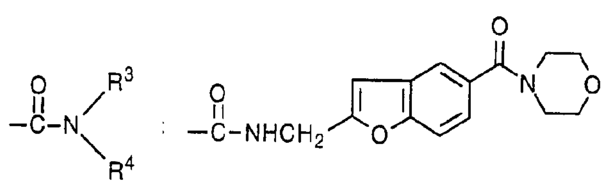
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 159-160
Form: Free

Example 46
Structure:



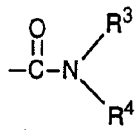
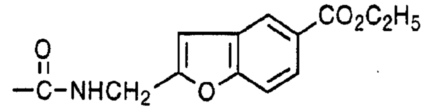
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
Solvent for recrystal.: 2-Propanol
M.p. (°C): 183-184
Form: Free

Example 47
Structure:



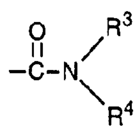
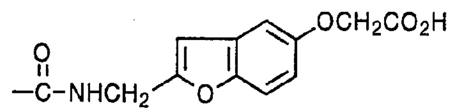
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: 2-Propanol
M.p. (°C): 146-148
Form: Free

Example 48
Structure:

 : 

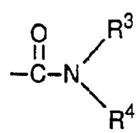
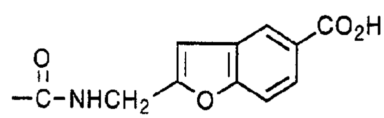
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow prisms
Solvent for recrystal.: Acetonitrile
M.p. (°C): 168-169
Form: Free

Example 49
Structure:

 : 

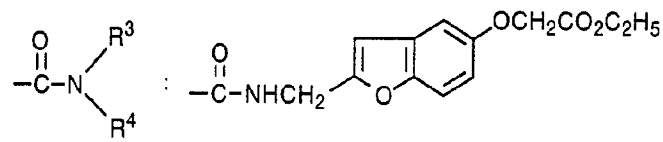
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol/water
M.p. (°C): 202-204
Form: Free

Example 50
Structure:

 : 

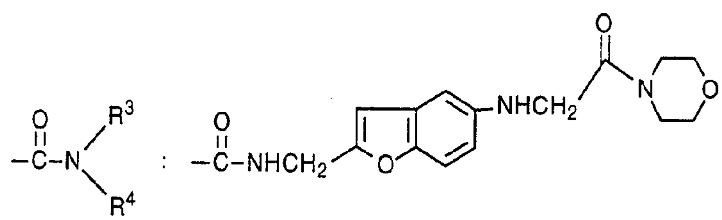
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
M.p. (°C): 251-252 (decomposed)
Form: Free

Example 51
Structure:



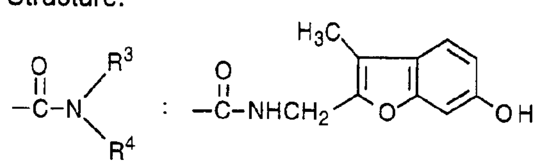
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 120-122
Form: Free

Example 52
Structure:



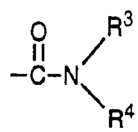
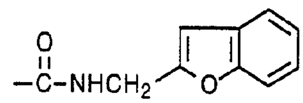
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale brown granules
Solvent for recrystal.: Ethanol
M.p. (°C): 159-167 (decomposed)
Form: Oxalate

Example 53
Structure:



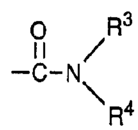
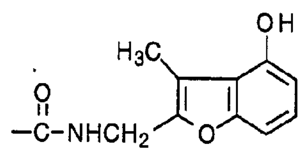
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 222-223 (decomposed)
Form: Free

Example 54
Structure:


: 

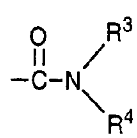
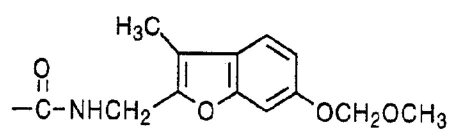
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 156-158
Form: Free

Example 55
Structure:


: 

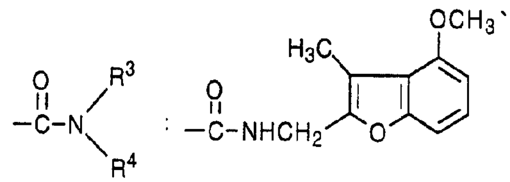
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow granules
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 237-238 (decomposed)
Form: Free

Example 56
Structure:


: 

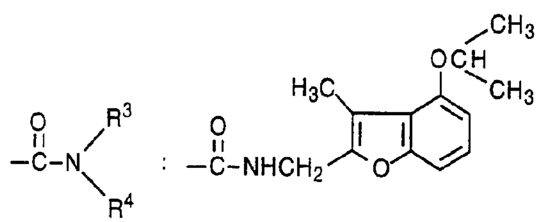
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
M.p. (°C): 130-132
Form: Free

Example 57
Structure:



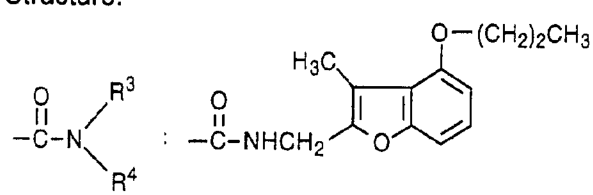
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow prisms
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 196-197
Form: Free

Example 58
Structure:



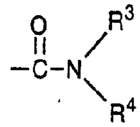
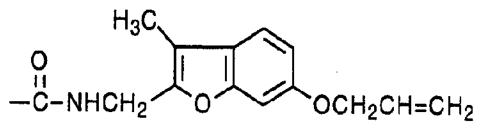
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow plates
Solvent for recrystal.: Ethanol
M.p. (°C): 123-124
Form: Free

Example 59
Structure:



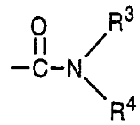
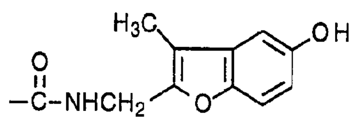
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 177-178
Form: Free

Example 60
Structure:

 : 

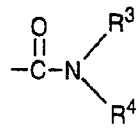
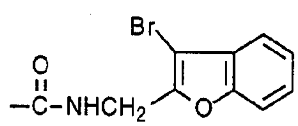
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Dimethylformamide
M.p. (°C): 155-156
Form: Free

Example 61
Structure:

 : 

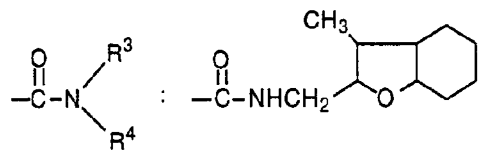
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 220-221
Form: Free

Example 62
Structure:

 : 

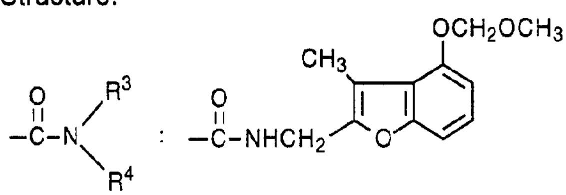
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 170-171
Form: Free

Example 63
Structure:



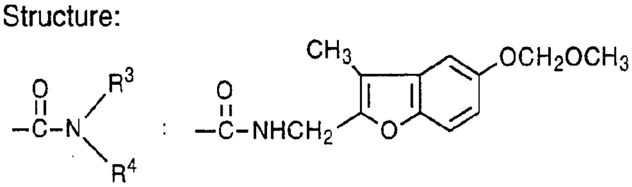
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 125-126
Form: Free

Example 64
Structure:



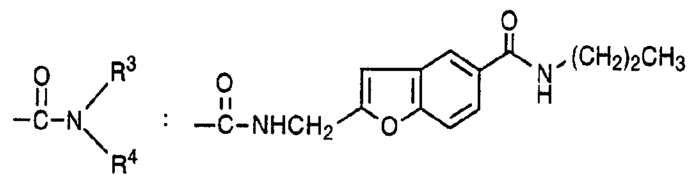
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
M.p. (°C): 166-168
Form: Free

Example 65
Structure:



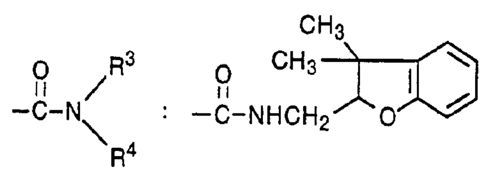
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
M.p. (°C): 114-116
Form: Free

Example 66
Structure:



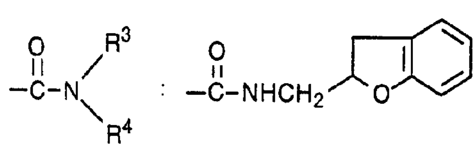
R^1: H R^2: CH_3
m: 1 n:0
Crystalline form: White powder
Solvent for recrystal.: Acetonitrile
M.p. (°C): 184-186
Form: Free

Example 67
Structure:



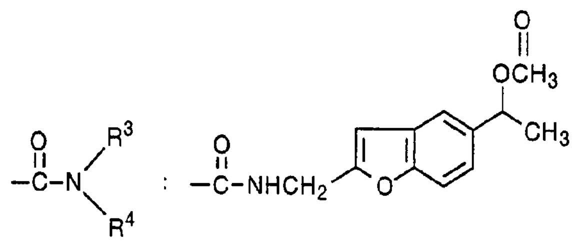
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 160-161
Form: Free

Example 68
Structure:



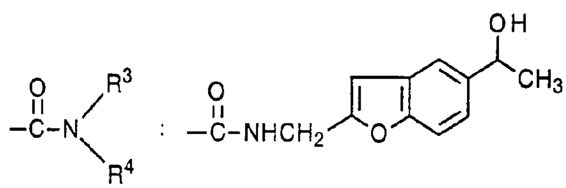
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 70-72
Form: Free

Example 69
Structure:



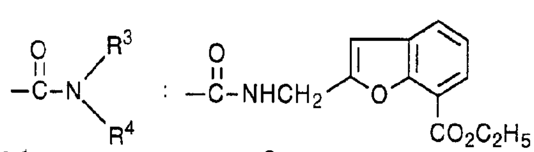
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless granules
Solvent for recrystal.: Ethanol
M.p. (°C): 89-90
Form: Free

Example 70
Structure:



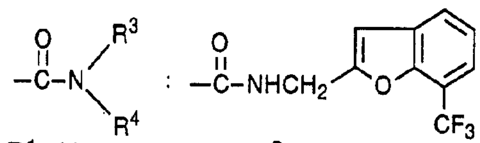
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless granules
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 112-114
Form: Free

Example 71
Structure:



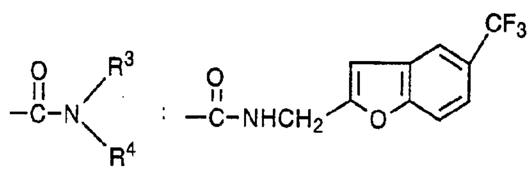
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow rods
Solvent for recrystal.: Acetonitrile
M.p. (°C): 184-185
Form: Free

Example 72
Structure:



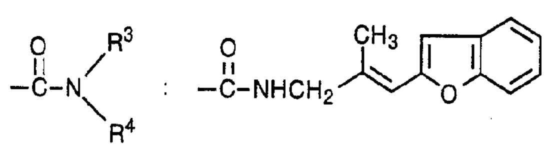
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 153-155
Form: Free

Example 73
Structure:



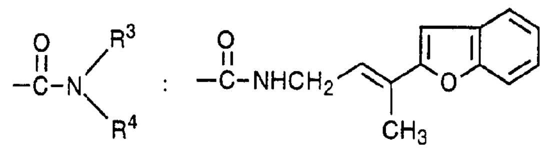
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 160-162
Form: Free

Example 74
Structure:



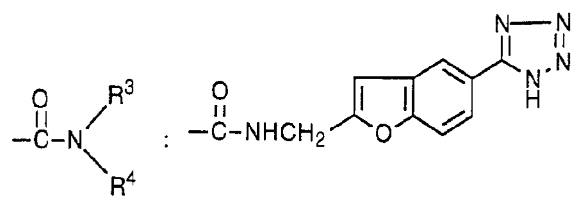
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 143-144
Form: Free

Example 75
Structure:



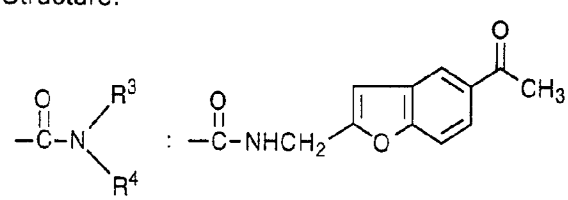
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 199-201
Form: Free

Example 76
Structure:



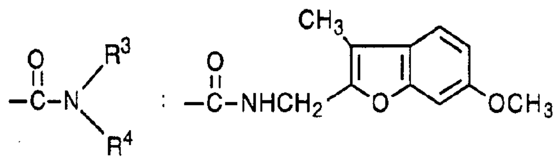
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow powder
Solvent for recrystal.: Dimethylformamide/ethanol
M.p. (°C): 256-258 (decomposed)
Form: Free

Example 77
Structure:



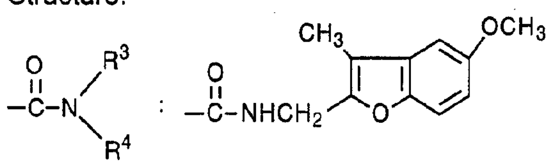
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow granules
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 205-206
Form: Free

Example 78
Structure:



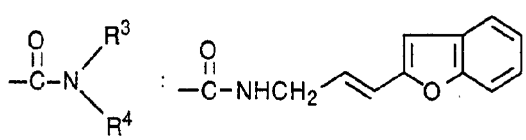
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethanol
M.p. (°C): 154-155
Form: Free

Example 79
Structure:



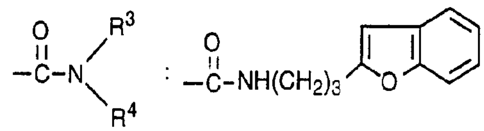
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless prisms
Solvent for recrystal.: Ethanol
M.p. (°C): 169-170
Form: Free

Example 80
Structure:



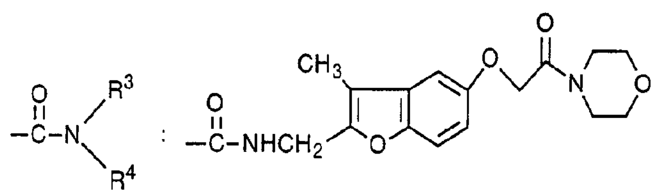
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Brown powder
Solvent for recrystal.: Ethanol
M.p. (°C): 133-135
Form: Free

Example 81
Structure:



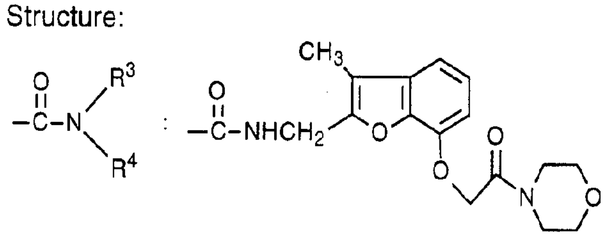
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow plates
Solvent for recrystal.: Ethanol
M.p. (°C): 112-114
Form: Free

Example 82
Structure:



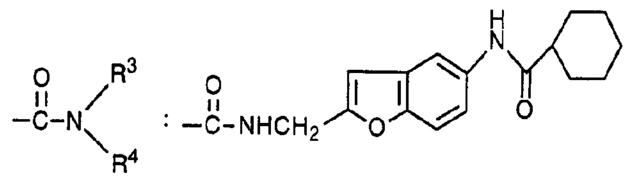
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow rods
Solvent for recrystal.: Dimethylformamide/ethanol
M.p. (°C): 189-190
Form: Free

Example 83
Structure:



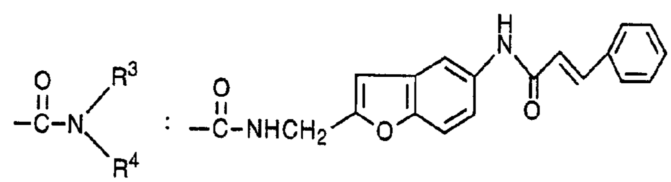
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow powder
Solvent for recrystal.: Dimethylformamide/ethanol
M.p. (°C): 177-178
Form: Free

Example 84
Structure:



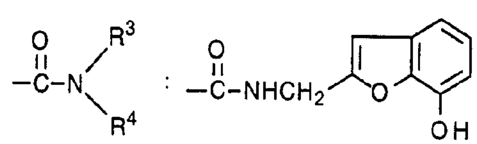
R^1: H R^2: CH_3
m: 1   n: 0
Crystalline form: White powder
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 230-232
Form: Free

Example 85
Structure:



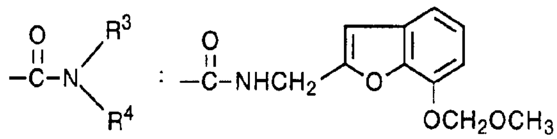
R^1: H R^2: CH_3
m: 1   n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 218-220
Form: Free

Example 86
Structure:



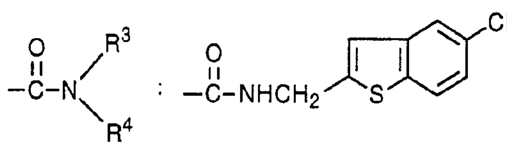
R^1: H R^2: CH_3
m: 1   n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 214-215
Form: Free

Example 87
Structure:



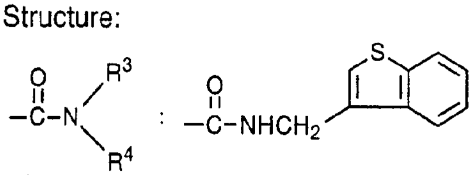
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
M.p. (°C): 146-147
Form: Free

Example 88
Structure:



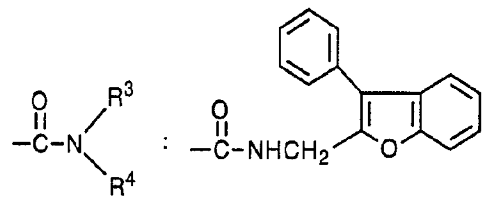
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 180-181
Form: Free

Example 89
Structure:



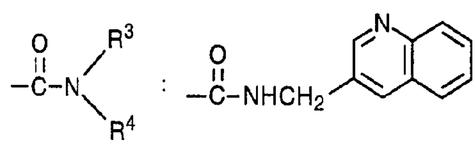
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Chloroform/n-hexane
M.p. (°C): 186-188
Form: Free

Example 90
Structure:



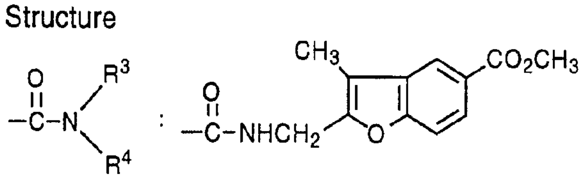
R^1: H R^2: CH_3
m: 1   n: 0
Crystalline form: Yellow powder
Solvent for recrystal.: Diethyl ether/n-hexane
M.p. (°C): 80-83
Form: Free

Example 91
Structure:



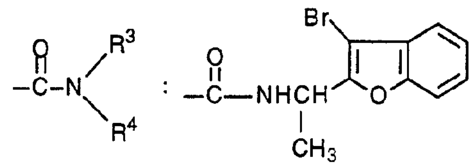
R^1: H R^2: CH_3
m: 1   n: 0
Crystalline form: Brown prisms
Solvent for recrystal.: Acetone/n-hexane
M.p. (°C): 179-180
Form: Free

Example 92
Structure



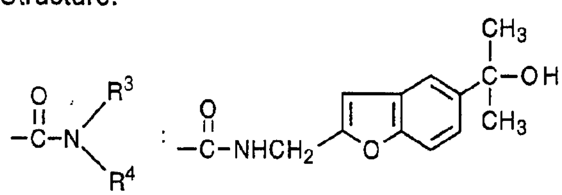
R^1: H R^2: CH_3
m: 1   n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethanol
M.p. (°C): 206-207
Form: Free

Example 93
Structure:



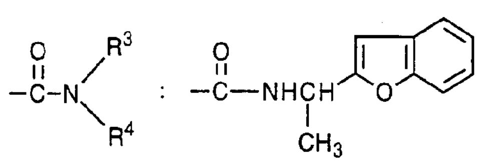
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 139-141
Form: Free

Example 94
Structure:



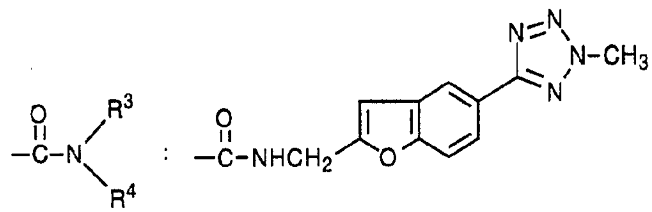
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow prisms
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 147-150
Form: Free

Example 95
Structure:



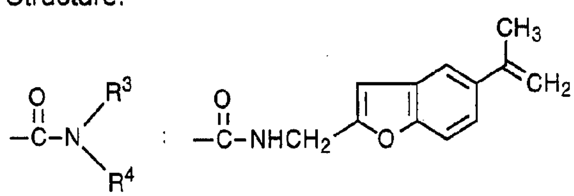
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethanol
M.p. (°C): 133-134
Form: Free

Example 96
Structure:



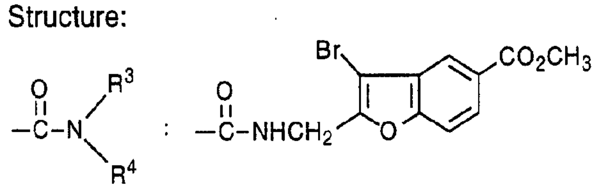
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
Solvent for recrystal.: Dimethylformamide/ethanol
M.p. (°C): 206-209
Form: Free

Example 97
Structure:



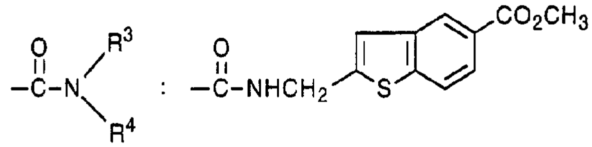
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 117-119
Form: Free

Example 98
Structure:



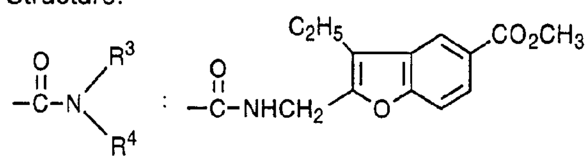
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethanol
M.p. (°C): 200-202 (decomposed)
Form: Free

Example 99
Structure:



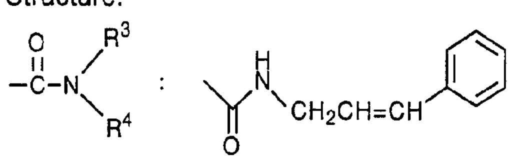
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale brown needles
Solvent for recrystal.: Acetone/n-hexane
M.p. (°C): 199-200
Form: Free

Example 100
Structure:



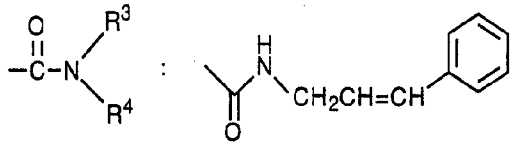
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 167-168
Form: Free

Example 101
Structure:



R^1: H R^2: CH_3
m: 0 n: 1
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 152-153
Form: Free

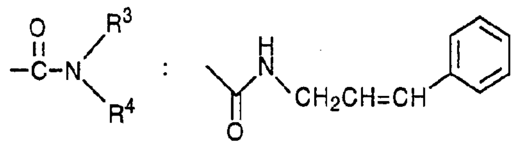
Example 102
Structure:



R¹: H R²: CH3

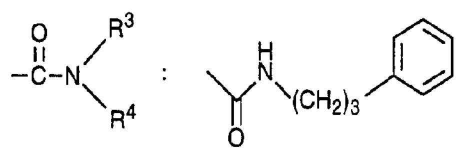
R^1: H R^2: CH_3
m: 1 n: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Ethanol
M.p. (°C): 130-133 (decomposed)
Form: Free

Example 103
Structure:



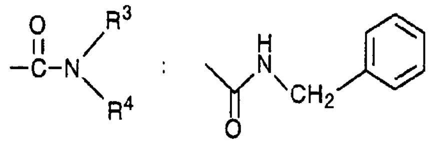
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 143-144
Form: Free

Example 104
Structure:



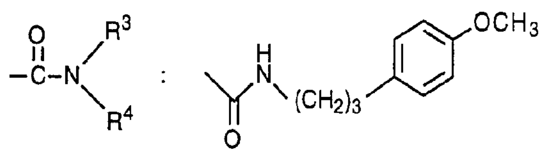
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale brown needles
Solvent for recrystal.: Diisopropyl ether/n-hexane
Form: Free

Example 105
Structure:



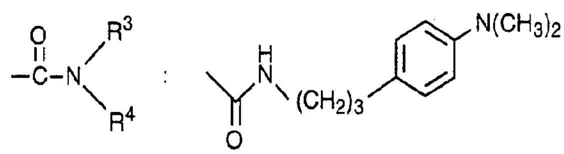
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale brown prisms
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 122-124
Form: Free

Example 106
Structure:



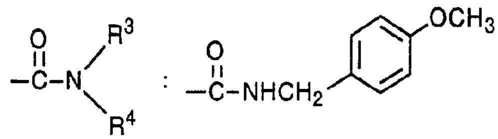
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale yellow needles
Form: Free

Example 107
Structure:



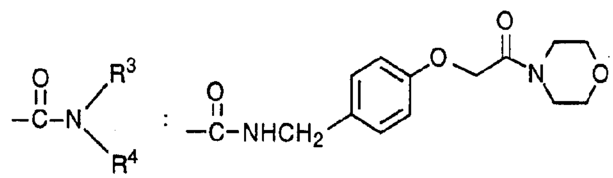
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale yellow needles
Form: Free

Example 108
Structure:



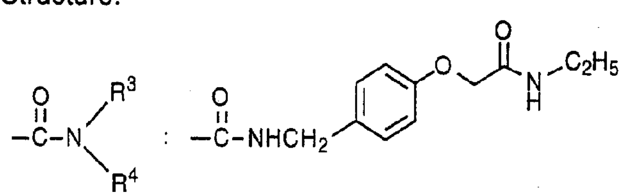
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 99-100
Form: Free

Example 109
Structure:



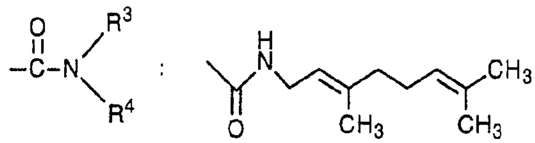
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 124-125
Form: Free

Example 110
Structure:



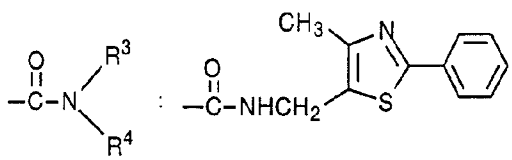
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: Ethanol/water
M.p. (°C): 139-140
Form: Free

Example 111
Structure:



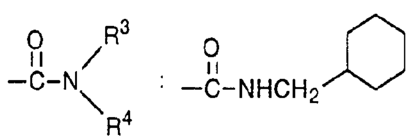
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale brown oil
Form: Free

Example 112
Structure:



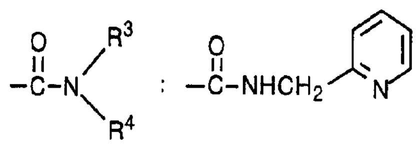
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Red powder
Solvent for recrystal.: Diisopropyl ether/n-hexane
M.p. (°C): 148-150
Form: Free

Example 113
Structure:



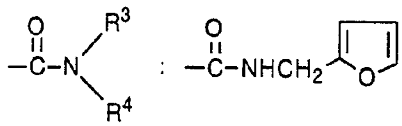
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Yellow prisms
Solvent for recrystal.: n-Hexane
M.p. (°C): 105-106
Form: Free

Example 114
Structure:



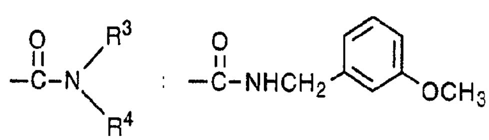
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 135-136
Form: Free

Example 115
Structure:



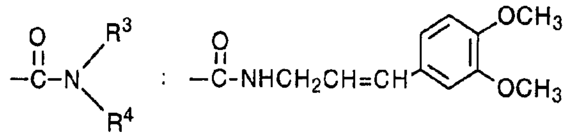
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale yellow powder
Solvent for recrystal.: Diisopropyl ether/n-hexane
M.p. (°C): 121-122
Form: Free

Example 116
Structure:



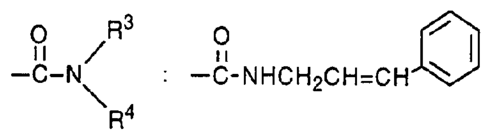
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Diisopropyl ether
M.p. (°C): 88-89
Form: Free

Example 117
Structure:



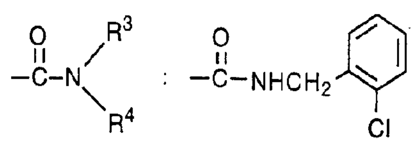
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Diethyl ether
M.p. (°C): 129-130
Form: Free

Example 118
Structure:



R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/diethyl ether
M.p. (°C): 106-107
Form: Free

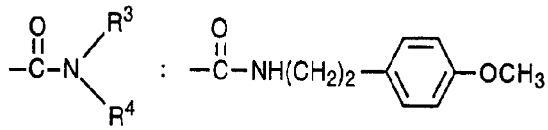
Example 119
Structure:



R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Yellow granules
Solvent for recrystal.: Diisopropyl ether/n-hexane
M.p. (°C): 118-119
Form: Free

Example 120

Structure:



R^1: H R^2: CH_3

m: 0 n: 0

Crystalline form: Pale yellow needles

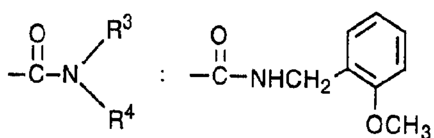
Solvent for recrystal.: Diisopropyl ether/n-hexane

M.p. (°C): 101-102

Form: Free

Example 121

Structure:



R^1: H R^2: CH_3

m: 0 n: 0

Crystalline form: Yellow granules

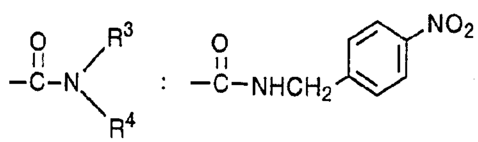
Solvent for recrystal.: Diisopropyl ether/n-hexane

M.p. (°C): 118-119

Form: Free

Example 122

Structure:



R^1: H R^2: CH_3

m: 0 n: 0

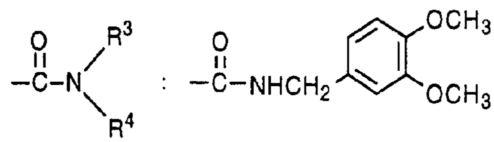
Crystalline form: Orange powder

Solvent for recrystal.: Diisopropyl ether/n-hexane

M.p. (°C): 184-185

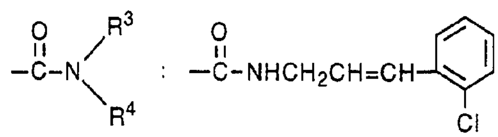
Form: Free

Example 123
Structure:



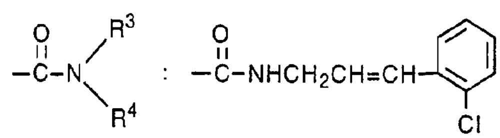
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Yellow plates
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 148-150
Form: Free

Example 124
Structure:



R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Diisopropyl ether
M.p. (°C): 124-125
Form: Free

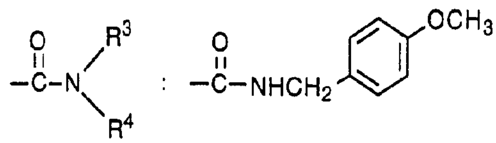
Example 125
Structure:



R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 122-123
Form: Free

Example 126

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

Crystalline form: Pale yellow needles

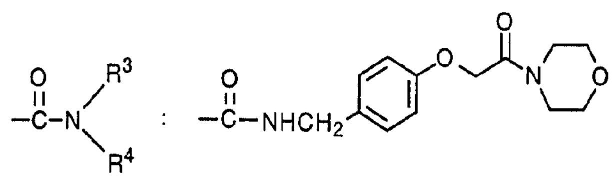
Solvent for recrystal.: Ethyl acetate/n-hexane

M.p. (°C): 115-116

Form: Free

Example 127

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

Crystalline form: Colorless needles

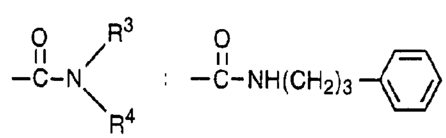
Solvent for recrystal.: Acetonitrile

M.p. (°C): 142-143

Form: Free

Example 128

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

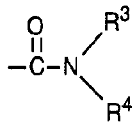
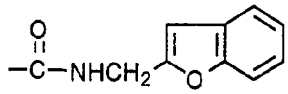
Crystalline form: Colorless needles

Solvent for recrystal.: n-Hexane/ethyl acetate

M.p. (°C): 99-100

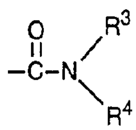
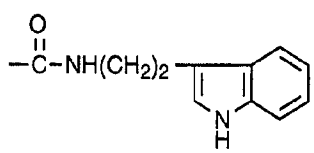
Form: Free

Example 129
Structure:

 : 

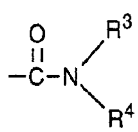
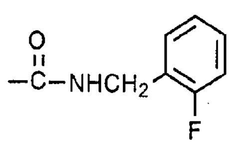
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale yellow granules
Solvent for recrystal.: Methanol/water
M.p. (°C): 102-103
Form: Free

Example 130
Structure:

 : 

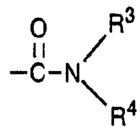
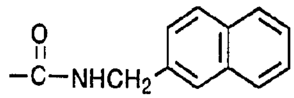
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 211-213
Form: Free

Example 131
Structure:

 : 

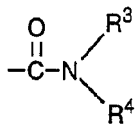
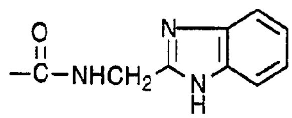
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 123-124
Form: Free

Example 132
Structure:


:


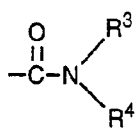
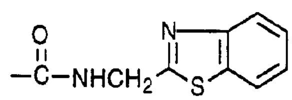
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 146-147
Form: Free

Example 133
Structure:


:


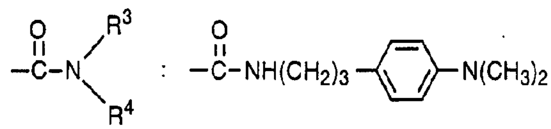
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Orange needles
Solvent for recrystal.: Ethanol
M.p. (°C): 246-248 (decomposed)
Form: Free

Example 134
Structure:


:


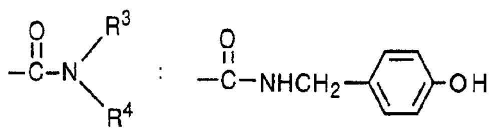
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Orange powder
Solvent for recrystal.: Ethanol
M.p. (°C): 188-190
Form: Free

Example 135
Structure:



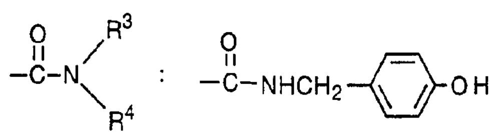
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Orange powder
Solvent for recrystal.: Acetone
Form: Oxalate

Example 136
Structure:



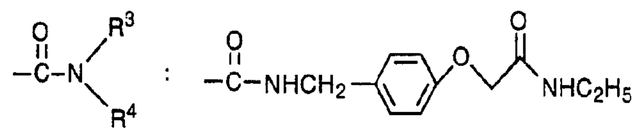
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 211-214
Form: Free

Example 137
Structure:



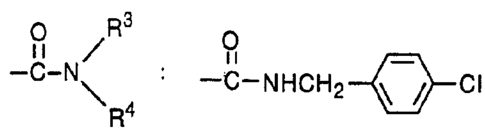
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow granules
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 187-192
Form: Free

Example 138
Structure:



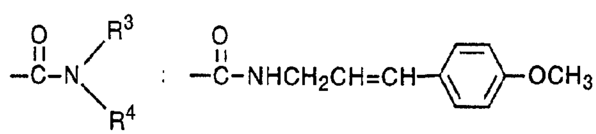
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 190-199
Form: Free

Example 139
Structure:



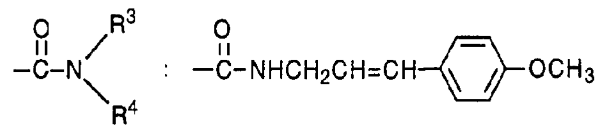
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Diisopropyl ether
M.p. (°C): 147-148
Form: Free

Example 140
Structure:



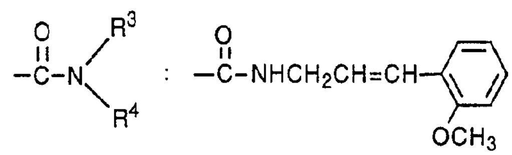
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale yellow powder
Solvent for recrystal.: Diisopropyl ether
M.p. (°C): 116-117
Form: Free

Example 141
Structure:



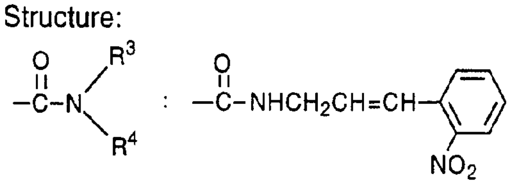
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Orange powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 120-122
Form: Free

Example 142
Structure:



R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Yellow needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 127-128
Form: Free

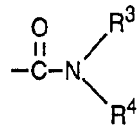
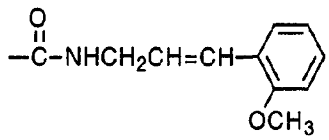
Example 143
Structure:



R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 128-130
Form: Free

Example 144

Structure:

 : 

R^1: H R^2: CH_3

m: 1 n: 0

Crystalline form: Colorless needles

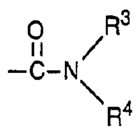
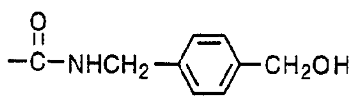
Solvent for recrystal.: n-Hexane/ethyl acetate

M.p. (°C): 123-124

Form: Free

Example 145

Structure:

 : 

R^1: H R^2: CH_3

m: 1 n: 0

Crystalline form: Yellow prisms

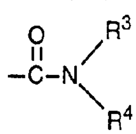
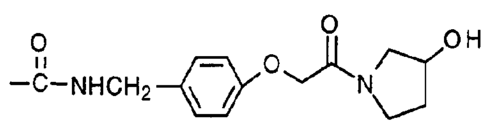
Solvent for recrystal.: Isopropanol/diisopropyl ether

M.p. (°C): 171-173

Form: Free

Example 146

Structure:

 : 

R^1: H R^2: CH_3

m: 1 n: 0

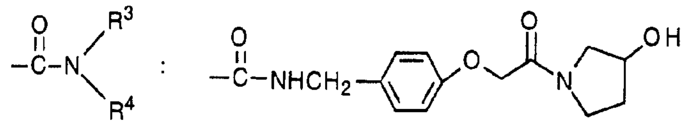
Crystalline form: Pale yellow granules

Solvent for recrystal.: Isopropanol/diisopropyl ether

M.p. (°C): 176-177

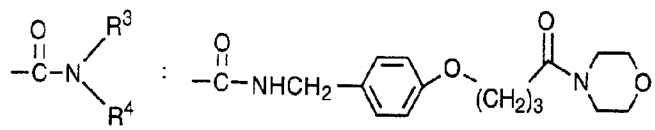
Form: Free

Example 147
Structure:



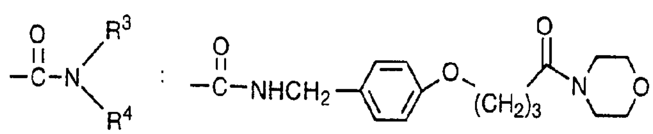
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 148-149
Form: Free

Example 148
Structure:



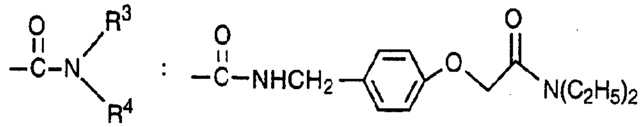
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 119-120
Form: Free

Example 149
Structure:



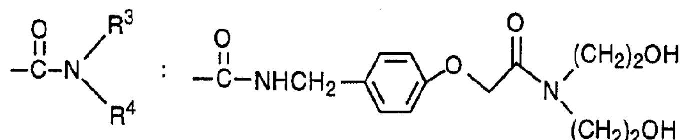
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: White powder
M.p. (°C): 135-137
Form: Free

Example 150
Structure:



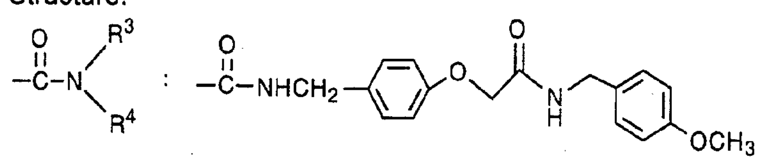
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 118-119
Form: Free

Example 151
Structure:



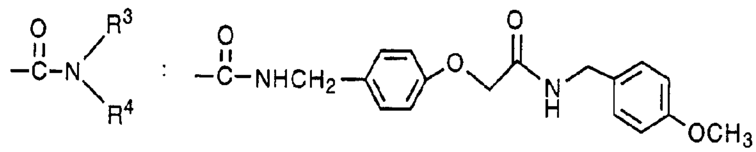
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless prisms
Solvent for recrystal.: Acetone
M.p. (°C): 135-137
Form: Free

Example 152
Structure:



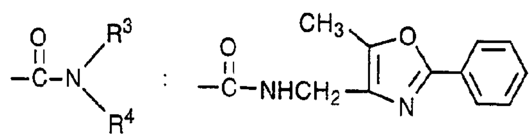
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Acetone/n-hexane
M.p. (°C): 196-198
Form: Free

Example 153
Structure:



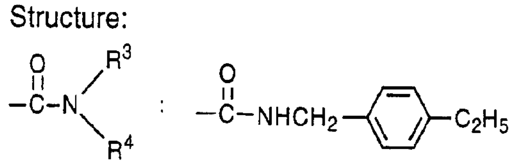
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 133-134
Form: Free

Example 154
Structure:



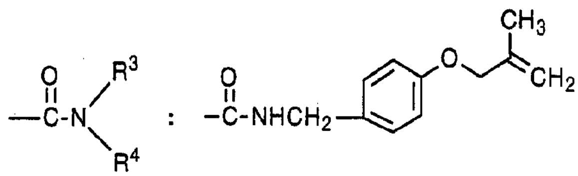
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Dimethylformamide
M.p. (°C): 225-226
Form: Free

Example 155
Structure:



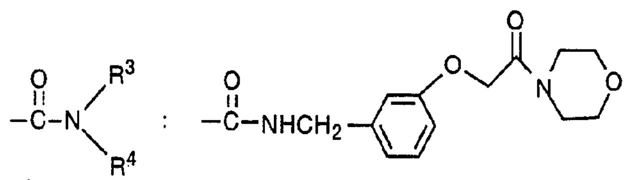
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 98-100
Form: Free

Example 156
Structure:



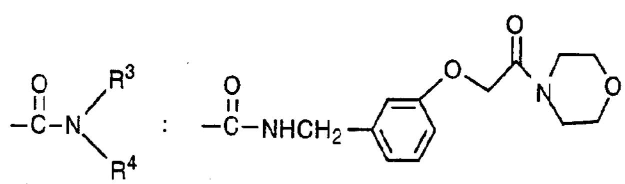
R¹: H R²: CH_3
m: 1 n: 0
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 100-101
Form: Free

Example 157
Structure:



R¹: H R²: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 144-145
Form: Free

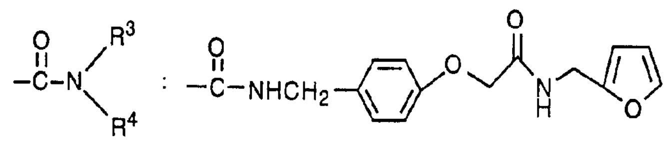
Example 158
Structure:



R¹: H R²: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 133-134
Form: Free

Example 159

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

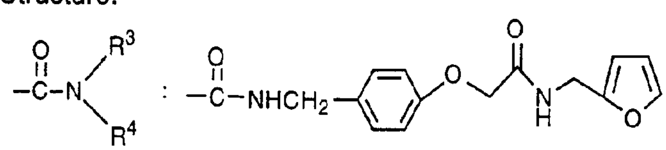
Crystalline form: Pale yellow powder

M.p. (°C): 83-87

Form: Free

Example 160

Structure:



R^1: H R^2: CH_3

m: 0 n: 0

Crystalline form: White powder

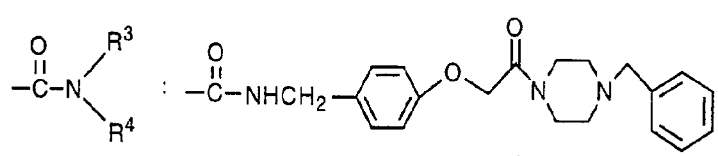
Solvent for recrystal.: Acetone/n-hexane

M.p. (°C): 175-176

Form: Free

Example 161

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

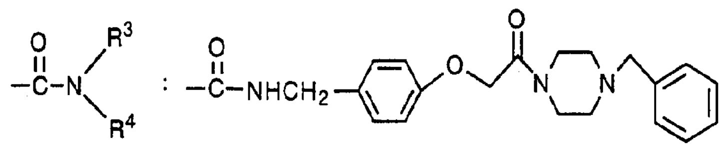
Crystalline form: White powder

Solvent for recrystal.: Ethanol/diethyl ether

M.p. (°C): 246-247 (decomposed)

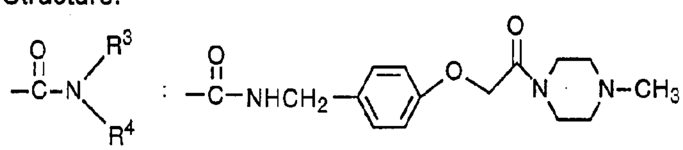
Form: Hydrochloride

Example 162
Structure:



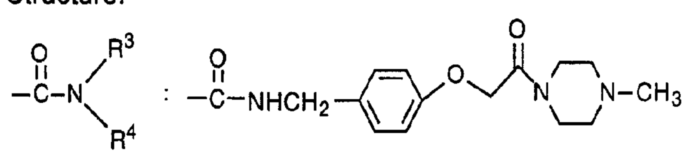
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: Methanol/diethyl ether
M.p. (°C): 214-215
Form: Hydrochloride

Example 163
Structure:



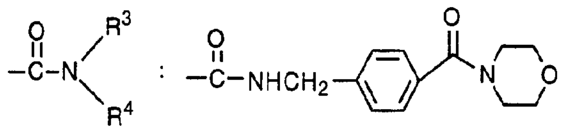
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
M.p. (°C): 212-215 (decomposed)
Form: Hydrochloride

Example 164
Structure:



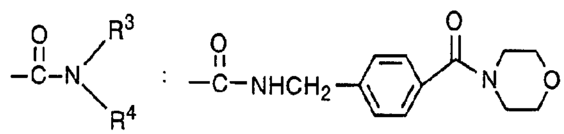
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 139-141
Form: Free

Example 165
Structure:



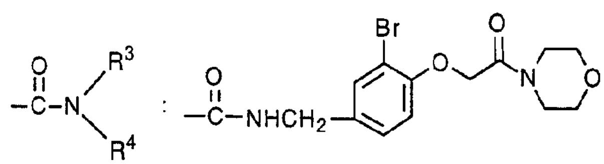
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 170-171
Form: Free

Example 166
Structure:



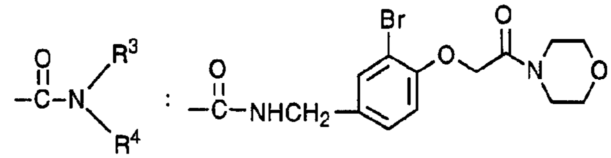
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 152-153
Form: Free

Example 167
Structure:



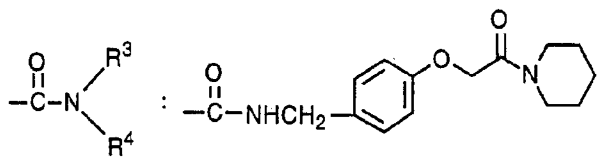
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 165-166
Form: Free

Example 168
Structure:



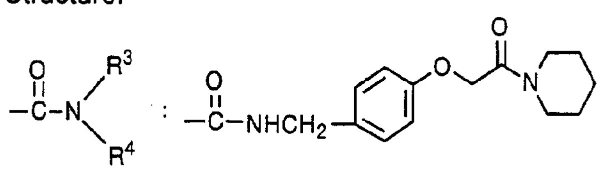
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 142-143
Form: Free

Example 169
Structure:



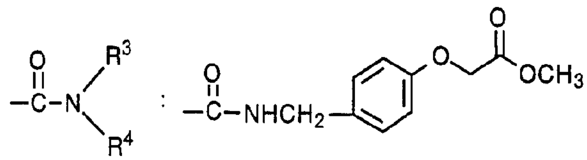
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
M.p. (°C): 134-135
Form: Free

Example 170
Structure:



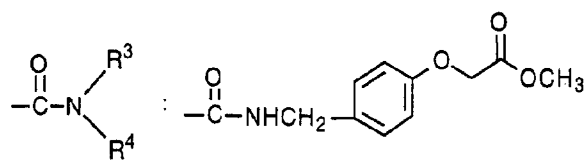
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 126-128
Form: Free

Example 171
Structure:



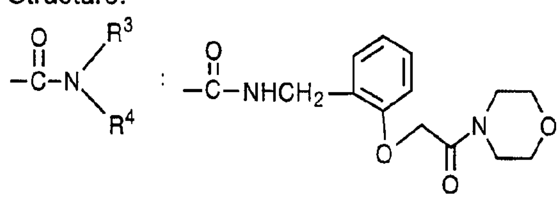
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 126-128
Form: Free

Example 172
Structure:



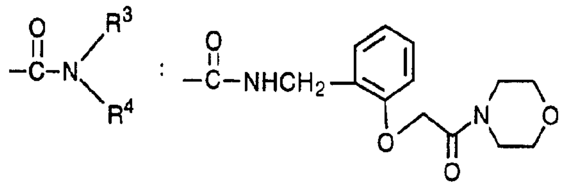
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 106-107
Form: Free

Example 173
Structure:



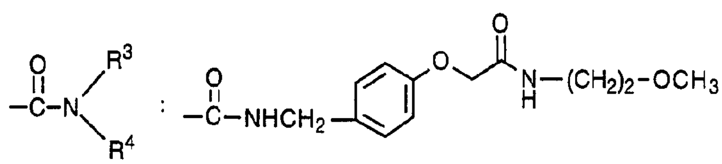
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless prisms
Solvent for recrystal.: Acetone/n-hexane
M.p. (°C): 167-169
Form: Free

Example 174
Structure:



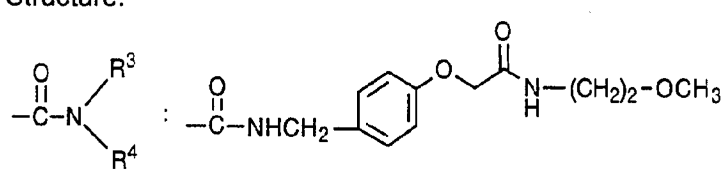
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 129-130
Form: Free

Example 175
Structure:



R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 135-136
Form: Free

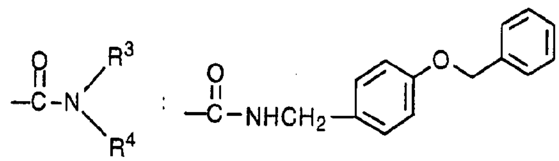
Example 176
Structure:



R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 138-140
Form: Free

Example 177

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

Crystalline form: Colorless needles

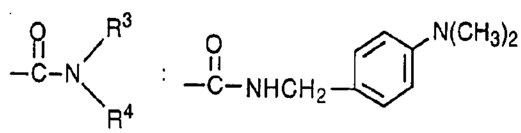
Solvent for recrystal.: Ethyl acetate

M.p. (°C): 140-141

Form: Free

Example 178

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

Crystalline form: Yellow needles

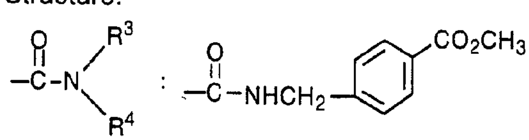
Solvent for recrystal.: Ethyl acetate/n-hexane

M.p. (°C): 146-147

Form: Free

Example 179

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

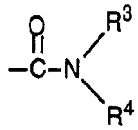
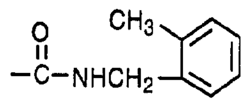
Crystalline form: Colorless needles

Solvent for recrystal.: Ethyl acetate/n-hexane

M.p. (°C): 154-155

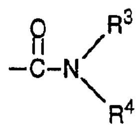
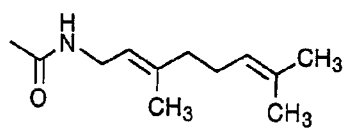
Form: Free

Example 180
Structure:

 : 

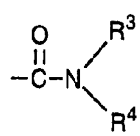
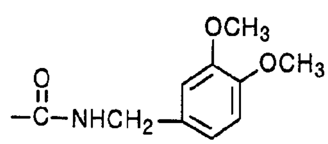
R¹: H R²: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 155-156
Form: Free

Example 181
Structure:

 : 

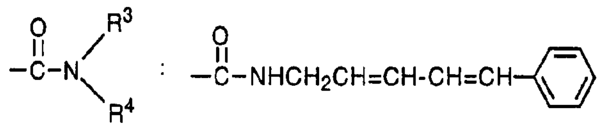
R¹: H R²: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/diethyl ether
M.p. (°C): 67-69
Form: Free

Example 182
Structure:

 : 

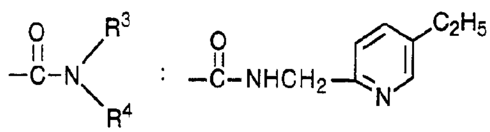
R¹: H R²: CH_3
m: 1 n: 0
Crystalline form: Brown powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 132-133
Form: Free

Example 183
Structure:



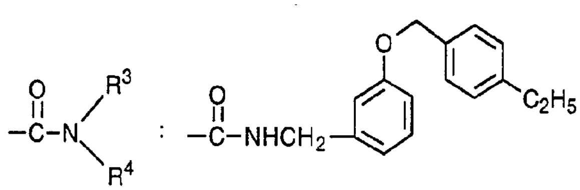
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 174-175
Form: Free

Example 184
Structure:



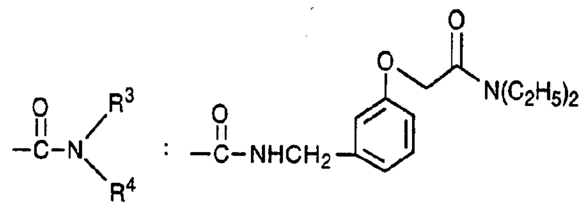
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 156-158
Form: Free

Example 185
Structure:



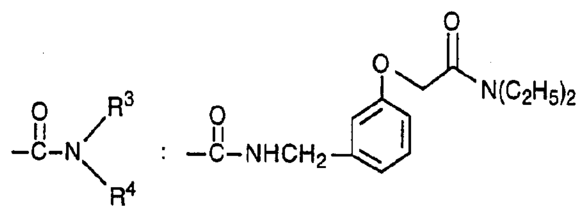
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 102-103
Form: Free

Example 186
Structure:



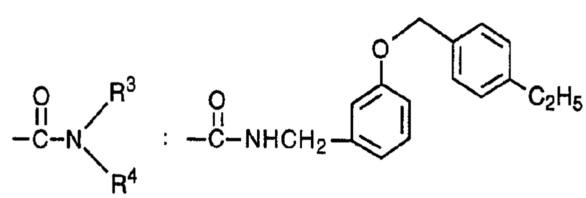
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Yellow oil
Form: Free

Example 187
Structure:



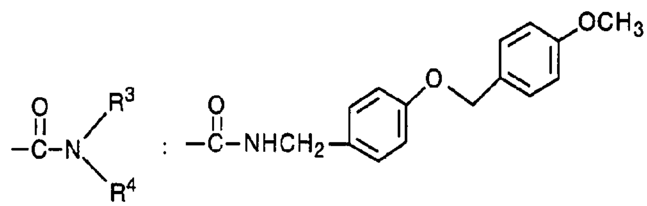
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow oil
Form: Free

Example 188
Structure:



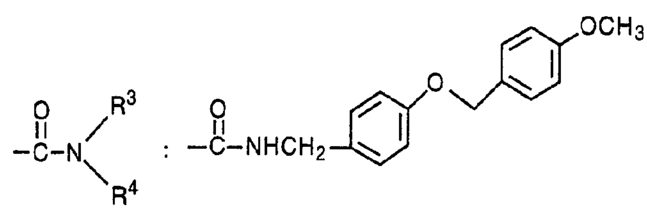
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 123-124
Form: Free

Example 189
Structure:



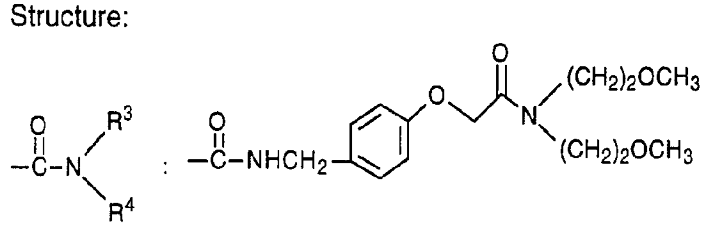
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 149-151
Form: Free

Example 190
Structure:



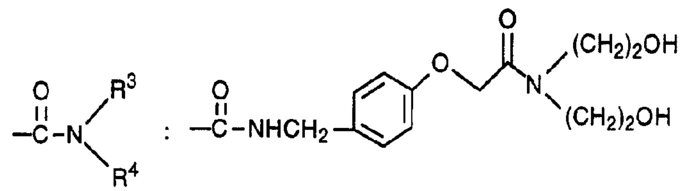
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Yellow powder
Form: Free

Example 191
Structure:



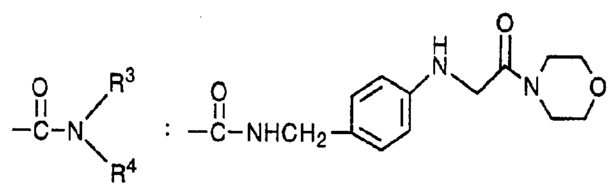
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless prisms
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 122-123
Form: Free

Example 192
Structure:



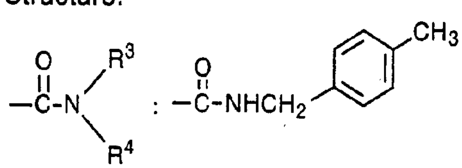
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: White powder
Solvent for recrystal.: Methanol/diethyl ether
M.p. (°C): 110-112
Form: Free

Example 193
Structure:



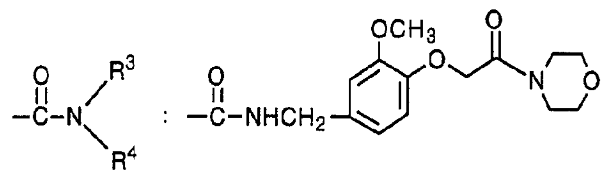
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Yellow needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 193-195
Form: Free

Example 194
Structure:



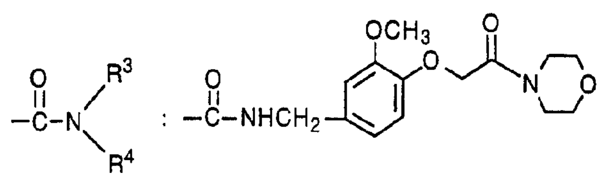
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Diisopropyl ether
M.p. (°C): 152-153
Form: Free

Example 195
Structure:



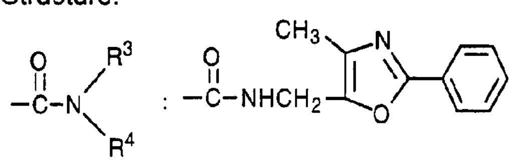
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 164-165
Form: Free

Example 196
Structure:



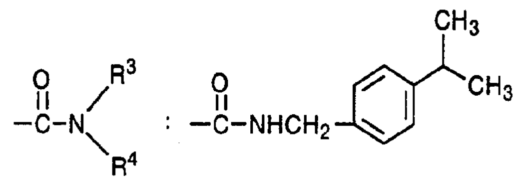
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Yellow granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 144-145
Form: Free

Example 197
Structure:



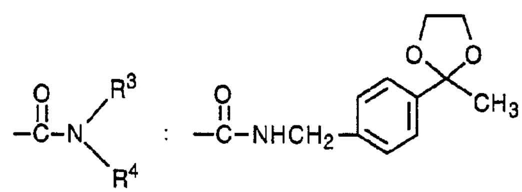
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 195-196
Form: Free

Example 198
Structure:



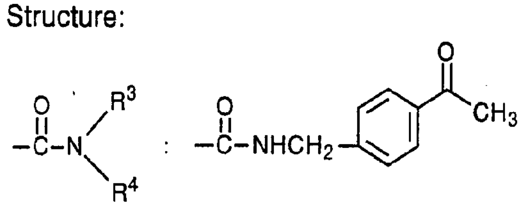
R^1: H　　R^2: CH_3
m: 1　　n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 105-107
Form: Free

Example 199
Structure:



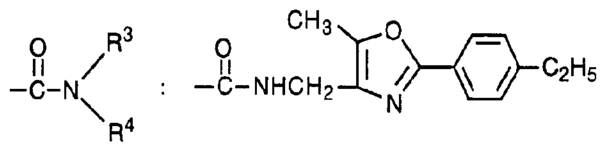
R^1: H　　R^2: CH_3
m: 1　　n: 0
Crystalline form: Pale yellow flakes
Solvent for recrystal.: Ethanol
M.p. (°C): 159-160
Form: Free

Example 200
Structure:



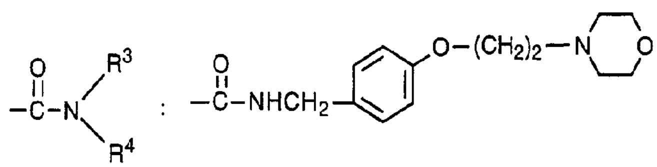
R^1: H　　R^2: CH_3
m: 1　　n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 165-167
Form: Free

Example 201
Structure:



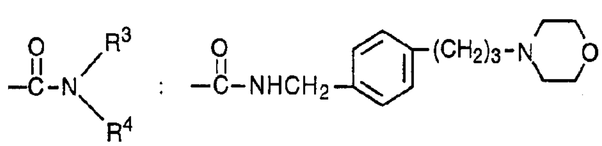
R1: H   R2: CH_3
m: 1   n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 195-196
Form: Free

Example 202
Structure:



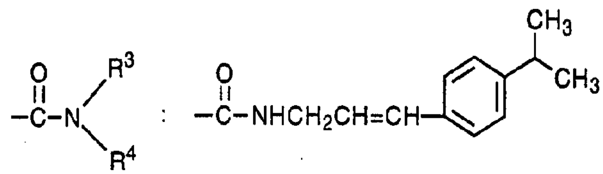
R1: H   R2: CH_3
m: 0   n: 0
Crystalline form: Yellow powder
Solvent for recrystal.: Ethanol/diethyl ether
M.p. (°C): 155-158 (decomposed)
Form: Hydrochloride

Example 203
Structure:



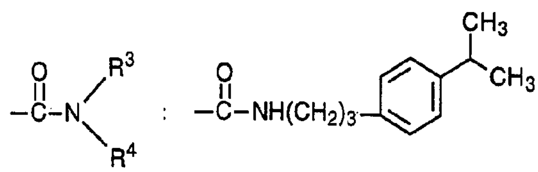
R1: H   R2: CH_3
m: 0   n: 0
Crystalline form: Yellow granules
Solvent for recrystal.: Ethanol/diethyl ether
M.p. (°C): 116-118
Form: Hydrochloride

Example 204
Structure:



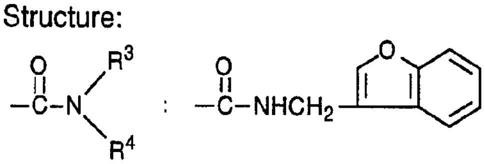
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 118-120
Form: Free

Example 205
Structure:



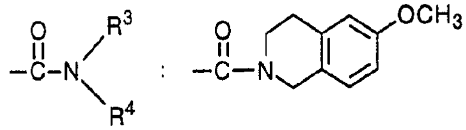
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 56-58
Form: Free

Example 206
Structure:



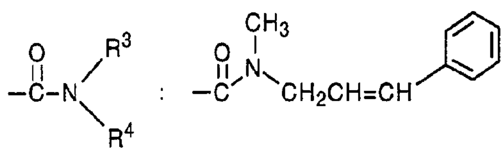
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Pale brown prisms
Solvent for recrystal.: Isopropanol/water
M.p. (°C): 133-134
Form: Free

Example 207
Structure:



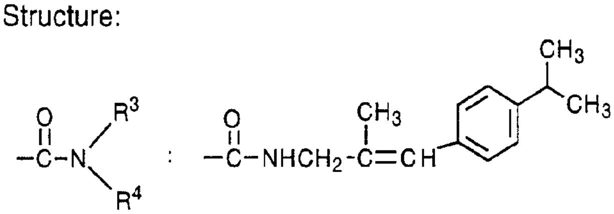
R^1: H R^2: CH_3
m: 1   n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 170-171
Form: Free

Example 208
Structure:



R^1: H R^2: CH_3
m: 0   n: 0
Crystalline form: Pale yellow oil
Form: Free

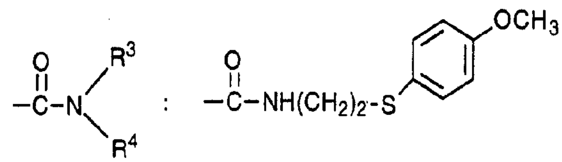
Example 209
Structure:



R^1: H R^2: CH_3
m: 1   n: 0
Crystalline form: Colorless oil
Form: Free

Example 210

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

Crystalline form: Colorless needles

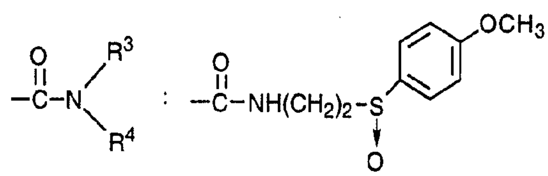
Solvent for recrystal.: Ethanol

M.p. (°C): 76-77

Form: Free

Example 211

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

Crystalline form: Colorless needles

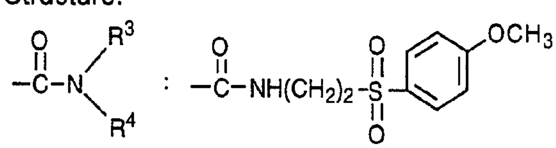
Solvent for recrystal.: Ethanol

M.p. (°C): 156-157

Form: Free

Example 212

Structure:



R^1: H R^2: CH_3

m: 1 n: 0

Crystalline form: Colorless needles

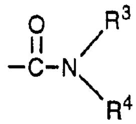
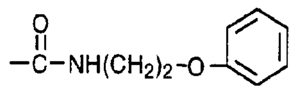
Solvent for recrystal.: Ethanol

M.p. (°C): 148-150

Form: Free

Example 213

Structure:

 : 

R1: H R2: CH_3

m: 1 n: 0

Crystalline form: Pale yellow prisms

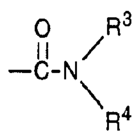
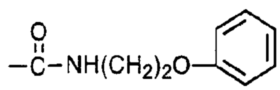
Solvent for recrystal.: n-Hexane/ethyl acetate

M.p. (°C): 113-114

Form: Free

Example 214

Structure:

 : 

R1: H R2: CH_3

m: 0 n: 0

Crystalline form: Colorless needles

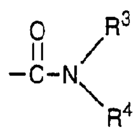
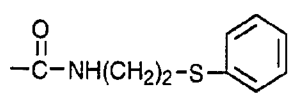
Solvent for recrystal.: Ethanol/water

M.p. (°C): 115-116

Form: Free

Example 215

Structure:

 : 

R1: H R2: CH_3

m: 0 n: 0

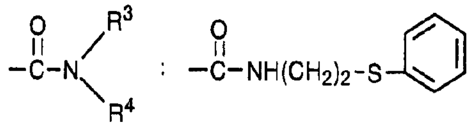
Crystalline form: Colorless needles

Solvent for recrystal.: Ethanol/water

M.p. (°C): 87-88

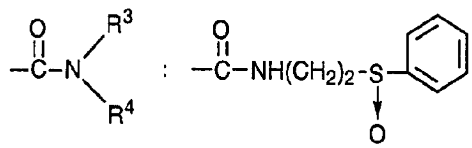
Form: Free

Example 216
Structure:



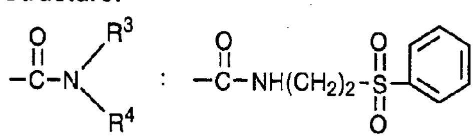
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 107-109
Form: Free

Example 217
Structure:



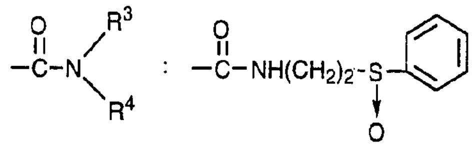
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol/water
M.p. (°C): 132-133
Form: Free

Example 218
Structure:



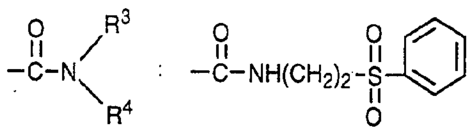
R^1: H R^2: CH_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 162-163
Form: Free

Example 219
Structure:



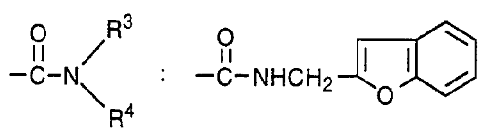
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 156-157
Form: Free

Example 220
Structure:



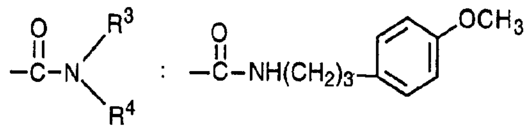
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 181-182
Form: Free

Example 221
Structure:



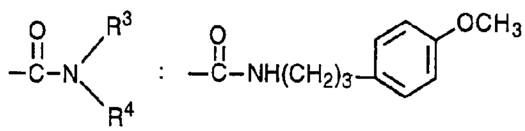
R^1: H R^2: CF_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 155-157
Form: Free

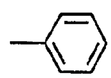
Example 222
Structure:



R^1: H R^2: CF_3
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 115-116
Form: Free

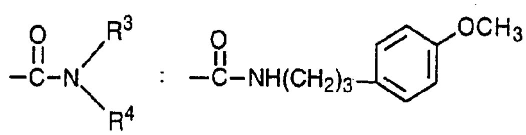
Example 223
Structure:



R^1: H R^2: 

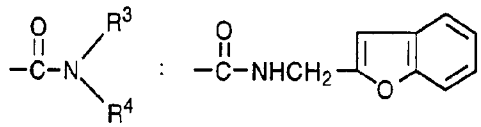
m: 0 n: 0
Crystalline form: Colorless granules
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 150-151
Form: Free

Example 224
Structure:



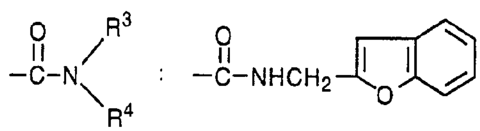
R^1: H R^2: H
m: 1 n: 0
Crystalline form: Pale yellow flakes
Solvent for recrystal.: Ethanol
M.p. (°C): 126
Form: Free

Example 225
Structure:



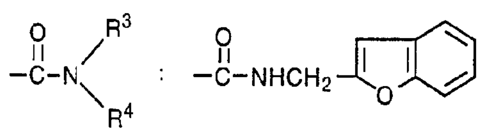
R^1: H R^2: H
m: 0 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 155-156
Form: Free

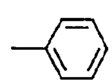
Example 226
Structure:



R^1: H R^2: H
m: 1 n: 0
Crystalline form: Pale brown flakes
Solvent for recrystal.: Acetonitrile
M.p. (°C): 211-213
Form: Free

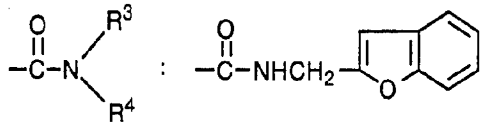
Example 227
Structure:



R^1: H R^2: 

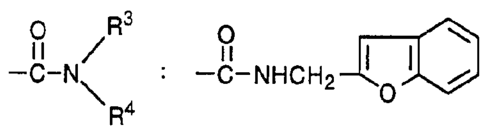
m: 0 n: 0
Crystalline form: Brown granules
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 169-171
Form: Free

Example 228
Structure:



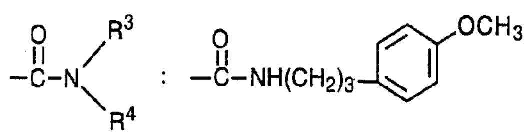
R^1: H R^2: $-CH(CH_3)_2$
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 114-116
Form: Free

Example 229
Structure:



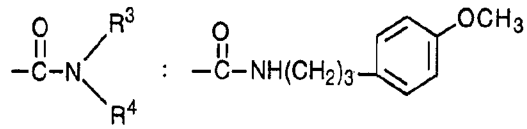
R^1: H R^2: $-C_2H_5$
m: 1 n: 0
Crystalline form: Colorless prisms
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 114-115
Form: Free

Example 230
Structure:



R^1: H R^2: $-C_2H_5$
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 87-89
Form: Free

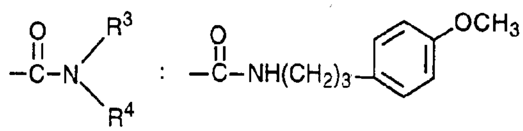
Example 231
Structure:



R¹: H R²: -CH(CH3)2

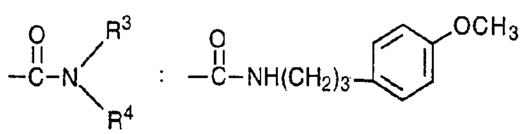
R^1: H R^2: $-CH(CH_3)_2$
m: 0 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane
M.p. (°C): 67-68
Form: Free

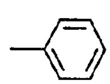
Example 232
Structure:



R^1: H R^2: $-C_2H_5$
m: 0 n: 0
Crystalline form: Pale yellow prisms
Solvent for recrystal.: n-Hexane
M.p. (°C): 82-83
Form: Free

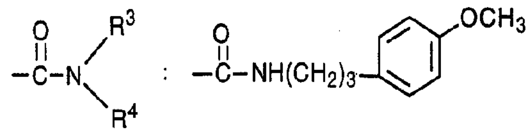
Example 233
Structure:



R^1: H R^2: 

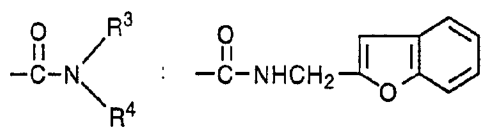
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 157-158
Form: Free

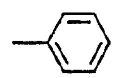
Example 234
Structure:



R^1: H R^2: H
m: 0 n: 0
Crystalline form: Yellow needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 92-93
Form: Free

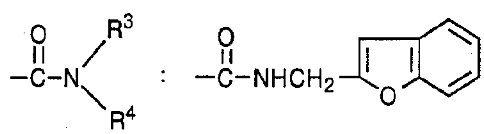
Example 235
Structure:



R^1: H R^2: 

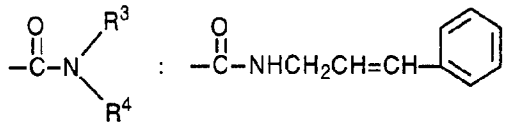
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 173-174
Form: Free

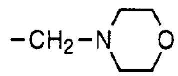
Example 236
Structure:



R^1: 7-Cl R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless flakes
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 160-161
Form: Free

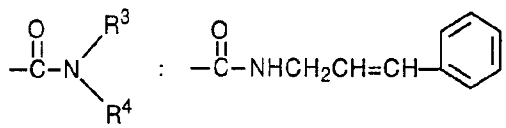
Example 237
Structure:

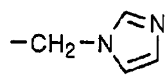


R^1: H R^2: 

m: 0 n: 0
Crystalline form: White powder
Solvent for recrystal.: Ethanol/diethyl ether
M.p. (°C): 156-158 (decomposed)
Form: Oxalate

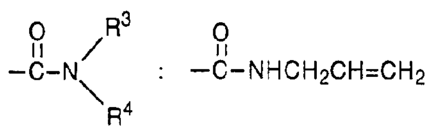
Example 238
Structure:



R^1: H R^2: 

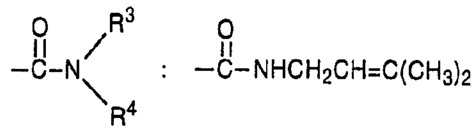
m: 0 n: 0
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 118-119
Form: Free

Example 239
Structure:



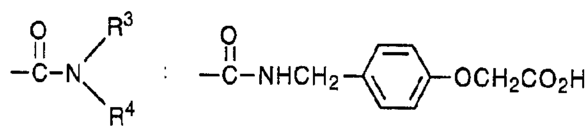
R^1: H R^2: CH_3
m: 1 n: 0
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 116-118
Form: Free

Example 240
Structure:



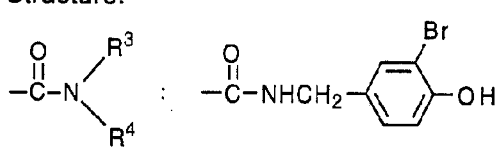
R1: H R2: CH_3
m: 1 n: 0
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 112-113
Form: Free

Example 241
Structure:



R1: H R2: CH_3
m: 0 n: 0
Crystalline form: White powder
Form: Free

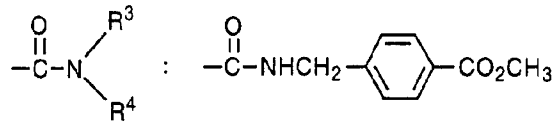
Example 242
Structure:



R1: H R2: CH_3
m: 0 n: 0
Crystalline form: Yellow powder
Form: Free

Example 243

Structure:



R^1: H R^2: CH_3

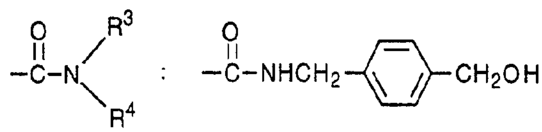
m: 0 n: 0

Crystalline form: White powder

Form: Free

Example 244

Structure:



R^1: H R^2: CH_3

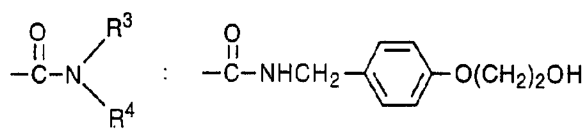
m: 0 n: 0

Crystalline form: Yellow powder

Form: Free

Example 245

Structure:



R^1: H R^2: CH_3

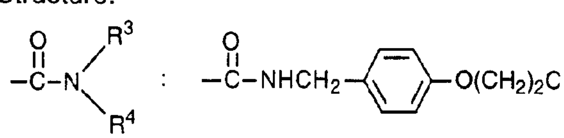
m: 0 n: 0

Crystalline form: Yellow oil

Form: Free

Example 246

Structure:



R^1: H R^2: CH_3

m: 0 n: 0

Crystalline form: Yellow oil

Form: Free

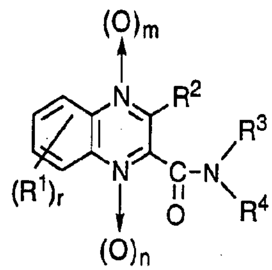
NMR analysis:

Ex. No.	^{1}H-NMR δ ppm:
186	($CDCl_3$); 1.11 (3H, t, J=7 Hz), 1.20 (3H, t, J=7 Hz), 3.17 (3H, s), 3.3 - 3.5 (4H, m), 4.6-4.7 (4H, m), 6.89 (1H, d, J=8 Hz), 7.00 (2H, m), 7.30 (1H, d, J=8 Hz), 7.7-7.9 (2H, m), 8.0-8.1 (2H, m), 8.40 (1H, brs)
187	($CDCl_3$); 1.12 (3H, t, J=7 Hz), 1.21 (3H, t, J=7 Hz), 3.10 (3H, s), 3.3 - 3.5 (4H, m), 4.66 (2H, d, J=7 Hz), 4.68 (2H, s), 6.88 (1H, d, J=8 Hz), 7.0-7.1 (2H, m), 7.29 (1H, d, J=8 Hz), 7.7-7.9 (2H, m), 8.0-8.1 (1H, m), 8.39 (1H, br), 8.5-8.6 (1H, m)
190	($CDCl_3$); 3.17 (3H, s), 3.61 (3H, s), 4.63 (2H, d, J=6 Hz), 4.99 (2H, s), 6.9-7.0 (4H, m), 7.3-7.4 (4H, m), 7.7-7.9 (2H, m), 8.0-8.1 (2H, m), 8.31 (1H, brs)
208	($CDCl_3$); 2.78, 2.79 (3H, s), 2.92, 3.24 (3H, s), 3.98, 4.43 (2H, d, J=6 Hz), 6.10-6.40 (1H, m), 6.40, 6.70 (1H, d, J=16 Hz), 7.20-7.50 (5H, m), 7.70-7.85 (2H, m), 8.00-8.15 (2H, m),
209	($CDCl_3$); 8.56 (1H, m), 8.20 (1H, brt, J=6 Hz), 8.06 (1H, m), 7.77 (2H, m), 7.21 (4H, m), 6.51 (1H, s), 4.22 (2H, d, J=6 Hz), 3.07 (3H, s), 2.90 (1H, sept, J=7 Hz), 1.98 (3H, s), 1.25 (6H, d, J=7 Hz)
245	($CDCl_3$); 2.25 (1H, br), 3.17 (3H, s), 3.9-4.1 (4H, m), 4.63 (2H, d, J=6 Hz), 6.92 (2H, d, J=7 Hz), 7.34 (2H, d, J=7 Hz), 7.7-7.9 (2H, m), 8.0 - 8.1 (2H, m), 8.34 (1H, br)
52	($CDCl_3$); 3.09 (3H, s), 3.40-3.55 (2H, m), 3.55-3.85 (6H, m), 3.90 (2H, s), 4.78 (2H, d, J=6 Hz), 6.60 (1H, s), 6.65 (1H, d, J=7 Hz), 6.67 (1H, s), 7.28 (1H, d, J=7 Hz), 7.75-7.85 (2H, m), 8.05-8.15 (1H, m), 8.47 (1H, brs), 8.50-8.60 (1H, m)
104	($CDCl_3$); 8.05 (3H, m), 7.78 (2H, m), 7.25 (5H, m), 3.56 (2H, q, J=7 Hz), 3.14 (3H, s), 2.77 (2H, t, J=7 Hz), 2.04 (2H, qui, J=7 Hz)
106	($CDCl_3$); 8.05 (3H, m), 7.78 (2H, m), 7.15 (2H, d, J=9 Hz), 6.84 (2H, d, J=9 Hz), 3.76 (3H, s), 3.54 (2H, q, J=7 Hz), 3.14 (3H, s), 2.72 (2H, t, J=7 Hz), 2.00 (2H, qui, J=7 Hz)

107	($CDCl_3$); 8.03 (3H, m), 7.79 (2H, m), 7.11 (2H, d, J=9 Hz), 6.69 (2H, d, J=9 Hz), 3.54 (2H, q, J=7 Hz), 3.14 (3H, s), 2.88 (6H, s), 2.69 (2H, t, J=7 Hz), 1.99 (2H, qui, J=7 Hz)
111	($CDCl_3$); 1.62 (3H, s), 1.69 (3H, s), 1.77 (3H, s), 2.0-2.2 (4H, m), 3.15 (3H, s), 4.12 (2H, t, J=6 Hz), 5.11 (1H, br), 5.36 (1H, br), 7.7-8.2 (4H, m), 7.92 (1H, br)
135	(DMSO-d_6); 1.75-1.90 (2H, m), 2.54 (2H, t, J=7 Hz), 2.63 (3H, s), 2.83 (6H, s), 3.25-3.35 (2H, m), 6.67 (2H, d, J=9 Hz), 7.06 (2H, d, J=9 Hz), 7.85-7.96 (2H, m), 8.10-8.20 (1H, m), 8.40-8.50 (1H, m), 8.87 (1H, brs)
246	($CDCl_3$); 3.17 (3H, s), 3.82 (2H, t, J=6 Hz), 4.24 (2H, t, J=6 Hz), 4.64 (2H, d, J=6 Hz), 6.93 (2H, d, J=9 Hz), 7.35 (2H, d, J=9 Hz), 7.7-7.9 (2H, m), 8.0-8.1 (2H, m), 8.33 (1H, br)
241	(DMSO-d_6); 2.83 (3H, s), 4.48 (2H, d, J=6 Hz), 4.66 (2H, s), 6.91 (2H, d, J=9 Hz), 7.33 (2H, d, J=9 Hz), 7.8-8.0 (2H, m), 8.0-8.2 (2H, m), 9.33 (1H, t, J=6 Hz)
242	($CDCl_3$); 3.17 (3H, s), 4.61 (2H, d, J=6 Hz), 5.91 (1H, brs), 7.00 (1H, d, J=8 Hz), 7.26 (1H, dd, J=2 Hz, J=8 Hz), 7.52 (1H, d, J=2 Hz), 7.7 - 7.9 (2H, m), 8.0-8.1 (2H, m), 8.40 (1H, brs)
243	($CDCl_3$); 3.17 (3H, s), 3.92 (3H, s), 4.77 (2H, d, J=6 Hz), 7.48 (2H, d, J=8 Hz), 7.7-7.9 (2H, m), 8.0-8.1 (2H, m), 8.04 (2H, d, J=8 Hz), 8.51(1H, brs)
244	($CDCl_3$); 1.75 (1H, t, J=6 Hz), 4.70 (4H, d, J=6 Hz), 7.3-7.5 (4H, m), 7.7-7.9 (2H, m), 8.0-8.1 (2H, m), 8.39 (1H, brs)

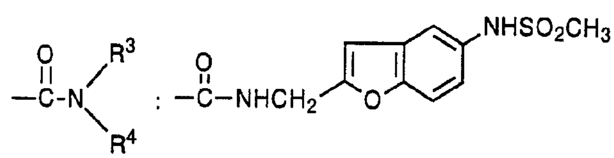
Using the suitable starting compounds, there are obtained the compounds as listed in Table 6 in the same manner as in Examples 1 to 4.

Table 6



Example 247

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow powder

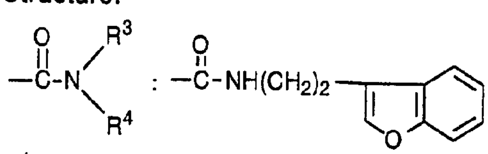
Solvent for recrystal.: Ethanol

M.p. (°C): 206-208

Form: Free

Example 248

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

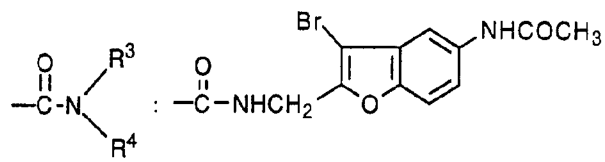
Crystalline form: White powder

Solvent for recrystal.: Ethanol

M.p. (°C): 142-144

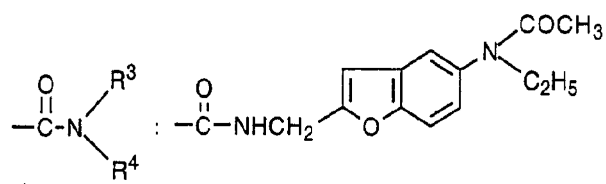
Form: Free

Example 249
Structure:



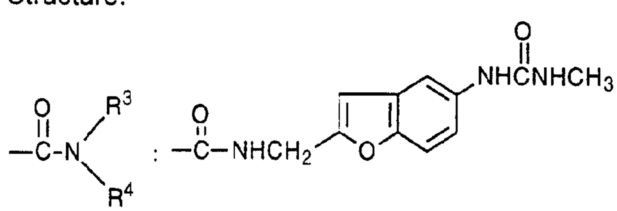
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 213-214
Form: Free

Example 250
Structure:



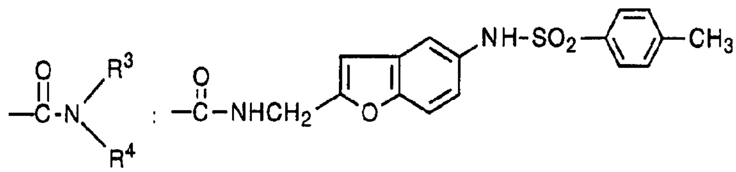
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless granules
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 160-162
Form: Free

Example 251
Structure:



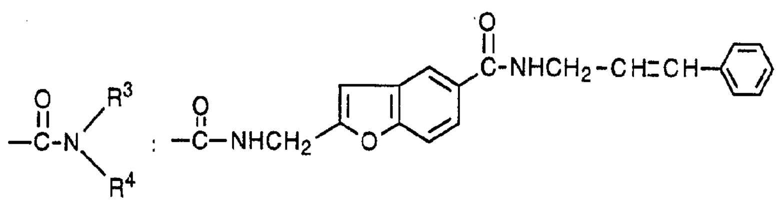
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale brown powder
M.p. (°C): 235-236 (decomposed)
Form: Free

Example 252
Structure:



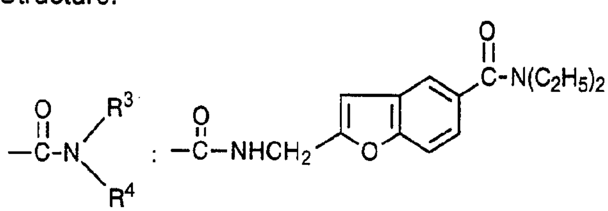
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale brown powder
Solvent for recrystal.: Ethanol
M.p. (°C): 198-200
Form: Free

Example 253
Structure:



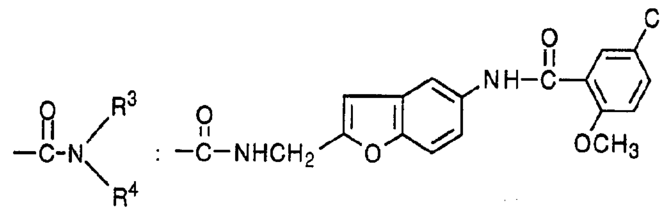
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: 2-Propanol
M.p. (°C): 140-141
Form: Free

Example 254
Structure:



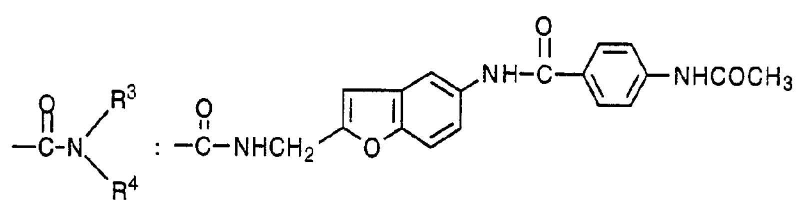
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 185-190
Form: Free

Example 255
Structure:



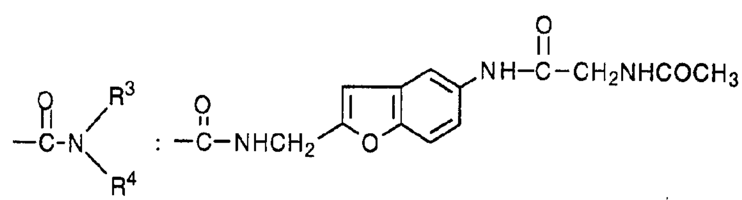
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 197-198
Form: Free

Example 256
Structure:



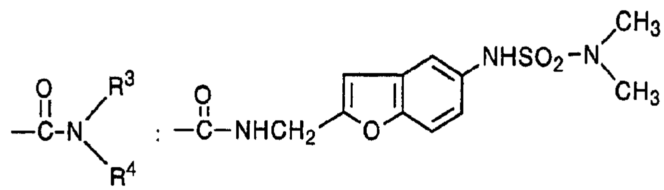
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow granules
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 255-256 (decomposed)
Form: Free

Example 257
Structure:



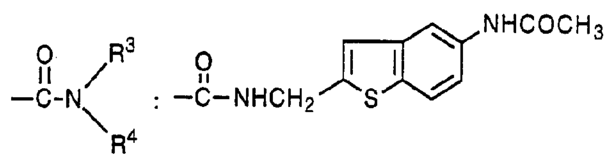
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethanol
M.p. (°C): 230-231 (decomposed)
Form: Free

Example 258
Structure:



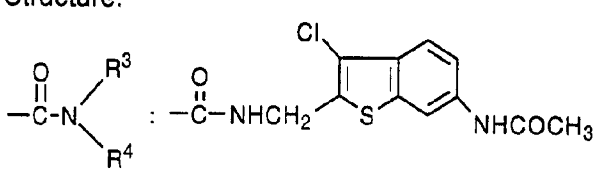
R¹: H R²: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale brown powder
Solvent for recrystal.: Ethanol
M.p. (°C): 142-146
Form: Free

Example 259
Structure:



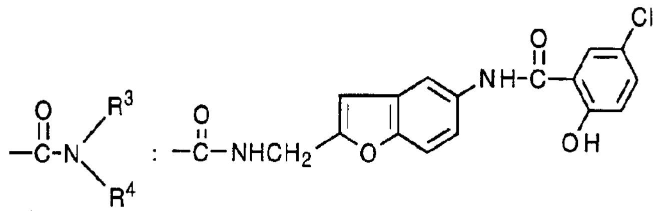
R¹: H R²: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Ethanol/water
M.p. (°C): 227-228
Form: Free

Example 260
Structure:



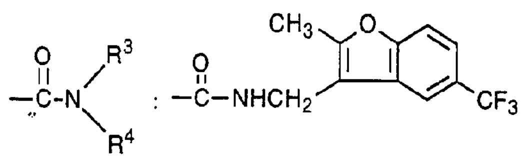
R¹: H R²: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 248-250 (decomposed)
Form: Free

Example 261
Structure:



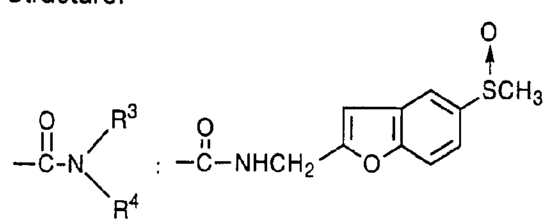
R^1: H R^2: CH_3
m: 0   n: 0   r: 1
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 234-236
Form: Free

Example 262
Structure:



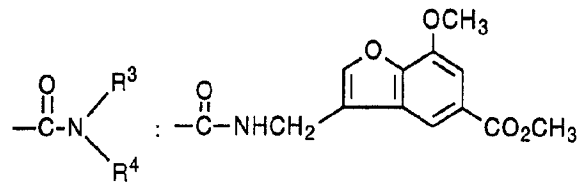
R^1: H R^2: CH_3
m: 1   n: 0   r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 193-194
Form: Free

Example 263
Structure:



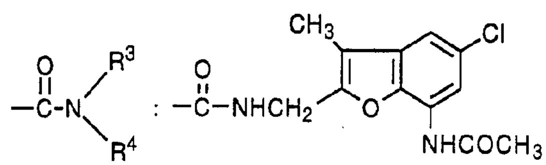
R^1: H R^2: CH_3
m: 1   n: 0   r: 1
Crystalline form: White powder
Solvent for recrystal.: Ethanol
M.p. (°C): 166-167
Form: Free

Example 264
Structure:



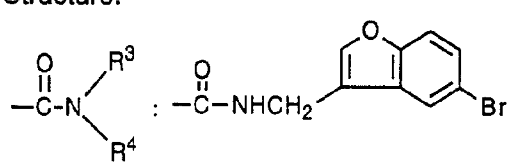
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Acetonitrile
M.p. (°C): 212-213
Form: Free

Example 265
Structure:



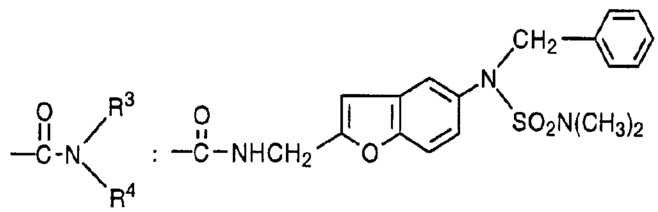
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethanol
M.p. (°C): 246-247 (decomposed)
Form: Free

Example 266
Structure:



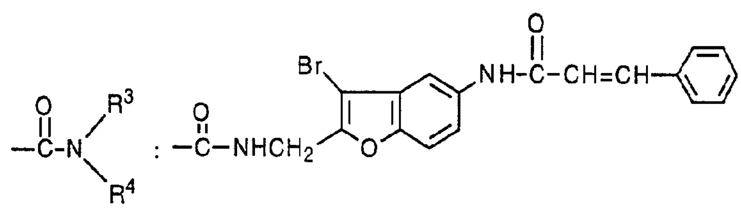
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 197-198
Form: Free

Example 267
Structure:



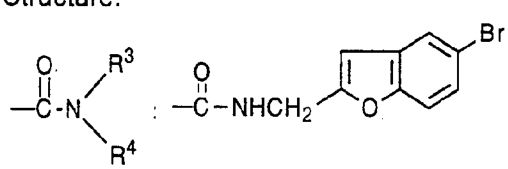
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow amorphous
Form: Free

Example 268
Structure:



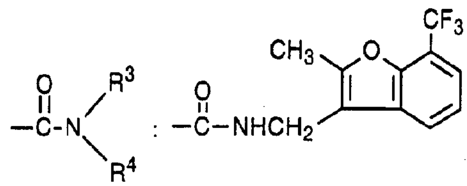
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Acetonitrile
M.p. (°C): 235-236 (decomposed)
Form: Free

Example 269
Structure:



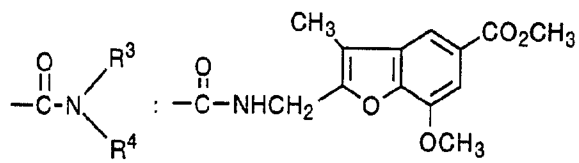
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 143-147
Form: Free

Example 270
Structure:



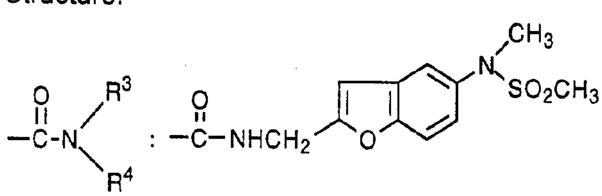
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 175-177
Form: Free

Example 271
Structure:



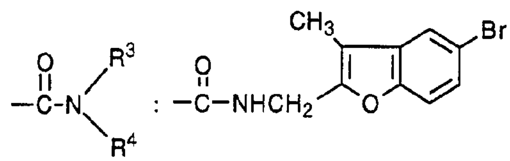
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Acetonitrile
M.p. (°C): 226-227
Form: Free

Example 272
Structure:



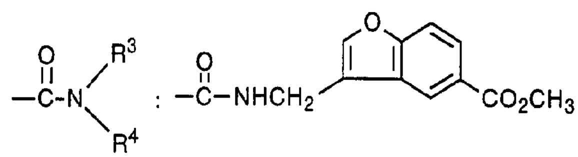
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Ethanol
M.p. (°C): 139-144
Form: Free

Example 273
Structure:



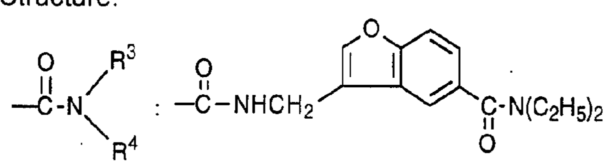
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow granules
Solvent for recrystal.: Ethanol
M.p. (°C): 184-187
Form: Free

Example 274
Structure:



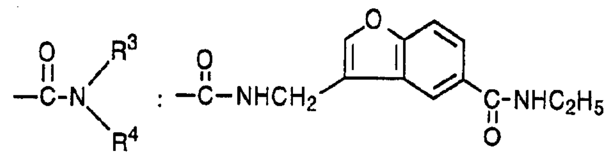
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 183-185
Form: Free

Example 275
Structure:



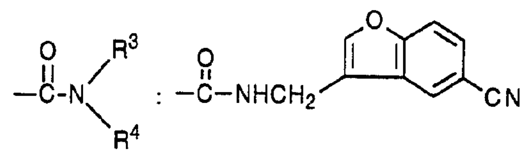
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Diethyl ether
M.p. (°C): 118-120
Form: Free

Example 276
Structure:



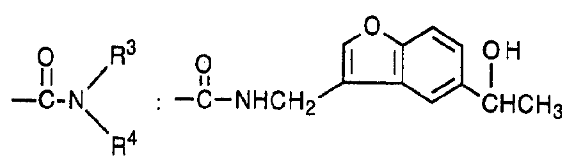
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 217-218
Form: Free

Example 277
Structure:



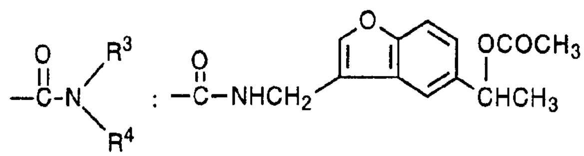
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 213-214
Form: Free

Example 278
Structure:



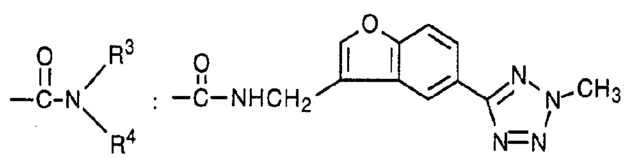
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless prisms
Solvent for recrystal.: Ethanol
M.p. (°C): 138-140
Form: Free

Example 279
Structure:



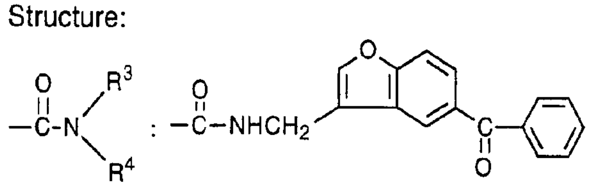
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 121-122
Form: Free

Example 280
Structure:



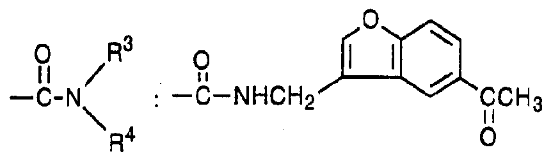
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 247-248
Form: Free

Example 281
Structure:



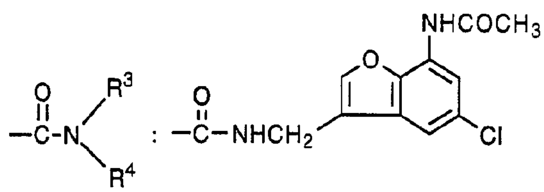
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Ethanol
M.p. (°C): 178-180
Form: Free

Example 282
Structure:



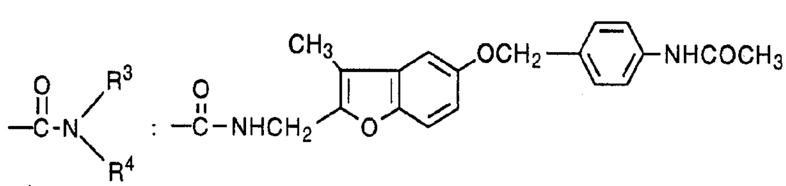
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless granules
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 190-191
Form: Free

Example 283
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 238-240 (decomposed)
Form: Free

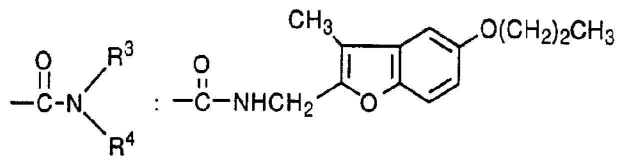
Example 284
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 221-222
Form: Free

Example 285

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Colorless needles

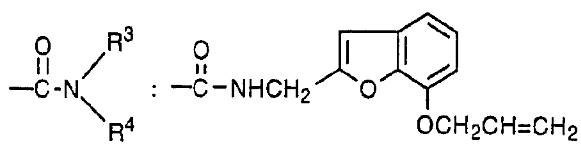
Solvent for recrystal.: n-Hexane/ethyl acetate

M.p. (°C): 137-138

Form: Free

Example 286

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Colorless needles

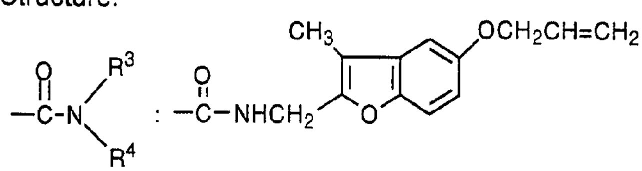
Solvent for recrystal.: Ethanol

M.p. (°C): 144-145

Form: Free

Example 287

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

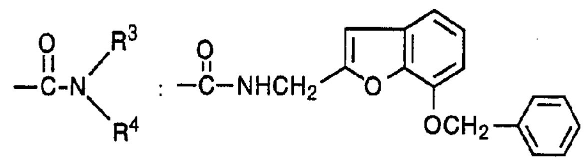
Crystalline form: Yellow needles

Solvent for recrystal.: Ethanol

M.p. (°C): 70-73

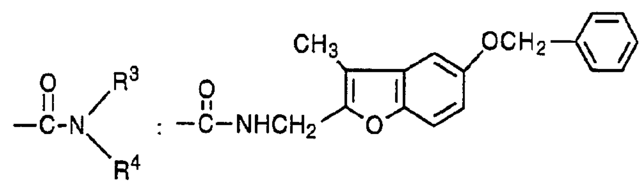
Form: Free

Example 288
Structure:



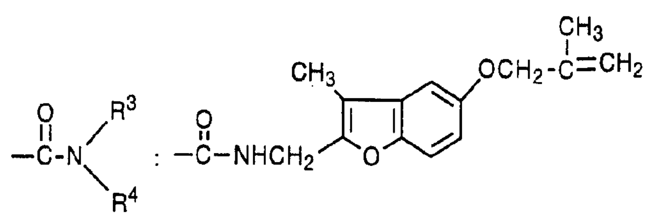
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 189-190
Form: Free

Example 289
Structure:



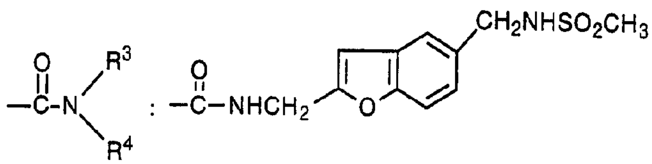
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 145-147
Form: Free

Example 290
Structure:



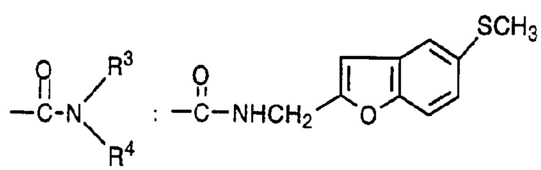
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 134-135
Form: Free

Example 291
Structure:



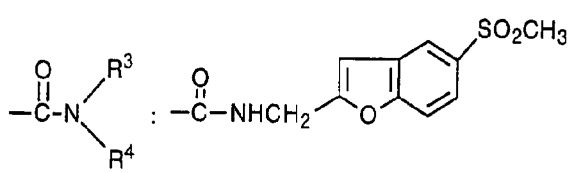
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless granules
Solvent for recrystal.: Ethanol
M.p. (°C): 174-176
Form: Free

Example 292
Structure:



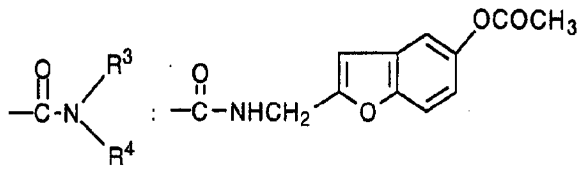
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 160-162
Form: Free

Example 293
Structure:



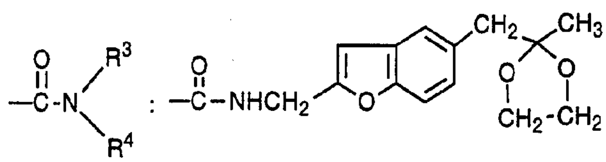
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 196-197
Form: Free

Example 294
Structure:



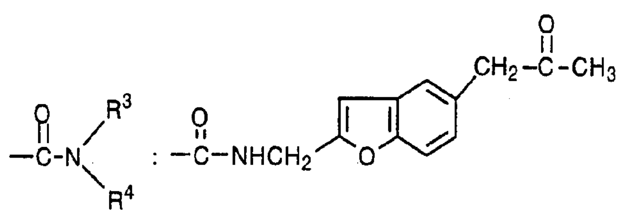
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 156-160
Form: Free

Example 295
Structure:



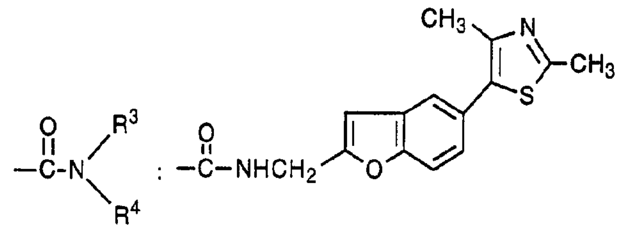
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
M.p. (°C): 129-131
Form: Free

Example 296
Structure:



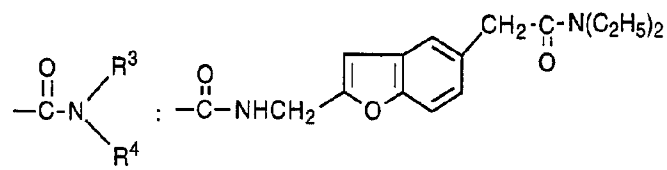
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow needles
Solvent for recrystal.: n-Hexane/ethyl acetate
M.p. (°C): 113-115
Form: Free

Example 297
Structure:



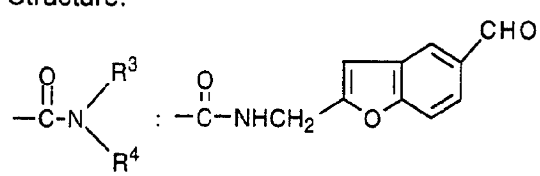
R¹: H R²: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless granules
Solvent for recrystal.: Ethanol
M.p. (°C): 187-191
Form: Free

Example 298
Structure:



R¹: H R²: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless granules
Solvent for recrystal.: Ethanol
M.p. (°C): 145-146
Form: Free

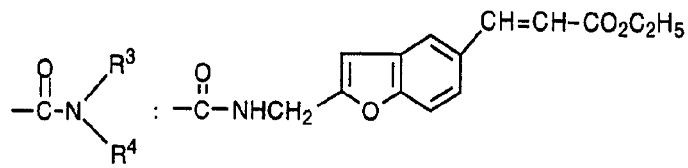
Example 299
Structure:



R¹: H R²: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 184-186
Form: Free

Example 300

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Colorless needles

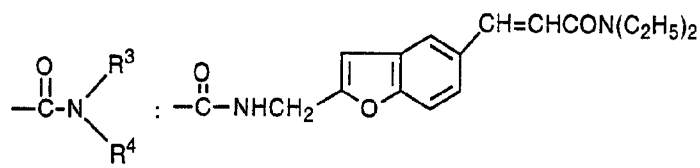
Solvent for recrystal.: Acetonitrile

M.p. (°C): 162-163

Form: Free

Example 301

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Colorless needles

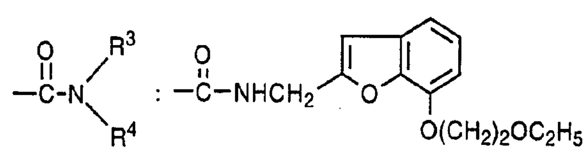
Solvent for recrystal.: Ethanol

M.p. (°C): 179-180

Form: Free

Example 302

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale brown granules

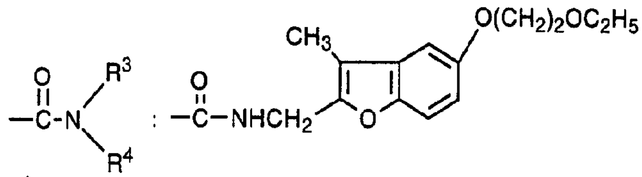
Solvent for recrystal.: Ethanol

M.p. (°C): 120-122

Form: Free

Example 303

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow granules

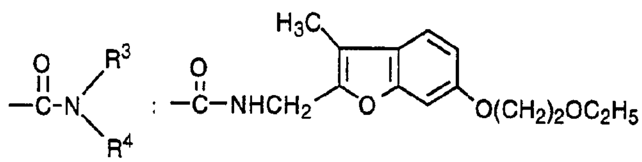
Solvent for recrystal.: Ethanol

M.p. (°C): 103-105

Form: Free

Example 304

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow needles

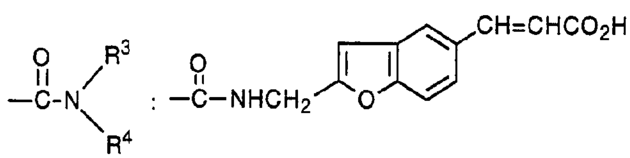
Solvent for recrystal.: Ethanol

M.p. (°C): 123-125

Form: Free

Example 305

Structure:



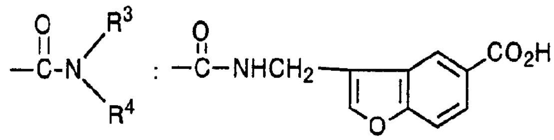
R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow powder

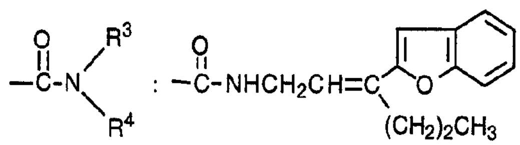
Form: Free

Example 306
Structure:



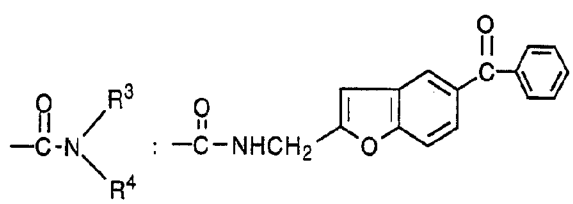
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Form: Free

Example 307
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Diethyl ether
M.p. (°C): 103-105
Form: Free

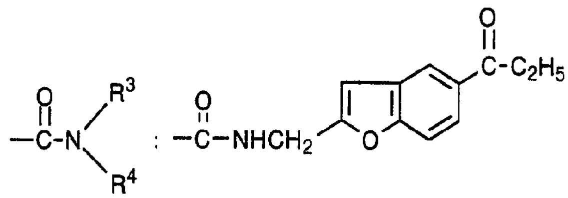
Example 308
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Ethanol
M.p. (°C): 191-193
Form: Free

Example 309

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: White powder

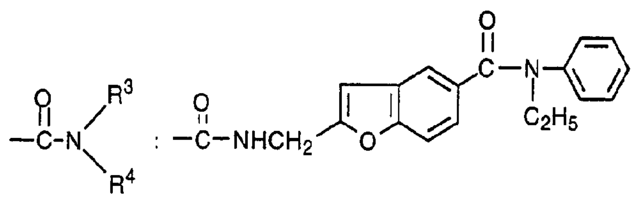
Solvent for recrystal.: Dichloromethane/n-hexane

M.p. (°C): 141.5-143

Form: Free

Example 310

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow prisms

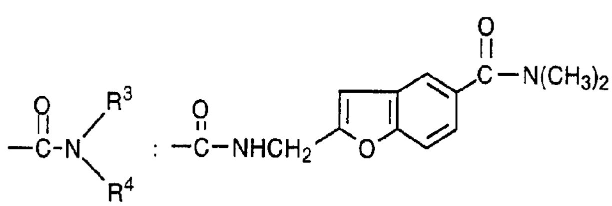
Solvent for recrystal.: Dichloromethane/n-hexane

M.p. (°C): 162-163

Form: Free

Example 311

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow prisms

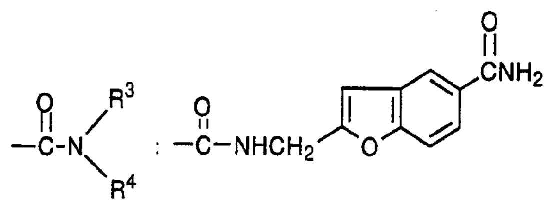
Solvent for recrystal.: Dichloromethane/n-hexane

M.p. (°C): 178-179

Form: Free

Example 312

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow powder

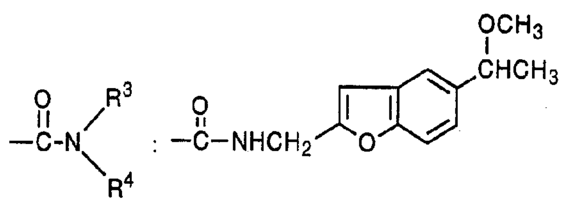
Solvent for recrystal.: Ethanol

M.p. (°C): 230-231

Form: Free

Example 313

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: White powder

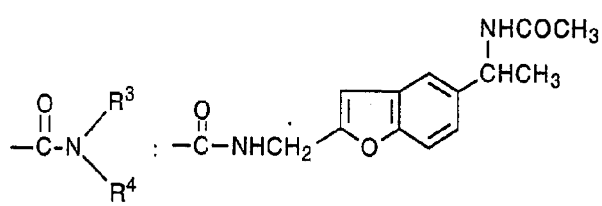
Solvent for recrystal.: Ethanol

M.p. (°C): 124.5-125

Form: Free

Example 314

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

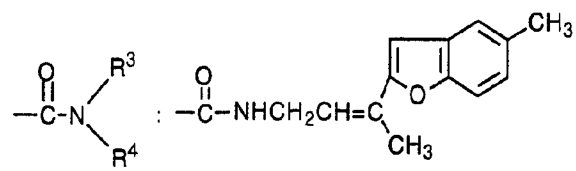
Crystalline form: White powder

Solvent for recrystal.: Dichloromethane/diethyl ether

M.p. (°C): 198-200

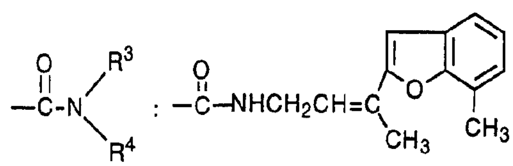
Form: Free

Example 315
Structure:



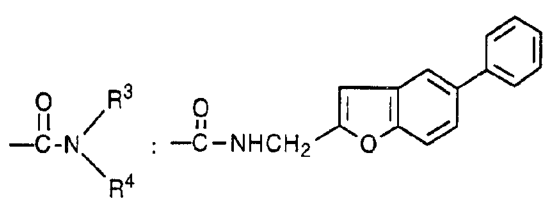
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/ethanol
Form: Free

Example 316
Structure:



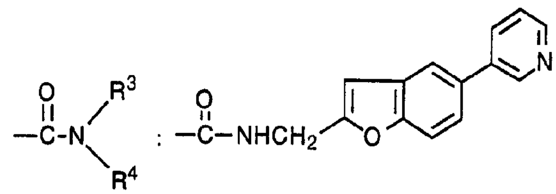
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/ethanol
M.p. (°C): 193.5-196
Form: Free

Example 317
Structure:



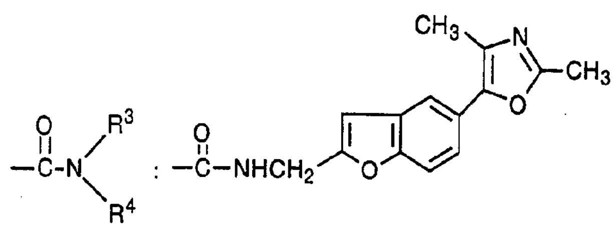
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White flakes
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 203.5-207.5
Form: Free

Example 318
Structure:



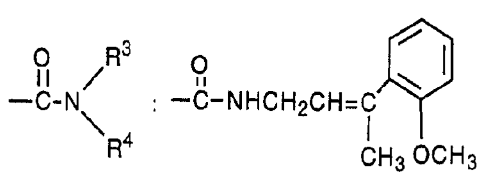
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 162-163
Form: Free

Example 319
Structure:



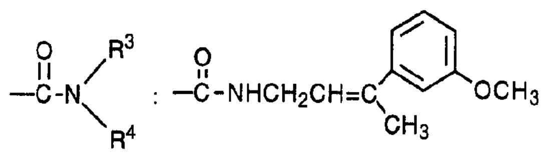
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 191-193
Form: Free

Example 320
Structure:



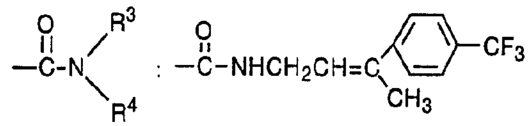
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 119-120
Form: Free

Example 321
Structure:



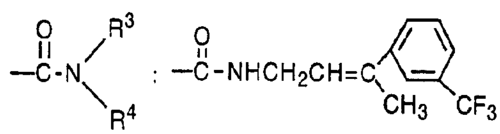
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow flakes
Solvent for recrystal.: Dichloromethane/diethyl ether
M.p. (°C): 86-88
Form: Free

Example 322
Structure:



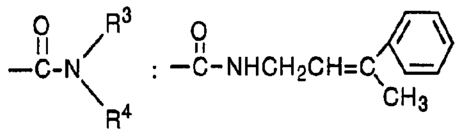
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White flakes
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 140-142
Form: Free

Example 323
Structure:



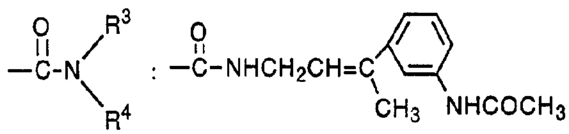
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 115-117
Form: Free

Example 324
Structure:



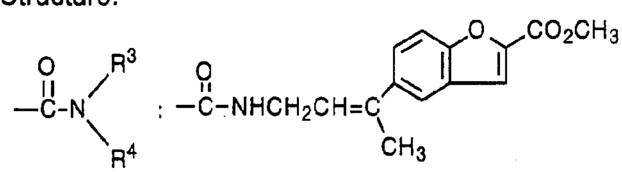
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 129-133
Form: Free

Example 325
Structure:



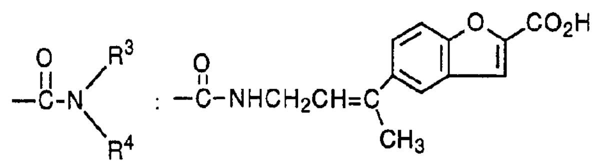
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/diethyl ether
M.p. (°C): 177-179
Form: Free

Example 326
Structure:



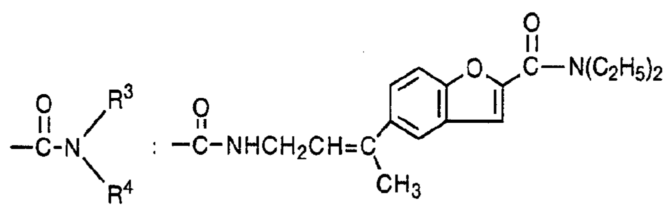
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 144-146
Form: Free

Example 327
Structure:



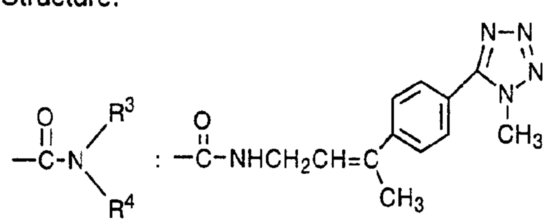
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Form: Free

Example 328
Structure:



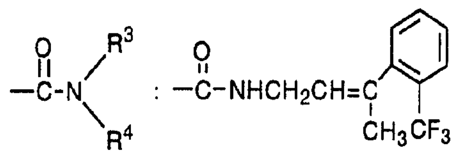
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White amorphous
Form: Free

Example 329
Structure:



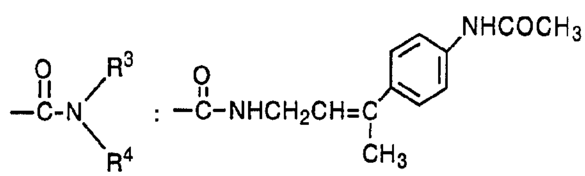
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 173-174
Form: Free

Example 330
Structure:



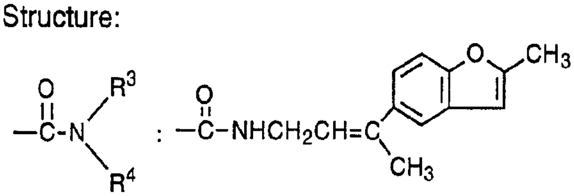
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 173-175
Form: Free

Example 331
Structure:



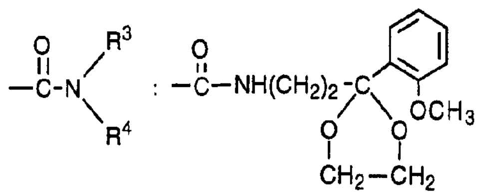
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 193-196
Form: Free

Example 332
Structure:



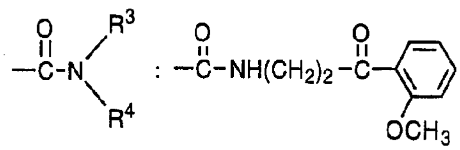
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 100-101
Form: Free

Example 333
Structure:



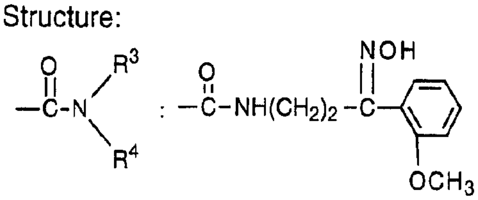
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 151-152
Form: Free

Example 334
Structure:



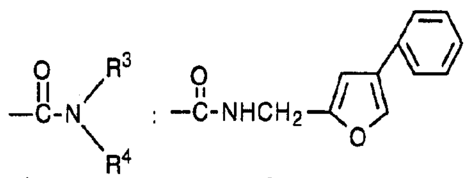
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 141-142
Form: Free

Example 335
Structure:



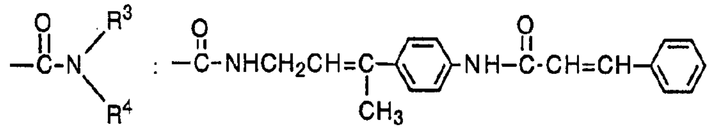
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White amorphous
Form: Free

Example 336
Structure:



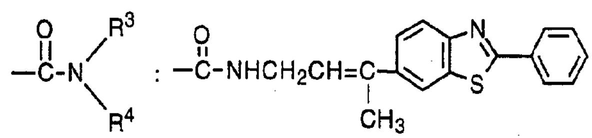
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 143.5-145
Form: Free

Example 337
Structure:



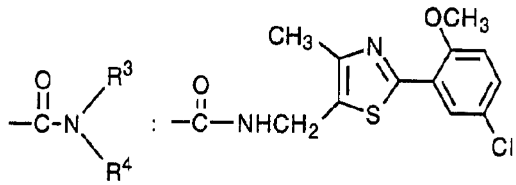
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 217-219
Form: Free

Example 338
Structure:



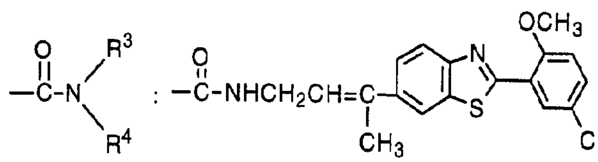
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale brown powder
Solvent for recrystal.: Ethanol
M.p. (°C): 166-168
Form: Free

Example 339
Structure:



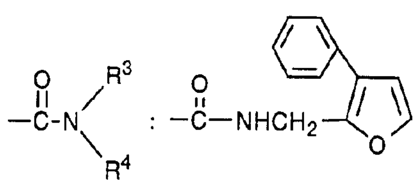
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 223-228
Form: Free

Example 340
Structure:



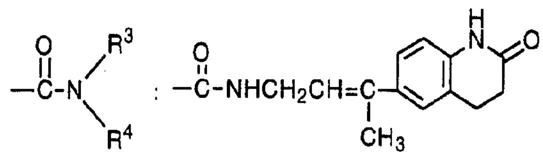
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Dichloromethane/diethyl ether
M.p. (°C): 228-230
Form: Free

Example 341
Structure:



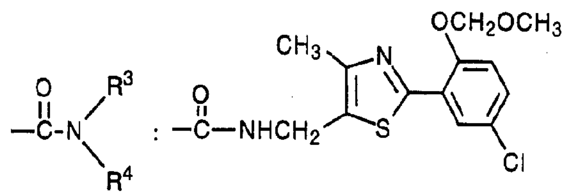
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 150-151
Form: Free

Example 342
Structure:



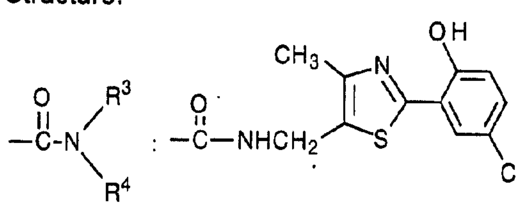
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Ethanol
M.p. (°C): 237-239
Form: Free

Example 343
Structure:



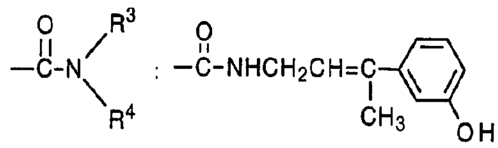
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 180-205
Form: Free

Example 344
Structure:



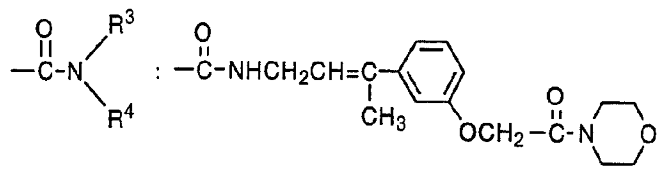
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Methanol/dichloromethane/n-hexane
M.p. (°C): 240-243
Form: Free

Example 345
Structure:



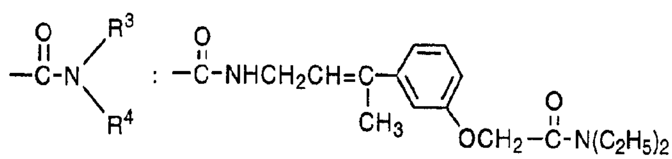
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White flakes
Solvent for recrystal.: Methanol/dichloromethane/n-hexane
M.p. (°C): 182.5-186
Form: Free

Example 346
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow amorphous
Form: Free

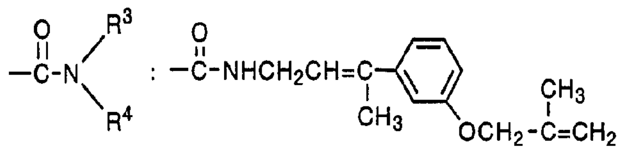
Example 347
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White amorphous
Form: Free

Example 348

Structure:



R^1: H R^2: CH_3

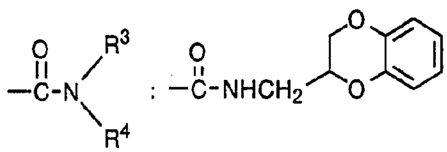
m: 1 n: 0 r: 1

Crystalline form: Pale yellow oil

Form: Free

Example 349

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: White flakes

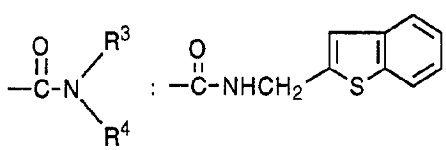
Solvent for recrystal.: Dichloromethane/n-hexane

M.p. (°C): 178.5-180

Form: Free

Example 350

Structure:



R^1: H R^2: $-CH_2F$

m: 1 n: 0 r: 1

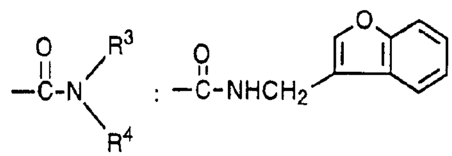
Crystalline form: Pale yellow needles

Solvent for recrystal.: Dichloromethane/n-hexane

M.p. (°C): 153-155

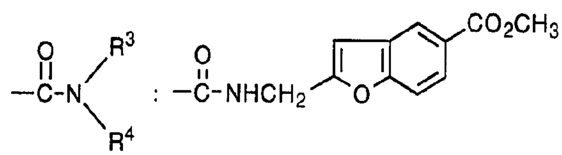
Form: Free

Example 351
Structure:



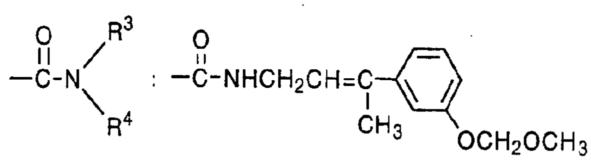
R^1: H R^2: $-CH_2F$
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 126-131
Form: Free

Example 352
Structure:



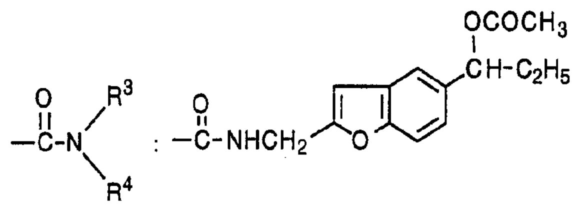
R^1: H R^2: $-CH_2F$
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 111-114
Form: Free

Example 353
Structure:



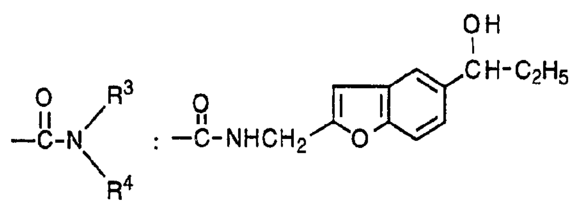
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless oil
Form: Free

Example 354
Structure:



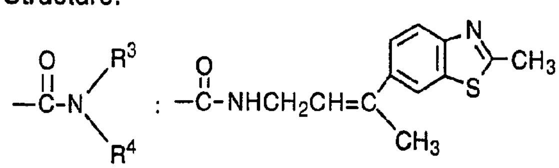
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow amorphous
Form: Free

Example 355
Structure:



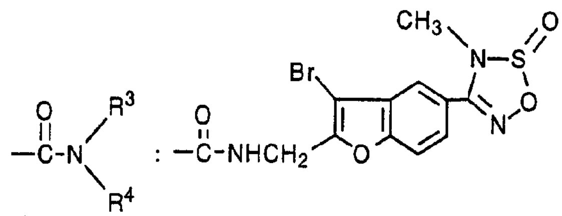
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow amorphous
Form: Free

Example 356
Structure:



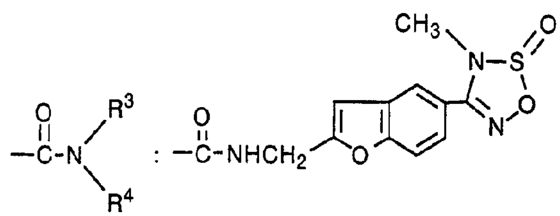
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 124.5-128
Form: Free

Example 357
Structure:



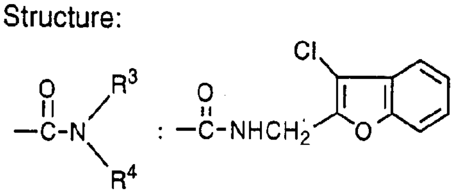
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 129-132
Form: Free

Example 358
Structure:



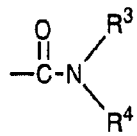
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White needles
Solvent for recrystal.: Dichloromethane/n-hexane
M.p. (°C): 149-150
Form: Free

Example 359
Structure:

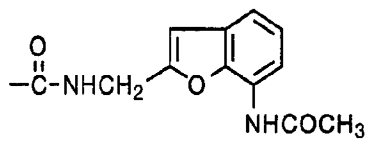


R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 151-153
Form: Free

Example 360
Structure:

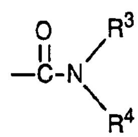


:

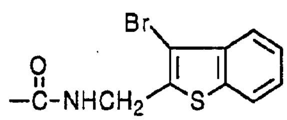


R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 237-238
Form: Free

Example 361
Structure:

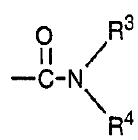


:

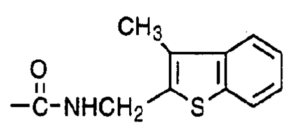


R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow flakes
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 160-161
Form: Free

Example 362
Structure:

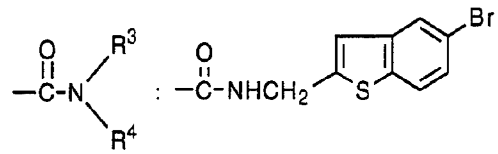


:



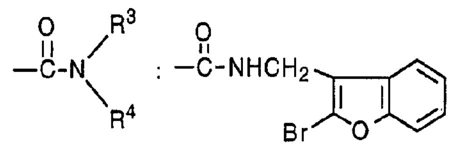
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 169-170
Form: Free

Example 363
Structure:



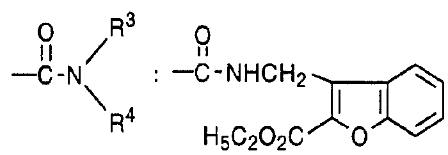
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 190-191
Form: Free

Example 364
Structure:



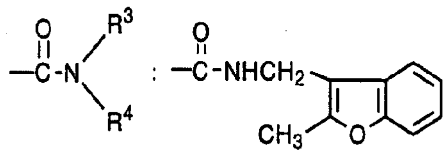
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 180-181
Form: Free

Example 365
Structure:



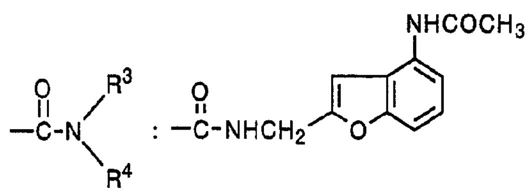
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless granules
Solvent for recrystal.: Acetone
M.p. (°C): 173-174
Form: Free

Example 366
Structure:



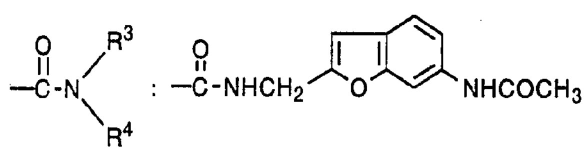
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 203-204
Form: Free

Example 367
Structure:



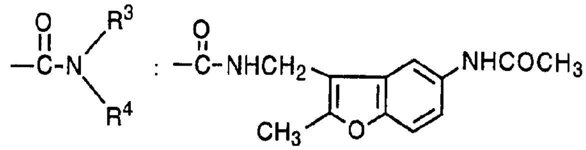
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 250-251
Form: Free

Example 368
Structure:



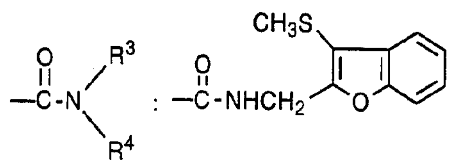
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 246-247
Form: Free

Example 369
Structure:



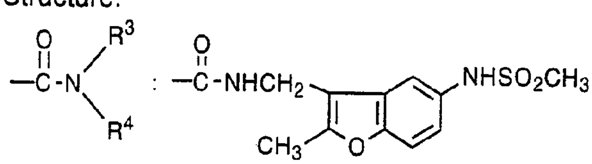
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 247-248
Form: Free

Example 370
Structure:



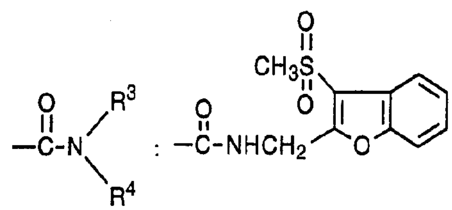
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 160-161
Form: Free

Example 371
Structure:



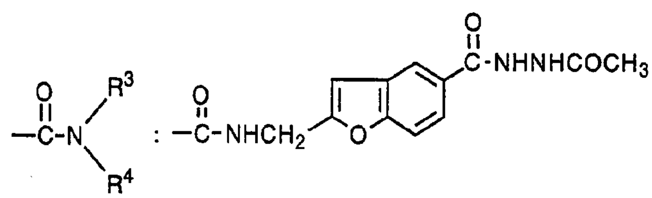
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale brown prisms
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 214-215
Form: Free

Example 372
Structure:



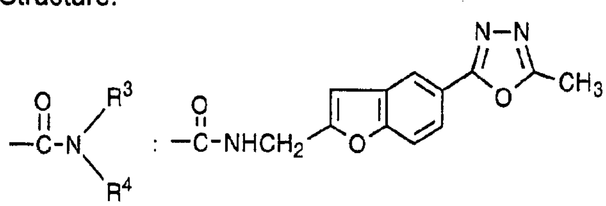
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless prisms
Solvent for recrystal.: Acetone
M.p. (°C): 202-203
Form: Free

Example 373
Structure:



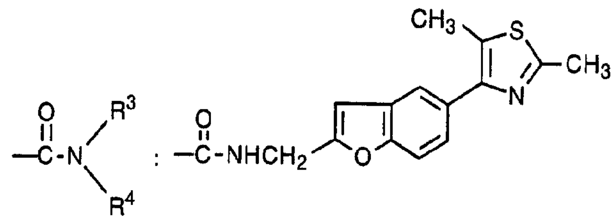
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Methanol
M.p. (°C): 254-255
Form: Free

Example 374
Structure:



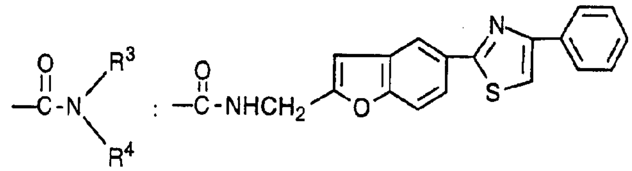
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 201-203
Form: Free

Example 375
Structure:



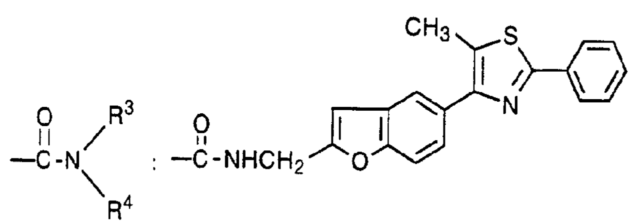
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 161-162
Form: Free

Example 376
Structure:



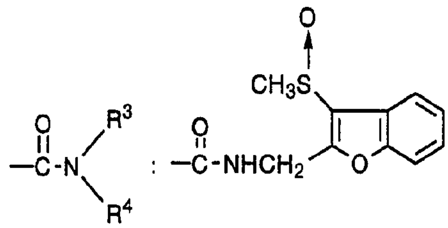
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 197-198
Form: Free

Example 377
Structure:



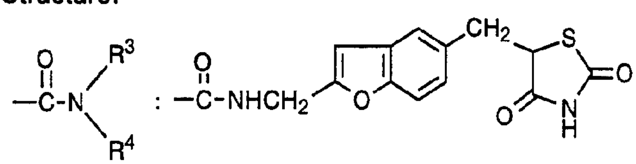
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 171-172
Form: Free

Example 378
Structure:



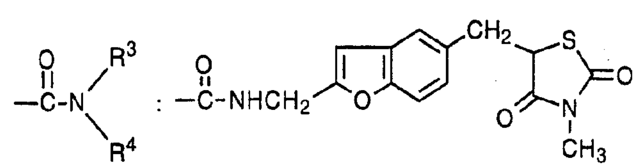
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 108-109
Form: Free

Example 379
Structure:



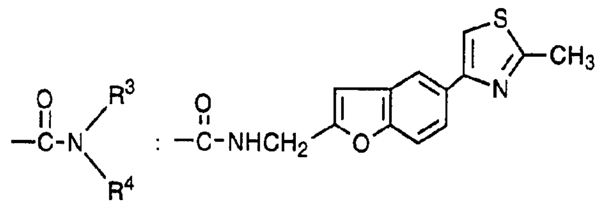
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 117-120
Form: Free

Example 380
Structure:



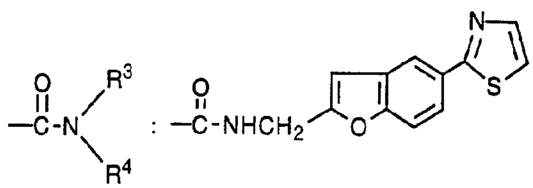
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow amorphous
M.p. (°C): 97-100
Form: Free

Example 381
Structure:



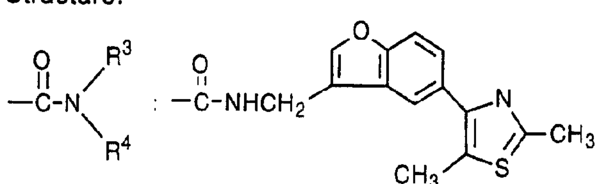
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 148-149
Form: Free

Example 382
Structure:



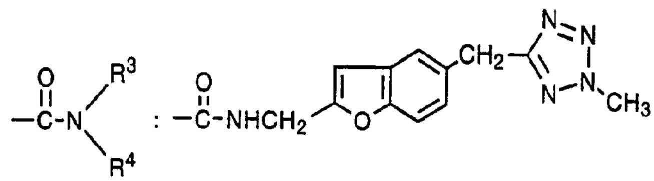
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Acetone/n-hexane
M.p. (°C): 156-157
Form: Free

Example 383
Structure:



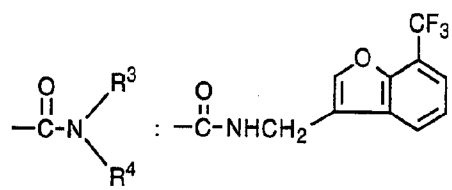
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 159-160
Form: Free

Example 384
Structure:



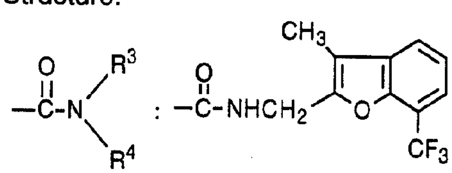
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Acetone/n-hexane
M.p. (°C): 177-178
Form: Free

Example 385
Structure:



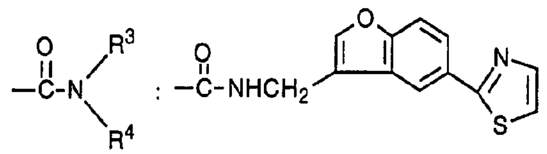
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 181-182
Form: Free

Example 386
Structure:



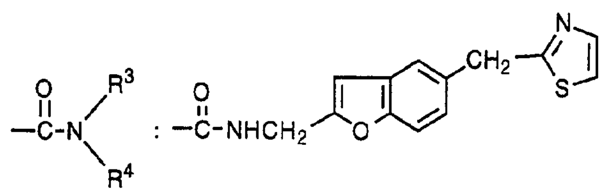
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 196-197
Form: Free

Example 387
Structure:



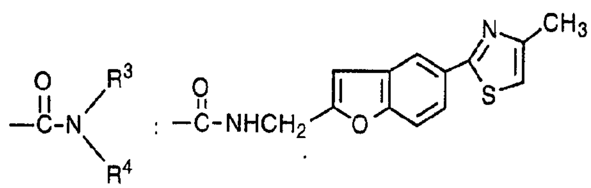
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 186-187
Form: Free

Example 388
Structure:



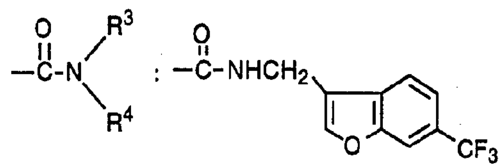
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Chloroform/n-hexane
M.p. (°C): 175-176
Form: Free

Example 389
Structure:



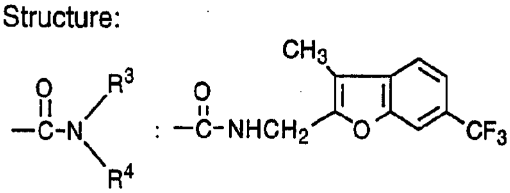
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 136-138
Form: Free

Example 390
Structure:



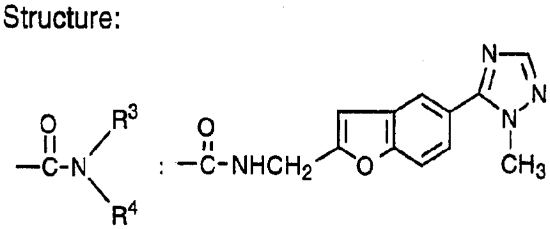
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 173-174
Form: Free

Example 391
Structure:



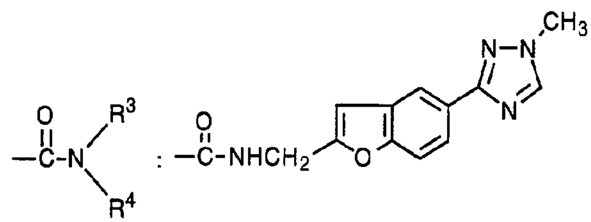
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless flakes
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 186-187
Form: Free

Example 392
Structure:



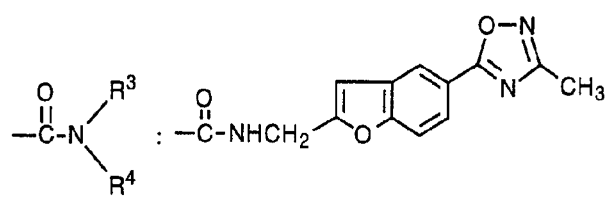
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow flakes
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 197-198
Form: Free

Example 393
Structure:



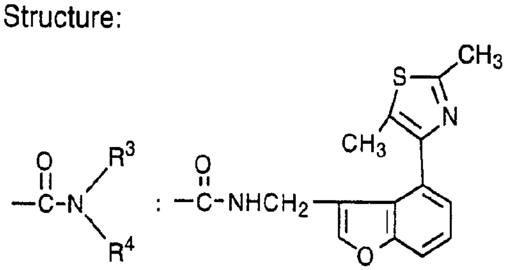
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow flakes
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 230-231
Form: Free

Example 394
Structure:



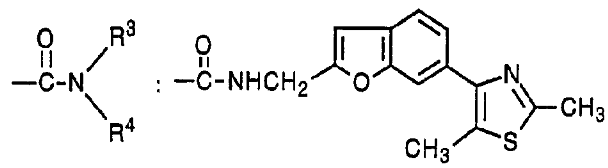
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 225-226
Form: Free

Example 395
Structure:



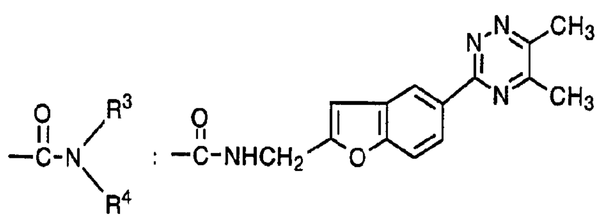
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 196-197
Form: Free

Example 396
Structure:



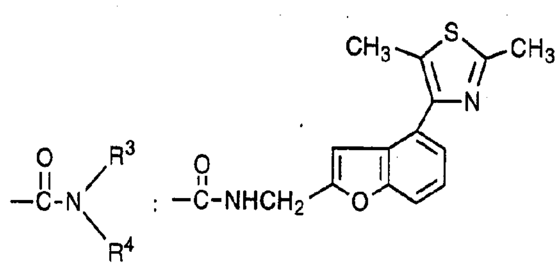
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 160-161
Form: Free

Example 397
Structure:



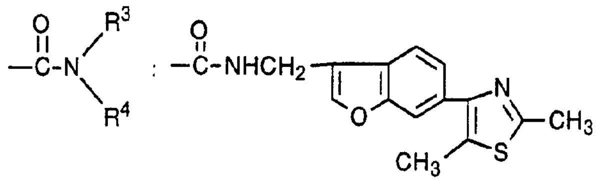
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 218-220 (decomposed)
Form: Free

Example 398
Structure:



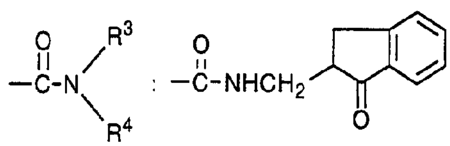
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 168-169
Form: Free

Example 399
Structure:



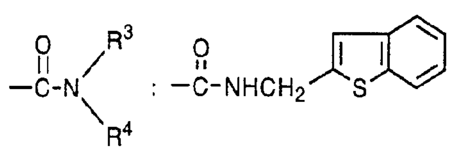
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow prisms
Solvent for recrystal.: Ethanol
M.p. (°C): 202-203
Form: Free

Example 400
Structure:



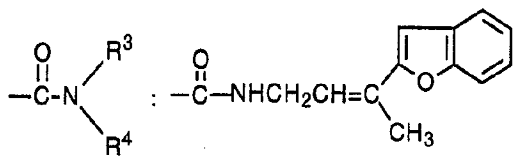
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 159-160
Form: Free

Example 401
Structure:



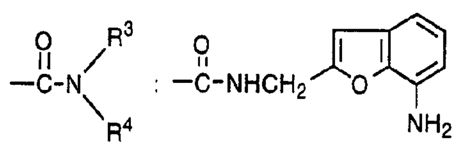
R^1: 6- and 7-CH_3 R^2: CH_3
m: 1 n: 0 r: 2
Crystalline form: Brown needles
Solvent for recrystal.: Chloroform/n-hexane
M.p. (°C): 207-208
Form: Free

Example 402
Structure:



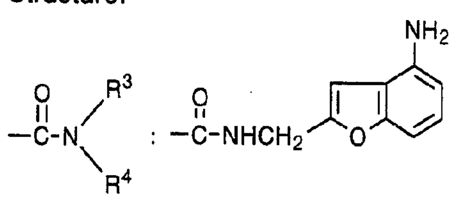
R^1: 6- and 7-CH_3 R^2: CH_3
m: 1 n: 0 r: 2
Crystalline form: Brown flakes
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 189-190
Form: Free

Example 403
Structure:



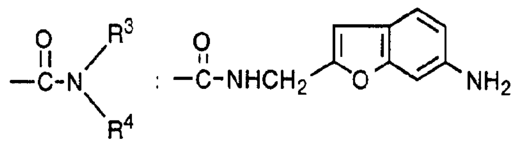
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Form: Free

Example 404
Structure:



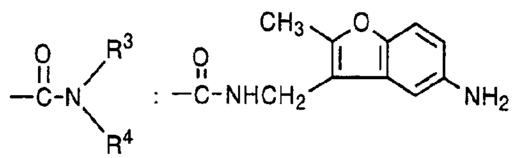
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Form: Free

Example 405
Structure:



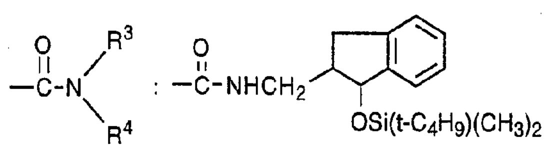
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Orange powder
Form: Free

Example 406
Structure:



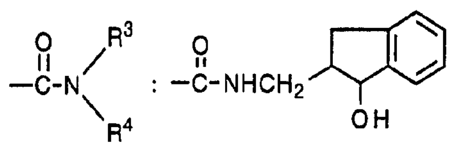
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Orange powder
Form: Free

Example 407
Structure:



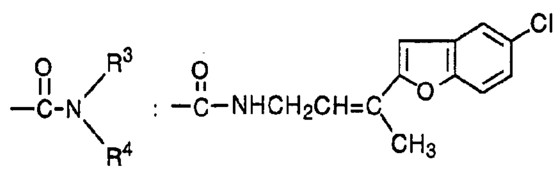
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Form: Free

Example 408
Structure:



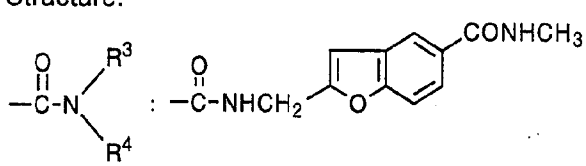
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Form: Free

Example 409
Structure:



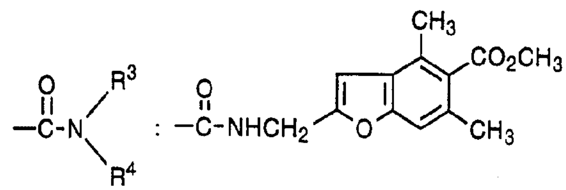
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Brown prisms
Solvent for recrystal.: Ethanol/dimethylformamide
M.p. (°C): 179-180
Form: Free

Example 410
Structure:



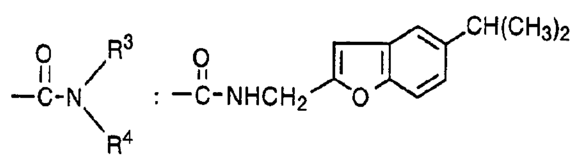
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow prisms
Solvent for recrystal.: Ethanol/dimethylformamide
M.p. (°C): 231-233
Form: Free

Example 411
Structure:



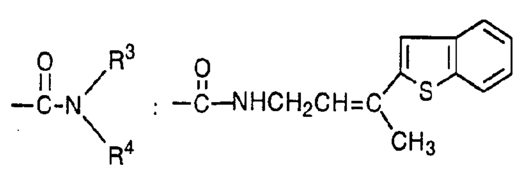
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 203-204
Form: Free

Example 412
Structure:



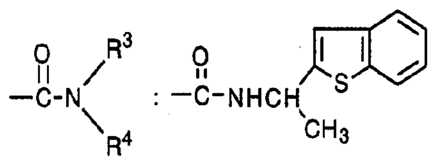
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 129-130
Form: Free

Example 413
Structure:



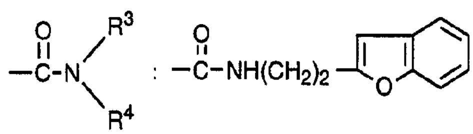
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow prisms
Solvent for recrystal.: Ethanol
M.p. (°C): 177-178
Form: Free

Example 414
Structure:



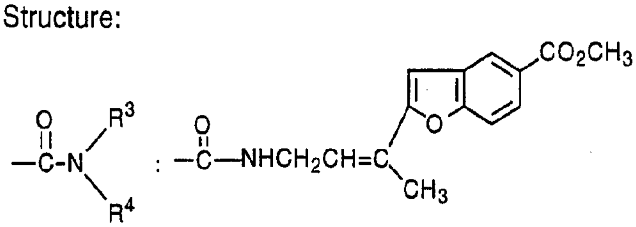
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Ethanol
M.p. (°C): 120-122
Form: Free

Example 415
Structure:



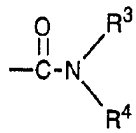
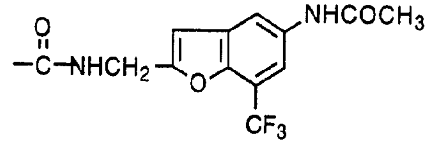
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 142-143
Form: Free

Example 416
Structure:



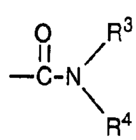
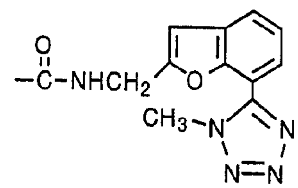
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethanol/dimethylformamide
M.p. (°C): 189-190
Form: Free

Example 417
Structure:


:


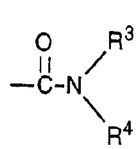
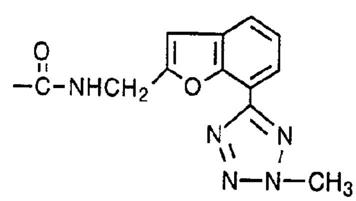
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Dimethylformamide
M.p. (°C): 236-237
Form: Free

Example 418
Structure:


:


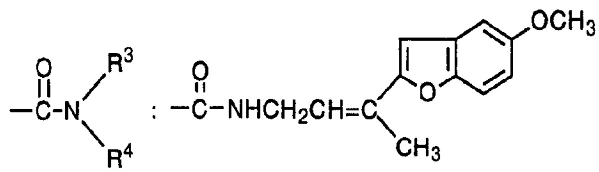
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow prisms
Solvent for recrystal.: Dimethylformamide
M.p. (°C): 229-230
Form: Free

Example 419
Structure:


:


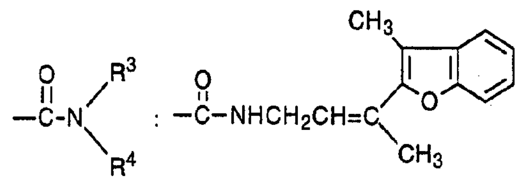
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Ethanol/dimethylformamide
M.p. (°C): 233-234
Form: Free

Example 420
Structure:



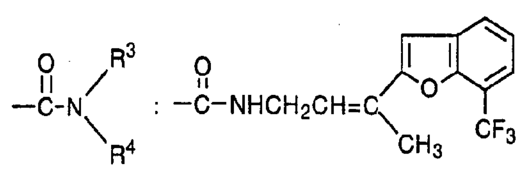
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Brown plates
Solvent for recrystal.: Ethanol/dimethylformamide
M.p. (°C): 196-197
Form: Free

Example 421
Structure:



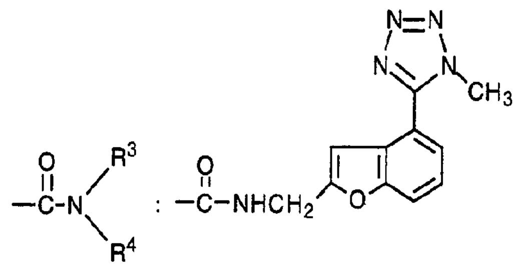
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 163-165
Form: Free

Example 422
Structure:



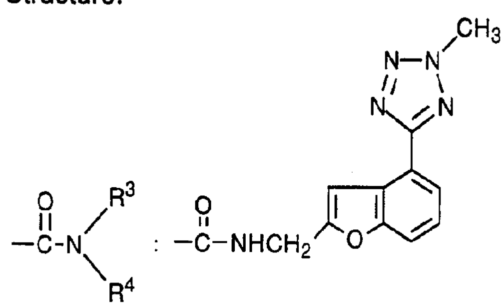
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 213-214
Form: Free

Example 423
Structure:



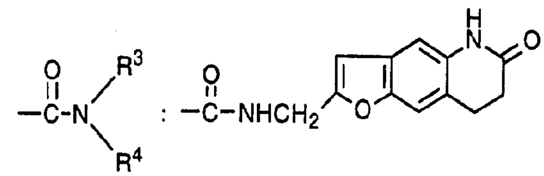
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Ethanol/dimethylformamide
M.p. (°C): 220-221
Form: Free

Example 424
Structure:



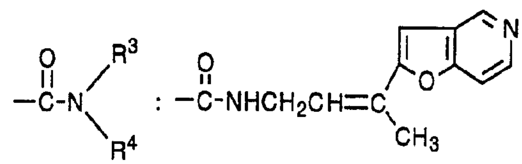
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Dimethylformamide
M.p. (°C): 214-215
Form: Free

Example 425
Structure:



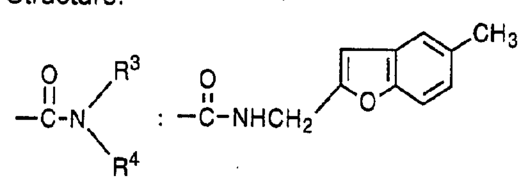
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Dimethylformamide
M.p. (°C): 244 (decomposed)
Form: Free

Example 426
Structure:



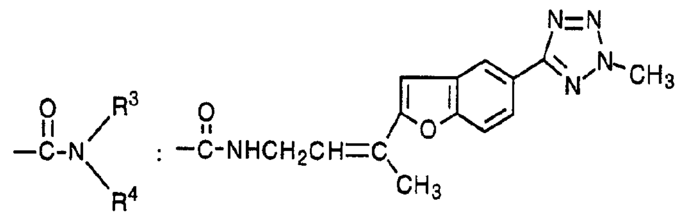
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 186-188
Form: Free

Example 427
Structure:



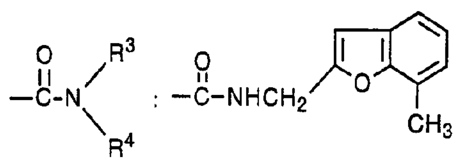
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 174-175
Form: Free

Example 428
Structure:



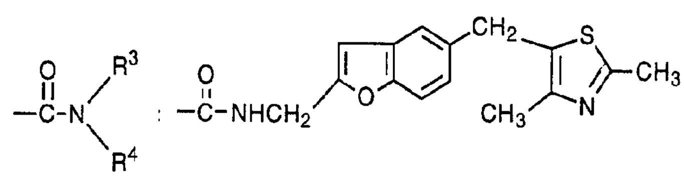
R1: H R2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow powder
Solvent for recrystal.: Dimethylformamide
M.p. (°C): 202-203
Form: Free

Example 429
Structure:



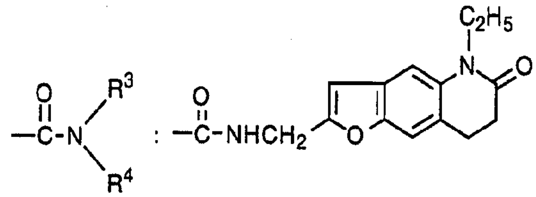
R1: H R2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 167-168
Form: Free

Example 430
Structure:



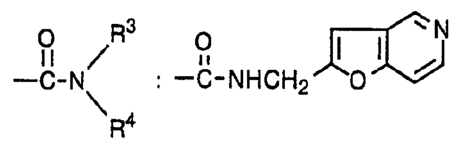
R1: H R2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Ethanol
M.p. (°C): 174-175
Form: Free

Example 431
Structure:



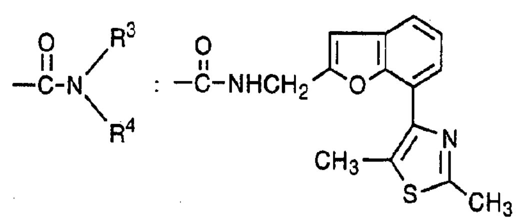
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 209-210
Form: Free

Example 432
Structure:



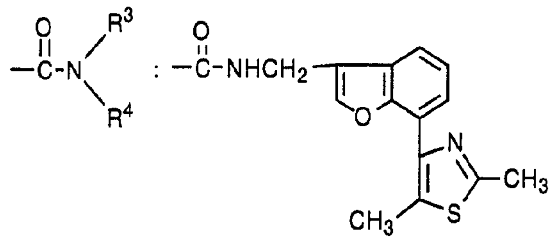
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
M.p. (°C): 198-199
Form: Free

Example 433
Structure:



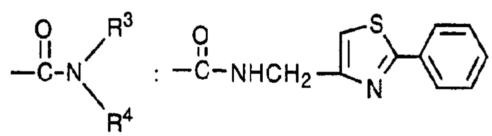
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Dimethylformamide
M.p. (°C): 91-93
Form: Free

Example 434
Structure:



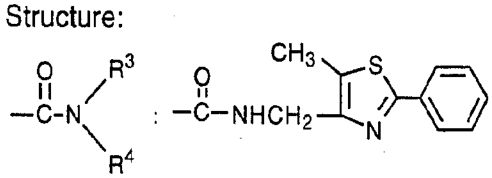
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Ethanol
M.p. (°C): 212-213
Form: Free

Example 435
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless prisms
Solvent for recrystal.: Dimethylformamide
M.p. (°C): 237-238 (decomposed)
Form: Free

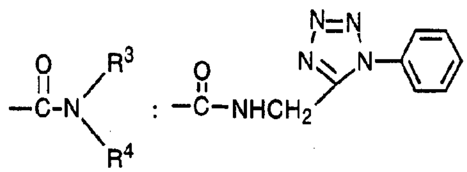
Example 436
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Dimethylformamide/ethanol
M.p. (°C): 209-209.5
Form: Free

Example 437

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Colorless needles

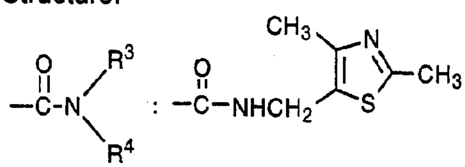
Solvent for recrystal.: Ethanol

M.p. (°C): 198.5-199

Form: Free

Example 438

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Colorless needles

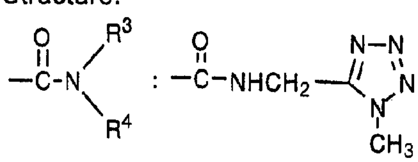
Solvent for recrystal.: Ethanol

M.p. (°C): 193.5-194

Form: Free

Example 439

Structure:



R^1: H R^2: CH_3

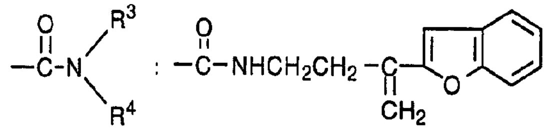
m: 1 n: 0 r: 1

Crystalline form: White powder

M.p. (°C): 211

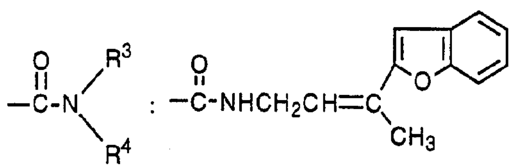
Form: Free

Example 440
Structure:



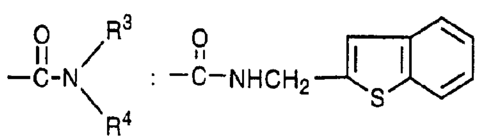
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow needles
Solvent for recrystal.: Ethanol
M.p. (°C): 137-138
Form: Free

Example 441
Structure:



R^1: H R^2: CH_3
m: 0 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 155.5-156
Form: Free

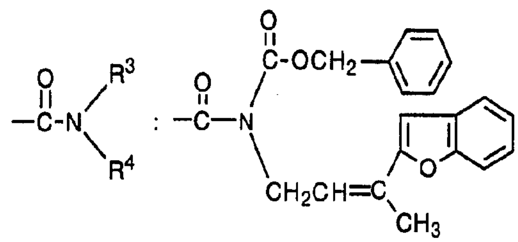
Example 442
Structure:



R^1: H R^2: CH_3
m: 1 n: 1 r: 1
Crystalline form: Pale yellow prisms
Solvent for recrystal.: Ethanol
M.p. (°C): 219-220
Form: Free

Example 443

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow powder

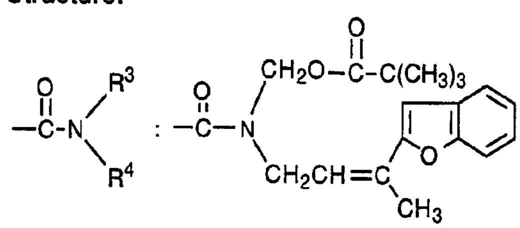
Solvent for recrystal.: Ethyl acetate/n-hexane

M.p. (°C): 117-118

Form: Free

Example 444

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow needles

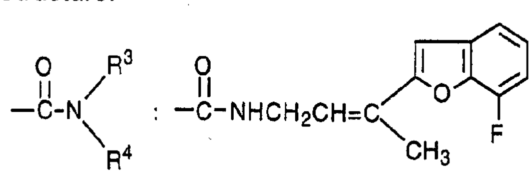
Solvent for recrystal.: Diethyl ether/n-hexane

M.p. (°C): 127-129

Form: Free

Example 445

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

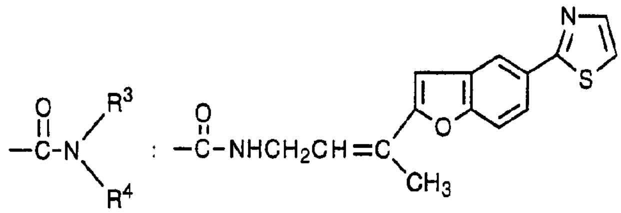
Crystalline form: Colorless needles

Solvent for recrystal.: Acetonitrile

M.p. (°C): 212-213 (decomposed)

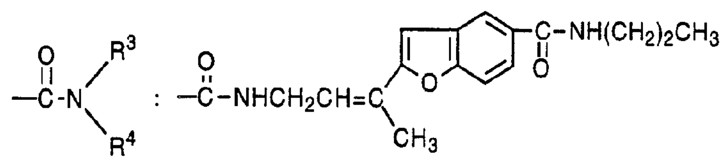
Form: Free

Example 446
Structure:



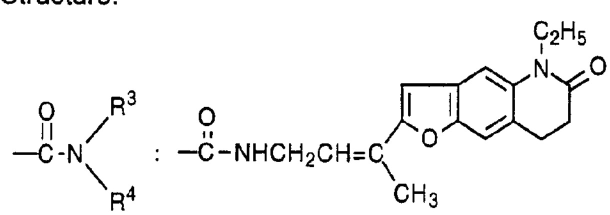
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 197-198
Form: Free

Example 447
Structure:



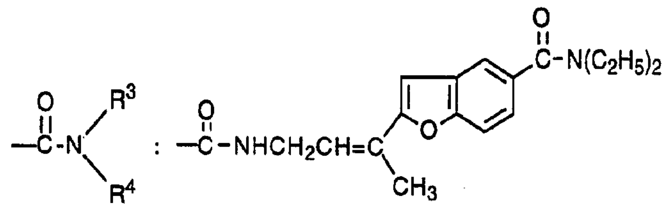
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 198-199
Form: Free

Example 448
Structure:



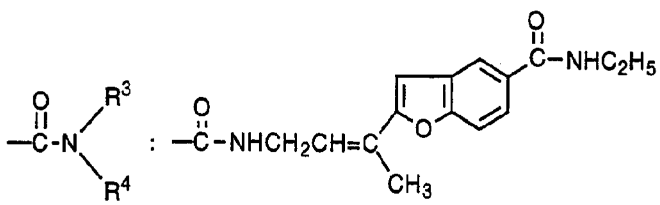
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Ethanol
M.p. (°C): 155-156
Form: Free

Example 449
Structure:



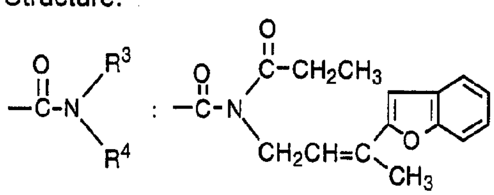
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Diethyl ether
M.p. (°C): 100-105
Form: Free

Example 450
Structure:



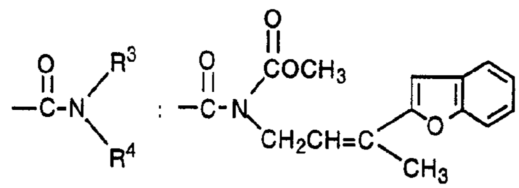
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethanol
M.p. (°C): 215-216 (decomposed)
Form: Free

Example 451
Structure:



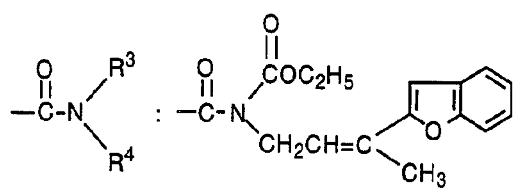
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless granules
Solvent for recrystal.: Diethyl ether
M.p. (°C): 103-105
Form: Free

Example 452
Structure:



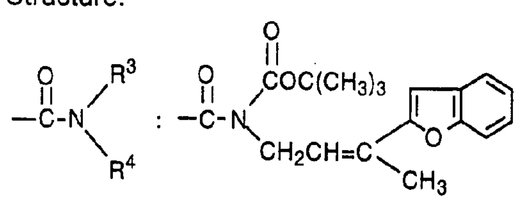
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow granules
Solvent for recrystal.: Diethyl ether
M.p. (°C): 124-126
Form: Free

Example 453
Structure:



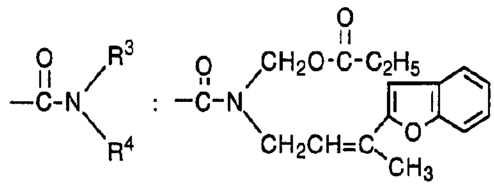
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Diethyl ether
M.p. (°C): 124-125
Form: Free

Example 454
Structure:



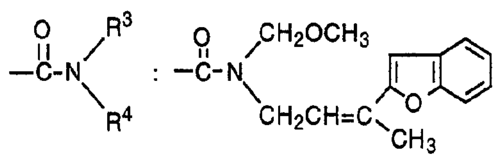
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: n-Hexane/diethyl ether
M.p. (°C): 119-121
Form: Free

Example 455
Structure:



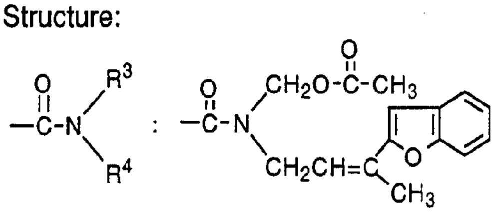
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Diethyl ether
M.p. (°C): 100-101
Form: Free

Example 456
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow powder
Solvent for recrystal.: Diethyl ether
M.p. (°C): 122-124
Form: Free

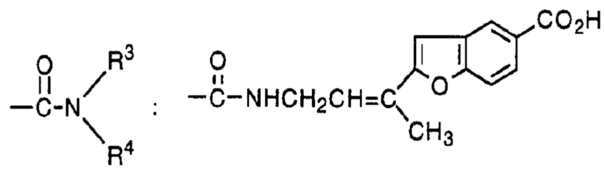
Example 457
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: White powder
Solvent for recrystal.: Diethyl ether
M.p. (°C): 107-109
Form: Free

Example 458

Structure:



R^1: H R^2: CH_3

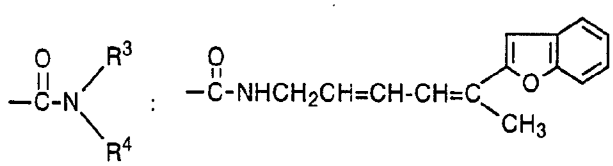
m: 1 n: 0 r: 1

Crystalline form: Red powder

Form: Free

Example 459

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: Pale yellow needles

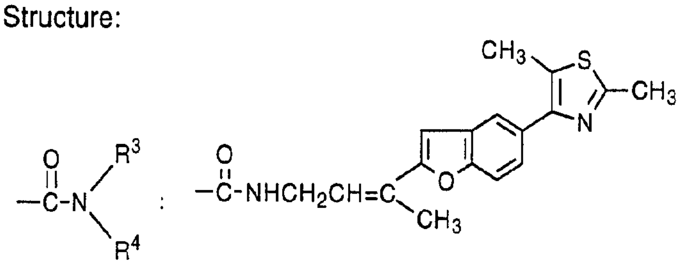
Solvent for recrystal.: Ethanol

M.p. (°C): 125-129

Form: Free

Example 460

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

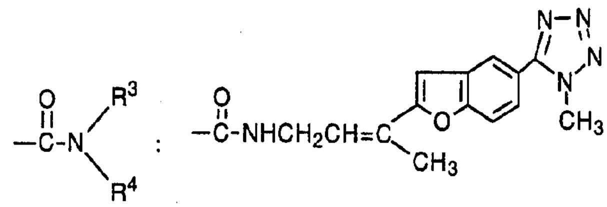
Crystalline form: Pale yellow needles

Solvent for recrystal.: Ethanol

M.p. (°C): 154-157

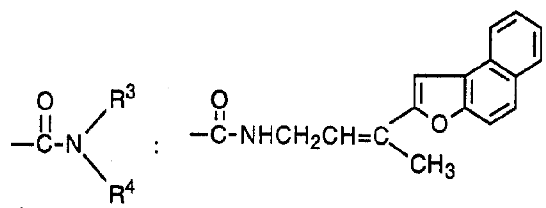
Form: Free

Example 461
Structure:



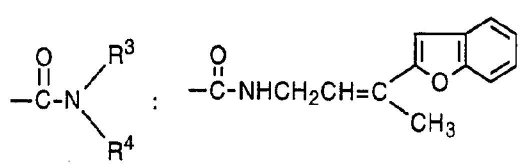
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Dichloromethane/ethanol
M.p. (°C): 206-208
Form: Free

Example 462
Structure:



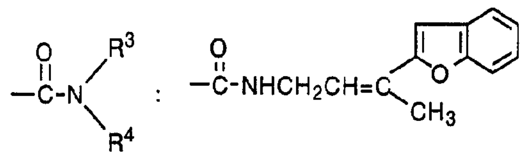
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Dichloromethane/ethanol
M.p. (°C): 205-208
Form: Free

Example 463
Structure:



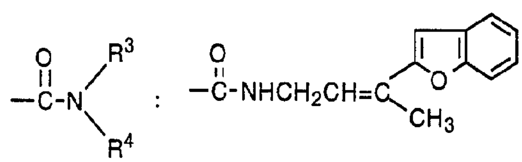
R^1: 7-OCH_3 R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Brown granules
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 196-197
Form: Free

Example 464
Structure:



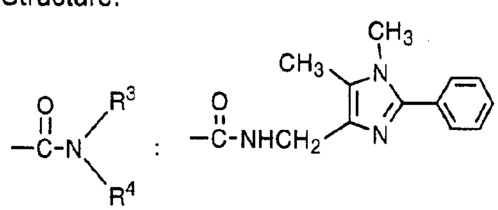
R^1: 7-$N(C_2H_5)_2$ R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Red needles
Solvent for recrystal.: Ethyl acetate
M.p. (°C): 202-204
Form: Free

Example 465
Structure:



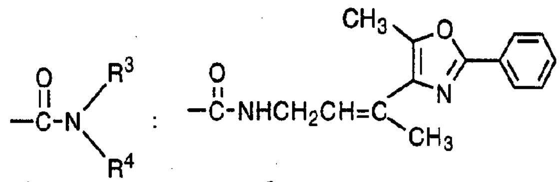
R^1: 6-$CON(C_2H_5)_2$ R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Isopropanol
M.p. (°C): 160-162
Form: Free

Example 466
Structure:



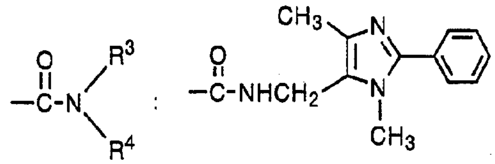
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 172-173
Form: Free

Example 467
Structure:



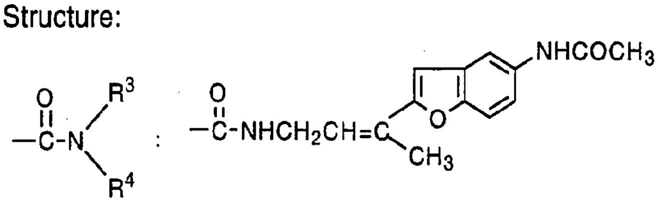
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow granules
Solvent for recrystal.: Ethanol/water
M.p. (°C): 141-142
Form: Free

Example 468
Structure:



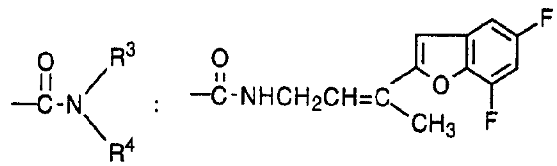
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow plates
Solvent for recrystal.: Acetone/n-hexane
M.p. (°C): 148-150
Form: Free

Example 469
Structure:



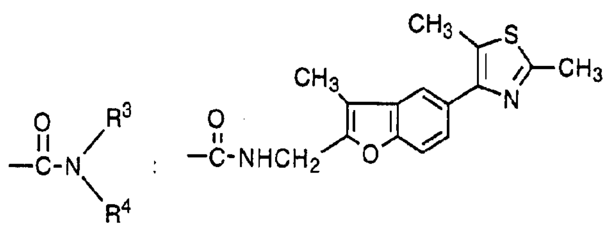
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow granules
Solvent for recrystal.: Acetonitrile
M.p. (°C): 221-223
Form: Free

Example 470
Structure:



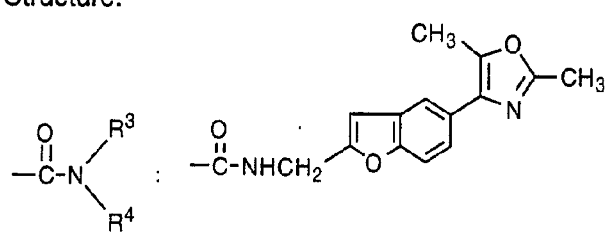
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 207-208
Form: Free

Example 471
Structure:



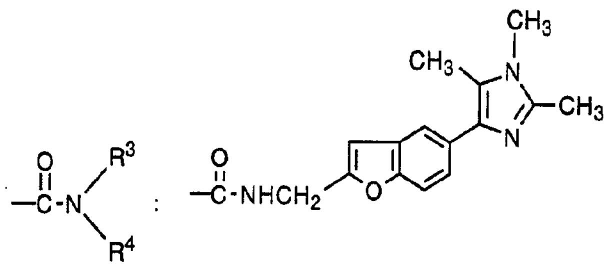
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Dimethylformamide/water
M.p. (°C): 205-206
Form: Free

Example 472
Structure:



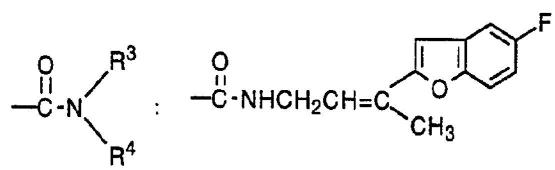
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 171-172
Form: Free

Example 473
Structure:



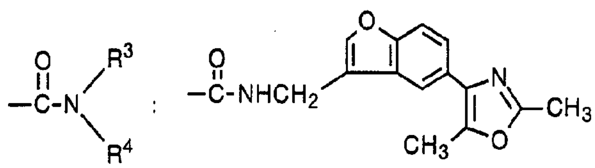
R^1: H R^2: CH_3
m: 1   n: 0   r: 1
Crystalline form: Yellow needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 179-180
Form: Free

Example 474
Structure:



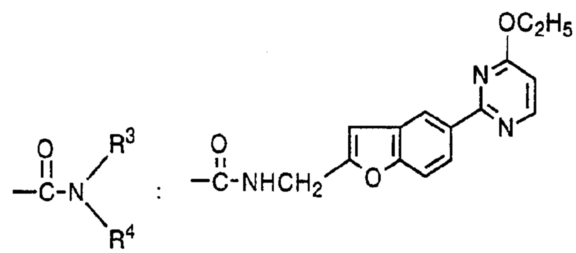
R^1: H R^2: CH_3
m: 1   n: 0   r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Acetonitrile
M.p. (°C): 188-189
Form: Free

Example 475
Structure:



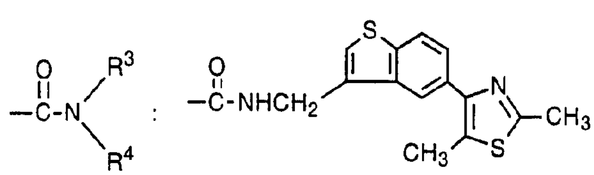
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Acetonitrile/water
M.p. (°C): 174-175
Form: Free

Example 476
Structure:



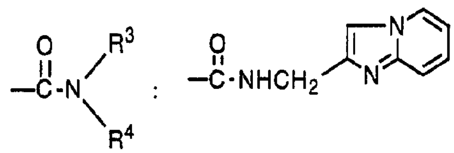
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 187-188
Form: Free

Example 477
Structure:



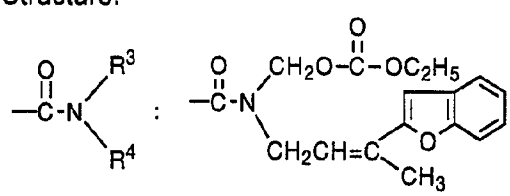
R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless needles
Solvent for recrystal.: Ethyl acetate/n-hexane
M.p. (°C): 183-184
Form: Free

Example 478
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Yellow needles
Solvent for recrystal.: Ethyl acetate/diisopropyl ether
M.p. (°C): 181-182
Form: Free

Example 479
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow needles
Solvent for recrystal.: Diethyl ether
M.p. (°C): 109.5-110.5
Form: Free

NMR analysis:

Ex. No.	^{1}H-NMR δ ppm:
267	($CDCl_3$, 250 MHz): 2.75 (6H, s), 3.08 (3H, s), 4.78 (2H, s), 4.80 (2H, d, J=8 Hz), 6.67 (1H, s), 7.14 (1H, d, J=9 Hz), 7.15-7.20 (5H, m), 7.35 (1H, d, J=9 Hz), 7.45 (1H, s), 7.75-7.90 (2H, m), 8.10 (1H, m), 8.45 - 8.60 (2H, m)
305	(DMSO-d_6, 250 MHz): 2.69 (3H, s), 4.72 (2H, d, J=6 Hz), 6.51 (1H, d, J=16 Hz), 6.88 (1H, s), 7.55-7.75 (3H, m), 7.85-8.00 (3H, m), 8.16 (1H, m), 8.50 (1H, m), 9.58 (1H, t, J=6 Hz)
306	(DMSO-d_6, 250 MHz): 2.65 (3H, s), 4.69 (2H, d, J=6 Hz), 7.68 (1H, d, J=9 Hz), 7.80-8.00 (3H, m), 8.12 (1H, s), 8.15 (1H, m), 8.45 (1H, m), 8.55 (1H, s), 9.49 (1H, t, J=6 Hz), 12.91 (1H, br)
315	($CDCl_3$, 250 MHz): 2.17 (3H, s), 2.42 (3H, s), 3.11 (3H, s), 4.39 (2H, t, J=7 Hz), 6.47 (1H, t, J=8 Hz), 6.62 (1H, s), 7.07 (1H, d, J=8 Hz), 7.28 (1H, s), 7.30 (1H, d, J=8 Hz), 7.78-7.83 (2H, m), 8.05-8.15 (2H, m), 8.60 (1H, m)
327	(DMSO-d_6, 250 MHz): 1.99 (3H, s), 2.69 (3H, s), 4.18 (2H, t, J=7 Hz), 5.94 (1H, t, J=7 Hz), 7.59-7.70 (3H, m), 7.83 (1H, s), 7.89-7.95 (2H, m), 8.18 (1H, m), 8.48 (1H, m), 9.17 (1H, t, J=7 Hz)
328	($CDCl_3$, 250 MHz): 1.29 (6H, br), 2.25 (3H, s), 3.11 (3H, s), 3.60 (4H, br), 4.34 (2H, t, J=7 Hz), 5.93 (1H, t, J=7 Hz), 7.30 (1H, s), 7.48 (2H, s), 7.68 (1H, s), 7.79-7.83 (2H, m), 8.05-8.15 (2H, m), 8.62 (1H, m)
335	($CDCl_3$, 250 MHz): 3.00 (3H, s), 3.17 (2H, t, J=7 Hz), 3.71 (2H, q, J=7 Hz), 3.85 (3H, s), 6.90 (1H, d, J=8 Hz), 6.92 (1H, d, J=8 Hz), 7.27 - 7.36 (2H, m), 7.70-7.81 (2H, m), 7.97 (1H, m), 8.27 (1H, brt, J=7 Hz), 8.55 (1H, m), 9.3 (1H, br)
343	($CDCl_3$, 250 MHz): 2.57 (3H, s), 3.11 (3H, s), 3.51 (3H, s), 4.85 (2H, d, J=6 Hz), 5.34 (2H, s), 7.17 (1H, d, J=8 Hz), 7.29 (1H, dd, J=8 Hz, 2.5 Hz), 7.78-7.82 (2H, m), 8.06 (1H, m), 8.28 (1H, br), 8.36 (1H, d, J=2.5 Hz), 8.60 (1H, m)

346	($CDCl_3$, 250 MHz): 2.17 (3H, s), 3.09 (3H, s), 3.63-3.69 (8H, m), 4.31 (2H, t, J=7 Hz), 4.71 (2H, s), 5.92 (1H, t, J=7 Hz), 6.85 (1H, dd, J=8 Hz, 2 Hz), 7.02 (1H, t, J=2 Hz), 7.08 (1H, d, J=8 Hz), 7.26 (1H, t, J=8 Hz), 7.76-7.83 (2H, m), 8.05-8.12 (2H, m), 8.58 (1H, m)
347	($CDCl_3$, 250 MHz): 1.14 (3H, t, J=7 Hz), 1.22 (3H, t, J=7 Hz), 2.17 (3H, s), 3.10 (3H, s), 3.40 (4H, q, J=7 Hz), 4.31 (2H, t, J=7 Hz), 4.69 (2H, s), 5.92 (1H, t, J=7 Hz), 6.86 (1H, d, J=8 Hz), 7.05 (1H, s), 7.06 (1H, d, J=8 Hz), 7.25 (1H, t, J=8 Hz), 7.79-7.83 (2H, m), 8.08-8.12 (2H, m), 8.60 (1H, m)
348	($CDCl_3$, 250 MHz): 1.83 (3H, s), 2.18 (3H, s), 3.10 (3H, s), 4.31 (2H, t, J=7 Hz), 4.45 (2H, s), 4.99 (1H, s), 5.10 (1H, s), 5.93 (1H, t, J=7 Hz), 6.84 (1H, d, J=8 Hz), 7.00 (1H, s), 7.03 (1H, d, J=8 Hz), 7.24 (1H, t, J=8 Hz), 7.78-7.82 (2H, m), 8.07-8.11 (2H, m), 8.60 (1H, m)
353	($CDCl_3$, 250 MHz): 2.18 (3H, s), 3.10 (3H, s), 3.49 (3H, s), 4.31 (2H, t, J=7 Hz), 5.19 (2H, s), 5.93 (1H, t, J=7 Hz), 6.95 (1H, d, J=8 Hz), 7.08 (1H, d, J=8 Hz), 7.10 (1H, s), 7.25 (1H, t, J=8 Hz), 7.77-7.84 (2H, m), 8.06-8.11 (2H, m), 8.60 (1H, m)
354	($CDCl_3$, 250 MHz): 0.87 (3H, t, J=7 Hz), 1.78-2.05 (2H, m), 2.06 (3H, s), 3.10 (3H, s), 4.82 (2H, d, J=6 Hz), 5.72 (1H, t, J=8 Hz), 6.72 (1H, s), 7.26 (1H, dd, J=8 Hz, 2 Hz), 7.44 (1H, d, J=8 Hz), 7.52 (1H, d, J=2 Hz), 7.77-7.83 (2H, m), 8.08 (1H, m), 8.48 (1H, br), 8.58 (1H, m)
355	($CDCl_3$, 250 MHz): 0.91 (3H, t, J=7 Hz), 1.82 (2H, m), 3.10 (3H, s), 4.69 (1H, br), 4.82 (2H, d, J=6 Hz), 6.71 (1H, s), 7.25 (1H, d, J=8 Hz), 7.41 (1H, d, J=8 Hz), 7.51 (1H, s), 7.78-7.82 (2H, m), 8.07 (1H, m), 8.51 (1H, br), 8.58 (1H, m)
357	($CDCl_3$, 250 MHz): 3.09 (3H, s), 3.41 (3H, s), 4.89 (2H, d, J=7 Hz), 7.06 (1H, d, J=2 Hz), 7.14 (1H, dd, J=8 Hz, 2 Hz), 7.43 (1H, d, J=8 Hz), 7.75-7.82 (2H, m), 8.07 (1H, m), 8.53-8.59 (2H, m)
358	($CDCl_3$, 250 MHz): 3.07 (3H, s), 3.35 (3H, s), 4.82 (2H, d, J=7 Hz), 6.68 (1H, s), 7.03 (1H, dd, J=8 Hz, 2 Hz), 7.17 (1H, d, J=2 Hz), 7.41 (1H, d, J=8 Hz), 7.74-7.82 (2H, m), 8.06 (1H, m), 8.52-8.57 (2H, m)

403	($CDCl_3$, 250 MHz): 3.10 (3H, s), 3.73 (2H, br), 4.82 (2H, d, J=6 Hz), 6.64 (1H, d, J=7 Hz), 6.69 (1H, s), 6.9-7.1 (2H, m), 7.7-7.9 (2H, m), 8.0-8.1 (1H, m), 8.44 (1H, br), 8.5-8.6 (1H, m)
404	($CDCl_3$, 250 MHz): 3.11 (3H, s), 3.91 (2H, brs), 4.81 (2H, d, J=6 Hz), 6.51 (1H, d, J=8 Hz), 6.68 (1H, s), 6.93 (1H, d, J=8 Hz), 7.12 (1H, dd, J=8 Hz, 8 Hz), 7.7-7.9 (2H, m), 8.0-8.2 (1H, m), 8.48 (1H, brs), 8.5-8.7 (1H, m)
405	(DMSO-d_6, 250 MHz): 2.67 (3H, s), 4.60 (2H, d, J=6 Hz), 5.14 (1H, s), 6.53 (1H, d, J=8 Hz), 6.58 (1H, s), 6.67 (1H, s), 7.21 (1H, d, J=8 Hz), 7.8-8.0 (2H, m), 8.1-8.2 (1H, m), 8.4-8.5 (1H, m), 9.40 (1H, br)
406	(DMSO-d_6, 250 MHz): 2.44 (3H, s), 2.64 (3H, s), 4.50 (2H, d, J=6 Hz), 4.78 (2H, s), 6.51 (1H, d, J=8 Hz), 6.80 (1H, s), 7.12 (1H, d, J=8 Hz), 7.8-8.0 (2H, m), 8.1-8.2 (1H, m), 8.4-8.5 (1H, m), 9.21 (1H, t, J=6 Hz)
407	($CDCl_3$, 250 MHz): 0.20 (3H, s), 0.22 (3H, s), 0.95 (9H, s), 2.6-2.8 (2H, m), 3.09 (3H, s), 3.1-3.3 (1H, m), 3.6-3.8 (2H, m), 5.07 (1H, d, J=6 Hz), 7.2-7.3 (4H, m), 7.7-7.9 (2H, m), 8.0-8.2 (2H, m), 8.5-8.7 (1H, m)
408	($CDCl_3$, 250 MHz): 2.6-2.8 (1H, br), 2.9-3.1 (2H, m), 3.06 (3H, s), 3.6 - 4.0 (3H, m), 5.15 (1H, t, J=5 Hz), 7.1-7.3 (3H, m), 7.4-7.5 (1H, m), 7.7 - 7.9 (2H, m), 8.0-8.1 (1H, m), 8.45 (1H, brs), 8.5-8.7 (1H, m)
444	Mixture of rotamers ($CDCl_3$): 1.14, 1.29 (9 H, s), 1.66, 2.20 (3H, brs), 2.62, 2.66 (3H, s), 4.28, 4.59 (2H, d, J=7.2 Hz), 5.45, 5.73 (2H, s), 6.38, 6.52 (1H, t, J=7.2 Hz), 6.57, 6.71 (1H, s), 7.15-7.35 (2H, m), 7.4-7.5 (1H, m), 7.5 - 7.6 (1H, m), 7.76-7.87 (2H, m), 8.05-8.15 (1H, m), 8.55-8.65 (1H, m)
455	Mixture of rotamers ($CDCl_3$): 1.06, 1.19 (3H, t, J=7 Hz), 1.72, 2.19 (3H, s), 2.27, 2.47 (2H, q, J=7 Hz), 2.65, 2.66 (3H, s), 4.28, 4.59 (2H, d, J=7 Hz), 5.44, 5.73 (2H, s), 6.34, 6.49 (1H, t, J=7 Hz), 6.56, 6.71 (1H, s), 7.15-7.35 (2H, m), 7.43 (2H, d, J=8 Hz), 7.53 (1H, d, J=8 Hz), 7.70-7.85 (2H, m), 8.07 (1H, m), 8.60 (1H, m)

456	Mixture of rotamers ($CDCl_3$): 1.70, 2.20 (3H, s), 2.66 (3H, s), 3.22, 3.55 (3H, s), 4.19, 4.58 (2H, d, J=7 Hz), 4.68, 5.12 (2H, s), 6.43, 6.51 (1H, t, J=7 Hz), 6.55, 6.70 (1H, s), 7.13-7.30 (2H, m), 7.40-7.60 (2H, m), 7.70-7.85 (2H, m), 8.10 (1H, m), 8.60 (1H, m)
457	Mixture of rotamers ($CDCl_3$): 1.74, 2.20 (3H, s), 2.00, 2.17 (3H, s), 2.66, 2.67 (3H, s), 4.29, 4.59 (2H, d, J=7 Hz), 5.42, 5.71 (2H, s), 6.35, 6.49 (1H, t, J=7 Hz), 6.58, 6.71 (1H, s), 7.16-7.33 (2H, m), 7.40-7.60 (2H, m), 7.75 - 7.86 (2H, m), 8.07 (1H, m), 8.61 (1H, m)
458	($DMSO-d_6$): 2.14 (3H, s), 2.70 (3H, s), 4.23 (2H, t, J=6 Hz), 6.43 (1H, t, J=6 Hz), 7.08 (1H, s), 7.63 (1H, d, J=9 Hz), 7.80-8.00 (3H, m), 8.20 (1H, m), 8.23 (1H, s), 8.48 (1H, m), 9.26 (1H, br)
479	Mixture of rotamers ($CDCl_3$): 1.23, 1.33 (3H, t, J=7.0 Hz), 1.74, 2.21 (3H, brs), 2.66, 2.67 (3H, s), 4.08, 4.25 (2H, q, J=7.0 Hz), 4.30, 4.62 (2H, d, J=7.5 Hz), 5.48, 5.75 (2H, s), 6.36, 6.49 (1H, t, J=7.5 Hz), 6.57, 6.71 (1H, s), 7.15-7.35 (2H, m), 7.4-7.6 (2H, m), 7.75-7.85 (2H, m), 8.05-8.15 (1H, m), 8.55-8.65 (1H, m)

Example 480

2-{[3-Methyl-3-(benzofuran-2-yl)-2-propenyl]aminocarbonyl}-3-methylquinoxalin-4-oxide (0.6 g) is dissolved in methylene chloride (40 ml), and thereto are added 4-dimethylaminopyridine (0.5 g) and di-t-butyl dicarbonate (0.6 g). The mixture is stirred at room temperature for one day. The reaction solution is washed with diluted hydrochloric acid and brine, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; n-hexane : ethyl acetate = 3 : 1), and recrystallized from n-hexane/diethyl ether to give 2-{N-t-butoxycarbonyl-N-[3-methyl-3-(benzofuran-2-yl)-2-propenyl]aminocarbonyl}-3-methylquinoxalin-4-oxide (0.6 g) as white powder.

M.p. 119-121°C

Using the suitable starting compounds, there are obtained the compounds of Examples 443, 444, 451-453 in the same manner as in Example 480.

Example 481

2-{[3-Methyl-3-(benzofuran-2-yl)-2-propenyl]aminocarbonyl}-3-methylquinoxalin-4-oxide (1.0 g) is suspended in tetrahydrofuran (50 ml), and thereto is added sodium hydride (180 mg) under argon atmosphere. The mixture is stirred at room temperature for 40 minutes. To the mixture is added dropwise ethyl chloroformate (440 mg) under ice-cooling, and the mixture is stirred at room temperature overnight. The reaction solution is poured into ice-water, and extracted with dichloromethane. The extract is washed with water and saturated brine solution, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; methylene chloride : methanol = 400 : 1), and recrystallized from diethyl ether to give 2-{N-ethoxycarbonyl-N-[3-methyl-3-(benzofuran-2-yl)-2-propenyl]aminocarbonyl}-3-methylquinoxalin-4-oxide (0.77 g) as pale yellow granules.

M.p. 124-125°C

Using the suitable starting compounds, there are obtained the compounds of Examples 443, 444, 451, 452 and 454 in the same manner as in Example 481.

Example 482

2-{[3-Methyl-3-(benzofuran-2-yl)-2-propenyl]aminocarbonyl}-3-methylquinoxalin-4-oxide (1.0 g) is suspended in dimethylformamide (10 ml), and thereto is added sodium hydride (180 mg) under ice-cooling, and the mixture is stirred at room temperature for 15 minutes. To the mixture is added dropwise methoxymethyl chloride (320 mg) under ice-cooling, and the mixture is stirred at room temperature overnight. The reaction mixture is poured into ice-water, and extracted with ethyl acetate. The extract is washed with water and brine, and dried over anhydrous sodium sulfate. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; n-hexane : ethyl acetate = 4 : 1), and recrystallized

from diethyl ether to give 2-{N-methoxymethyl-N-[3-methyl-3-(benzofuran-2-yl)-2-propenyl]aminocarbonyl}-3-methylquinoxalin-4-oxide (0.23 g) in the form of a mixture of rotamers as pale yellow powder.

M.p. 122-124°C

^{1}H-NMR ($CDCl_3$) δ ppm: 1.70, 2.20 (3H, s), 2.66 (3H, s), 3.22, 3.55 (3H, s), 4.19, 4.58 (2H, d, J=7 Hz), 4.68, 5.12 (2H, s), 6.43, 6.51 (1H, t, J=7 Hz), 6.55, 6.70 (1H, s), 7.13-7.30 (2H, m), 7.40-7.60 (2H, m), 7.70-7.85 (2H, m), 8.10 (1H, m), 8.60 (1H, m)

Using the suitable starting compounds, there are obtained the compounds of Examples 443, 444, 451-455, 457 and 479 in the same manner as in Example 482.

Example 483

To a suspension of sodium hydride (92 mg) in dimethylformamide (20 ml) is added 2-{[3-methyl-3-(benzofuran-2-yl)-2-propenyl]aminocarbonyl}-3-methylquinoxalin-4-oxide (746 mg), and the mixture is stirred at room temperature for 30 minutes. To the mixture are added chloromethyl pivalate (322 μl) and a catalytic amount of tetra-n-butylammonium iodide, and the mixture is stirred for one hour. The reaction mixture is poured into water, and extracted with ethyl acetate. The extract is washed with water and brine, and dried. The resultant is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography, and recrystallized from diethyl ether/n-hexane to give 2-{N-pivaloyloxymethyl-N-[3-methyl-3-(benzofuran-2-yl)-2-propenyl]aminocarbonyl}-3-methylquinoxalin-4-oxide (300 mg) in the form of a mixture of rotamers as pale yellow needles.

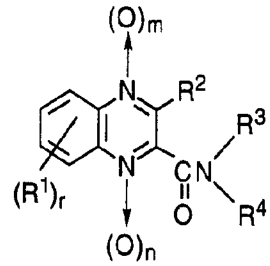
M.p. 127-129°C

^{1}H-NMR ($CDCl_3$) δ ppm: 1.14, 1.29 (9H, s), 1.66, 2.20 (3H, brs), 2.62, 2.66 (3H, s), 4.28, 4.59 (2H, d, J=7.2 Hz), 5.45, 5.73 (2H, s), 6.38, 6.52 (1H, t, J=7.2 Hz), 6.57, 6.71 (1H, s), 7.15-7.35 (2H, m), 7.4-7.5 (1H, m), 7.5-7.6 (1H, m), 7.76-7.87 (2H, m), 8.05-8.15 (1H, m), 8.55-8.65 (1H, m)

Using the suitable starting compounds, there are obtained the compounds of Examples 443, 451-457 and 479 in the same manner as in Example 483.

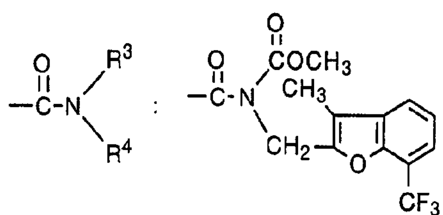
Using the suitable starting compounds, there are obtained the compounds as listed in Table 7 in the same manner as in Examples 1 to 4.

Table 7



Example 484

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

Crystalline form: White powder

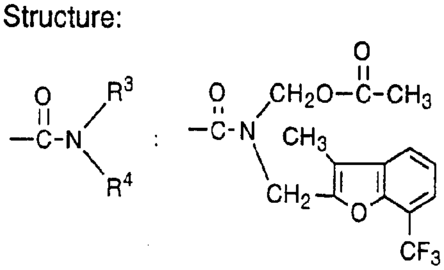
Solvent for recrystal.: Diethyl ether

M.p. (°C): 129-134

Form: Free

Example 485

Structure:



R^1: H R^2: CH_3

m: 1 n: 0 r: 1

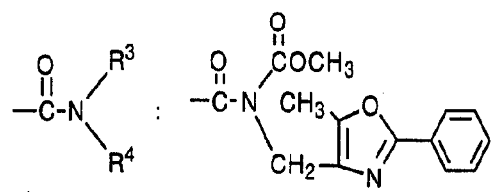
Crystalline form: Yellow granules

Solvent for recrystal.: Diethyl ether

M.p. (°C): 126-127

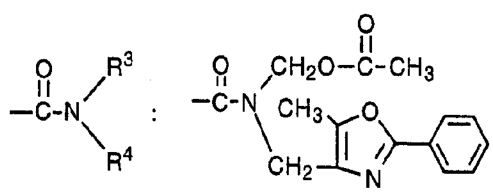
Form: Free

Example 486
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Pale yellow granules
Solvent for recrystal.: Diethyl ether
M.p. (°C): 170-172
Form: Free

Example 487
Structure:



R^1: H R^2: CH_3
m: 1 n: 0 r: 1
Crystalline form: Colorless granules
Solvent for recrystal.: Ethanol
M.p. (°C): 140-141
Form: Free

Ex. No.	^{1}H-NMR δ ppm:
485	Mixture of rotamers (CDCl$_3$): 1.86, 1.87 (3H, s), 2.06, 2.40 (3H, s), 2.50, 2.61 (3H, s), 4.91, 5.08 (2H, s), 5.48, 5.71 (2H, s), 7.26-7.40 (1H, m), 7.50-7.60 (1H, m), 7.65-7.75 (1H, m), 7.75-7.86 (2H, m), 7.98-8.15 (1H, m), 8.55-8.65 (1H, m)
487	Mixture of rotamers (CDCl$_3$): 1.98, 2.11 (3H, s), 2.15, 2.53 (3H, s), 2.64, 2.69 (3H, s), 4.60, 4.80 (2H, s), 5.55, 5.72 (2H, s), 7.40-7.50 (3H, m), 7.70-7.80 (2H, m), 7.85-8.10 (3H, m), 8.55-8.65 (1H, m)

Pharmacological Experiment

Experiment: Test of glucose-uptake by L6 cells

L6 cells (derived from rat striated muscle) were pre-cultured in minimum essential medium (MEM) containing 10 % FCS and put onto a 48 - well plate by each 4 x 10^4 cells/well. The plate was cultured in 2 % FCS so that L6 cells were differentiated into muscle cells. Six days later, a test compound was added to the culture mixture, and the culture solution was removed the following day, and further thereto was added [^{3}H]-2-deoxyglucose, and the plate was reacted at 37°C for 10 minutes. After the reaction is complete, the plate was washed twice with cold phosphate buffer saline solution, and the cells were subjected to hydrolysis with 0.1 % sodium docecylsulfate, and the radioactivity of the resultant was measured. The glucose-uptake was estimated by comparing the radioactivity of the well with a test compound with the radioactivity of the well without a test compound (control) and expressed by percentage (%). The results are shown in Table 8.

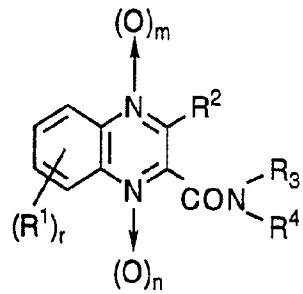
Table 8

Test Comp.	Dose (mole)	Glucose - uptake (%)	Test Comp.	Dose (mole)	Glucose - uptake (%)
Ex. 29	10^{-5}	201	Ex. 30	10^{-5}	210
Ex. 33	10^{-5}	120	Ex. 39	10^{-5}	159
Ex. 41	10^{-5}	181	Ex. 44	10^{-5}	176
Ex. 45	10^{-6}	147	Ex. 46	10^{-6}	217
Ex. 47	10^{-5}	148	Ex. 60	10^{-6}	166
Ex. 61	10^{-6}	154	Ex. 62	10^{-6}	223
Ex. 66	10^{-5}	154	Ex. 69	10^{-6}	194
Ex. 73	10^{-6}	168	Ex. 75	10^{-6}	249
Ex. 77	10^{-6}	245	Ex. 83	10^{-5}	153
Ex. 85	10^{-6}	177	Ex. 92	10^{-6}	201
Ex. 93	10^{-6}	240	Ex. 94	10^{-6}	188
Ex. 96	10^{-6}	200	Ex. 97	10^{-6}	136
Ex. 99	10^{-6}	154	Ex. 103	10^{-5}	198
Ex. 105	10^{-5}	186	Ex. 107	10^{-6}	206
Ex. 109	10^{-5}	222	Ex. 110	10^{-5}	209
Ex. 112	10^{-5}	159	Ex. 113	10^{-5}	123
Ex. 117	10^{-5}	226	Ex. 119	10^{-5}	158
Ex. 122	10^{-5}	199	Ex. 125	10^{-5}	188
Ex. 126	10^{-5}	212	Ex. 130	10^{-5}	161
Ex. 132	10^{-6}	187	Ex. 134	10^{-5}	125
Ex. 136	10^{-5}	129	Ex. 143	10^{-5}	210
Ex. 145	10^{-5}	136	Ex. 147	10^{-5}	138
Ex. 150	10^{-6}	203	Ex. 151	10^{-6}	156
Ex. 148	10^{-6}	183	Ex. 153	10^{-5}	191
Ex. 154	10^{-5}	163	Ex. 155	10^{-5}	212
Ex. 156	10^{-6}	155	Ex. 159	10^{-5}	152

Ex. 162	10^{-5}	187	Ex. 166	10^{-5}	168
Ex. 170	10^{-6}	165	Ex. 171	10^{-5}	163
Ex. 175	10^{-5}	123	Ex. 177	10^{-6}	178
Ex. 179	10^{-6}	200	Ex. 181	10^{-6}	223
Ex. 182	10^{-5}	150	Ex. 183	10^{-5}	212
Ex. 185	10^{-6}	169	Ex. 190	10^{-6}	193
Ex. 193	10^{-6}	121	Ex. 199	10^{-6}	165
Ex. 200	10^{-6}	142	Ex. 201	10^{-6}	207
Ex. 203	10^{-6}	239	Ex. 204	10^{-6}	192
Ex. 208	10^{-5}	125	Ex. 210	10^{-5}	145
Ex. 212	10^{-5}	121	Ex. 213	10^{-5}	146
Ex. 215	10^{-5}	186	Ex. 221	10^{-6}	120
Ex. 224	10^{-5}	135	Ex. 229	10^{-5}	149
Ex. 238	10^{-5}	144	Ex. 383	10^{-6}	168
Ex. 428	10^{-6}	128	Ex. 446	10^{-6}	183
Ex. 459	10^{-6}	127	Ex. 460	10^{-6}	158
Ex. 470	10^{-6}	204			

CLAIMS

1. A quinoxaline derivative of the formula:



wherein R^1 is hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent,

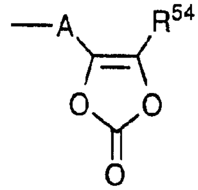
R^2 is hydrogen atom, a lower alkyl group having optionally a halogen substituent, phenyl group, a morpholino-substituted lower alkyl group or an imidazolyl-substituted lower alkyl group,

n is 0,

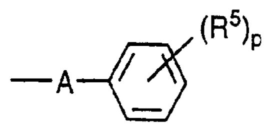
m is 0 or 1,

r is 1 or 2,

R^3 and R^4 are the same or different and each a) hydrogen atom; b) a lower alkyl group; c) a phenyl-lower alkoxycarbonyl group; d) a lower alkanoyloxy-substituted lower alkyl group; e) a lower alkanoyl group; f) a lower alkoxycarbonyl group; g) a lower alkoxy-lower alkyl group; h) a phenoxy - carbonyl group; i) a lower alkanoyl-substituted lower alkyl group; j) a lower alkoxycarbonyloxy-substituted lower alkyl group; k) a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring; l) a group of the formula: -E-N(R^{52})(R^{53}) (in which R^{52} and R^{53} are the same or different and each hydrogen atom, a lower alkyl group, a lower alkoxycarbonyl group or phenyl group, or R^{52} and R^{53} may combine together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom, E is a lower alkylene group, a group of the formula: -CO-, or a group of the formula: -CO-A- (in which A is a lower alkylene group)); m) a group of the formula:

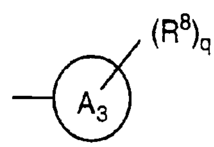


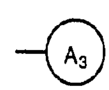
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); n) a group of the formula:



(in which A is the same as defined above, p is an integer of 1 to 3, R^5 is a lower alkoxy-substituted lower alkoxy group, a lower alkoxy group, an amino group having optionally a lower alkyl substituent, a halogen atom, nitro group, hydroxy group, a lower alkyl group having optionally a hydroxy substituent, a lower alkenyloxy group, a carboxyl-substituted lower alkoxy group, a lower alkoxycarbonyl-substituted lower alkoxy group, a lower alkoxycarbonyl group, a halogen-substituted lower alkoxy group, a hydroxy-substituted lower alkoxy group, a phenyl-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkoxy group on the phenyl moiety, a 1,3 - dioxolanyl group having optionally a lower alkyl substituent, a lower alkanoyl group, a morpholino-substituted lower alkoxy group, a morpholino-substituted lower alkyl group, a morpholinocarbonyl group or a group of the formula: $-Y-A_1-CONR^6R^7$ (in which A_1 is a lower alkylene group, Y is a group of the formula: -O- or a group of the formula: -NH-, R^6 and R^7 are the same or different and each hydrogen atom, a lower alkyl group having optionally a hydroxy substituent, a phenyl-lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, a furyl-substituted lower alkyl group, or a lower alkoxy-substituted lower alkyl group, or R^6 and R^7 may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen atom or oxygen atom, said heterocyclic group having optionally 1 to 3 substituents selected from hydroxy group, a lower alkyl group and a phenyl - lower alkyl group)); o) a phenyl-lower alkenyl group having optionally a

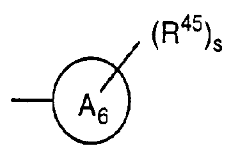
substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6 - membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; p) an alkenyl group; q) a cycloalkyl-lower alkyl group; r) a naphthyl - lower alkyl group; s) a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; t) a phenylsulfinyl - substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; u) a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; v) a phenoxy - substituted lower alkyl group; w) a group of the formula:

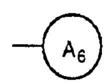


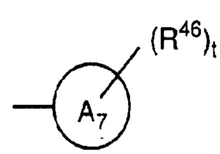
(in which q is an integer of 1 to 3, a group of the formula: 

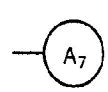
is a lower alkyl group substituted by a 5- to 14-membered saturated or unsaturated heteromonocyclic, heterobicyclic or heterotricyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^8 substitutes on the above heterocyclic group, and is hydrogen atom, oxo group, a lower alkyl group having optionally a hydroxy substituent, a halogen atom, nitro group, a lower alkoxy group, cyano group, a lower alkoxycarbonyl group, a phenyl-lower alkoxy group having optionally an amino group having optionally a lower alkanoyl substituent on the phenyl moiety, a carboxyl - substituted lower alkoxy group, carboxyl group, a lower alkoxycarbonyl-

substituted lower alkoxy group, hydroxy group, a lower alkoxy-substituted lower alkoxy group, a lower alkenyloxy group, a lower alkanoyloxy-substituted lower alkyl group, a halogen-substituted lower alkyl group, a lower alkanoyl group, a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy-substituted lower alkoxy group, hydroxy group, a halogen atom and a lower alkoxy group on the phenyl moiety, a lower alkenyl group, a morpholinocarbonyl-lower alkoxy group, a lower alkylsufinyl group, an amino - substituted lower alkyl group having optionally a substituent selected from a lower alkylsulfonyl group and a lower alkanoyl group, a lower alkylthio group, a lower alkylsulfonyl group, a lower alkanoyloxy group, a 1,3-dioxolanyl - substituted lower alkyl group having optionally a lower alkyl substituent, a lower alkanoyl-substituted lower alkyl group, an aminocarbonyl-substituted lower alkyl group having optionally a lower alkyl substituent, a lower alkoxycarbonyl-substituted lower alkenyl group, an aminocarbonyl-substituted lower alkenyl group having optionally a lower alkyl substituent, a carboxyl - substituted lower alkenyl group, benzoyl group, a lower alkoxy-lower alkyl group, a group of the formula:

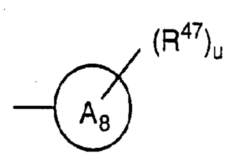


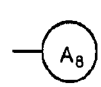
(in which s is an integer of 1 to 3, a group of the formula:  is a 5- to 6 - membered saturated or unsaturated heterocyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^{45} bonds to said heterocyclic group and is hydrogen atom, a lower alkyl group, a lower alkoxy-substituted lower alkyl group, phenyl group or oxo group), a group of the formula:



(in which t is an integer of 1 to 3, a group of the formula:  is a lower

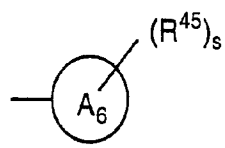
alkyl group substituted by a 5- to 6-membered saturated or unsaturated heterocyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^{46} bonds to said heterocyclic group and is hydrogen atom, a lower alkyl group or oxo group), or a group of the formula: $-(C{=}O)_lNR^9R^{10}$ (in which l is 0 or 1, R^9 and R^{10} are the same or different and each hydrogen atom, a lower alkanoyl group, a lower alkyl group, a morpholinocarbonyl-lower alkyl group, a cycloalkylcarbonyl group, a phenyl - lower alkenylcarbonyl group, a lower alkylsulfonyl group, an aminocarbonyl group having optionally a lower alkyl substituent, a phenylsulfonyl group having optionally a lower alkyl substituent on the phenyl moiety, a phenyl-lower alkenyl group, a benzoyl group having optionally 1 to 3 substituents selected from a halogen atom, a lower alkoxy group, an amino group having optionally a lower alkanoyl substituent and hydroxy group on the phenyl moiety, an amino - substituted lower alkanoyl group having optionally a lower alkanoyl substituent, an amino-substituted sulfonyl group having optionally a lower alkyl substituent, a phenyl-lower alkyl group, phenyl group, or an amino group having optionally a lower alkanoyl substituent, or R^9 and R^{10} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom)); x) a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); y) a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring; or z) a group of the formula:

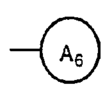


(in which u is an integer of 1 to 3, a group of the formula: 

is a lower

alkenyl group substituted by a 5- to 14-membered saturated or unsaturated, heteromonocyclic, heterobicyclic or heterotricyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^{47} bonds on said heterocyclic group and is hydrogen atom, a halogen-substituted lower alkyl group, oxo group, a halogen atom, a lower alkoxy group, a lower alkyl group, a lower alkoxycarbonyl group, carboxyl group, an aminocarbonyl group having optionally a lower alkyl substituent, an amino group having optionally a lower alkanoyl substituent, a phenyl group having optionally a substituent selected from a lower alkoxy group and a halogen atom on the phenyl moiety, or a group of the formula:



(in which , R^{45} and s are the same as defined above)),

or R^3 and R^4 may combine together with the adjacent nitrogen atom to which they bond to form 1,2,3,4-tetrahydroisoquinolyl group, said heterocyclic group having optionally a lower alkoxy substituent,

provided that when R^1 is hydrogen atom, R^2 is methyl group, R^3 is hydrogen atom, and m is 0, then R^4 is not 2-(imidazol-2-yl)ethyl, 2-(indol-3 -yl)ethyl, or sec-butyl,
or a salt thereof.

2. A quinoxaline derivative according to claim 1, wherein m is 1, or a salt thereof.

3. A quinoxaline derivative according to claim 1, wherein m is 0, or a salt thereof.

4. A quinoxaline derivative according to claim 1, wherein R^1 is hydrogen atom, or a salt thereof.

5. A quinoxaline derivative according to claim 1, wherein R^1 is a halogen atom, a lower alkyl group, a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent, or a salt thereof.

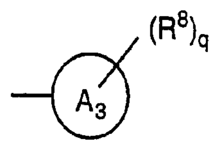
6. A quinoxaline derivative according to claim 4, wherein R^2 is a lower alkyl group having optionally a halogen substituent, or a salt thereof.

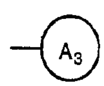
7. A quinoxaline derivative according to claim 4, wherein R^2 is hydrogen atom, phenyl group, a morpholino-substituted lower alkyl group or an imidazolyl-substituted lower alkyl group, or a salt thereof.

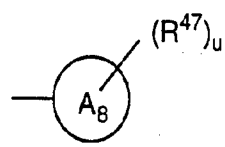
8. A quinoxaline derivative according to claim 5, wherein R^2 is a lower alkyl group having optionally a halogen substituent, or a salt thereof.

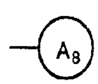
9. A quinoxaline derivative according to claim 5, wherein R^2 is hydrogen atom, phenyl group, a morpholino-substituted lower alkyl group or an imidazolyl-substituted lower alkyl group, or a salt thereof.

10. A quinoxaline derivative according to claim 6, wherein R^3 is hydrogen atom, a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, or a lower alkoxycarbonyloxy-substituted lower alkyl group; and R^4 is a group of the formula:

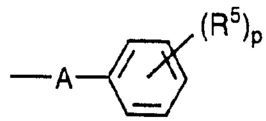


(wherein the group  , R^8 and q are as defined in claim 1), or a group of the formula:



(wherein the group , R^{47} and u are as defined in claim 1), or a salt thereof.

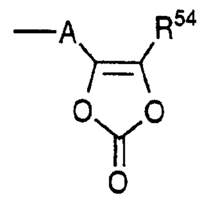
11. A quinoxaline derivative according to claim 6, wherein R^3 is hydrogen atom, a lower alkyl group, a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, or a lower alkoxycarbonyloxy-substituted lower alkyl group; and R^4 is a group of the formula:



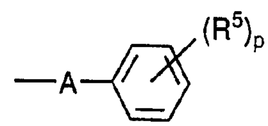
(in which A, R^5 and p are as defined in claim 1); a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; an alkenyl group; a cycloalkyl-lower alkyl group; a naphthyl-lower alkyl group; a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenoxy - substituted lower alkyl group; a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); a 2,3 - dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, or a salt thereof.

12. A quinoxaline derivative according to claim 6, wherein R^3 is a phenyl-lower alkoxycarbonyl group; a lower alkanoyl group; a lower alkoxy - lower alkyl group; a phenoxycarbonyl group; a lower alkanoyl-substituted lower alkyl group; a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring; a group of the formula: $-E-N(R^{52})(R^{53})$

(in which R^{52} and R^{53} are the same or different and each hydrogen atom, a lower alkyl group, a lower alkoxycarbonyl group or phenyl group, or R^{52} and R^{53} may combine together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom, E is a lower alkylene group, a group of the formula: -CO-, or a group of the formula: -CO-A- (in which A is a lower alkylene group)); or a group of the formula:

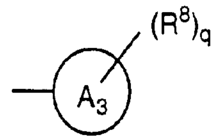


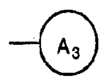
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above), and R^4 is a group of the formula:

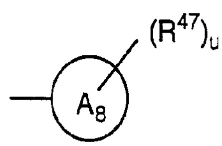


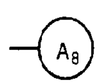
(in which A, R^5 and p are as defined in claim 1); a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; alkenyl group; a cycloalkyl-lower alkyl group; a naphthyl-lower alkyl group; a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; a phenylsulfinyl - substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenylsulfonyl-substituted lower alkyl group having

optionally a lower alkoxy substituent on the phenyl moiety; a phenoxy - substituted lower alkyl group; a group of the formula:



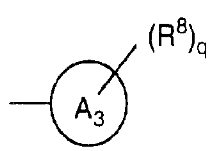
(in which the group , R^8 and q are as defined in claim 1); a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 - dihydro-1H-indenyl ring; or a group of the formula:

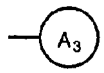


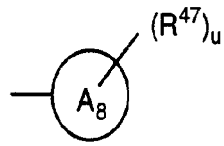
(in which the group , R^{47} and u are as defined in claim 1), or a salt thereof.

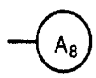
13. A quinoxaline derivative according to claim 6, wherein R^3 and R^4 combine together with the adjacent nitrogen atom to which they bond to form 1,2,3,4-tetrahydroisoquinolyl group, said heterocyclic group having optionally a lower alkoxy substituent, or a salt thereof.

14. A quinoxaline derivative according to claim 7, wherein R^3 is hydrogen atom, a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, or a lower alkoxycarbonyloxy-substituted lower alkyl group; and R^4 is a group of the formula:

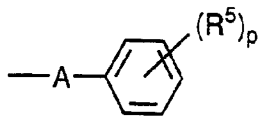


(wherein the group , R^8 and q are as defined in claim 1), or a group of the formula:



(wherein the group , R^{47} and u are as defined in claim 1), or a salt thereof.

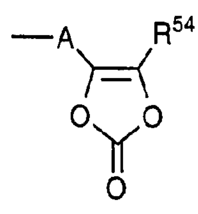
15. A quinoxaline derivative according to claim 7, wherein R^3 is hydrogen atom, a lower alkyl group, a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, or a lower alkoxycarbonyloxy-substituted lower alkyl group; and R^4 is a group of the formula:



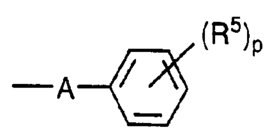
(in which A, R^5 and p are as defined in claim 1); a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; an alkenyl group; a cycloalkyl-lower alkyl group; a naphthyl-lower alkyl group; a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy

substituent on the phenyl moiety; a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenoxy - substituted lower alkyl group; a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); or a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, or a salt thereof.

16. A quinoxaline derivative according to claim 7, wherein R^3 is a phenyl-lower alkoxycarbonyl group; a lower alkanoyl group; a lower alkoxy - lower alkyl group; a phenoxycarbonyl group; a lower alkanoyl-substituted lower alkyl group; a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring; a group of the formula: $-E-N(R^{52})(R^{53})$ (in which R^{52} and R^{53} are the same or different and each hydrogen atom, a lower alkyl group, a lower alkoxycarbonyl group or phenyl group, or R^{52} and R^{53} may combine together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom, E is a lower alkylene group, a group of the formula: -CO-, or a group of the formula: -CO-A- (in which A is a lower alkylene group)); or a group of the formula:

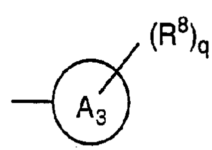


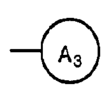
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above), and R^4 is a group of the formula:

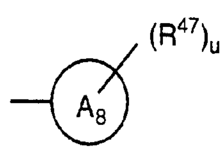


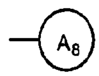
(in which A, R^5 and p are as defined in claim 1); a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen

atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: -O-A_4-CO-$NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; alkenyl group; a cycloalkyl-lower alkyl group; a naphthyl-lower alkyl group; a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; a phenylsulfinyl - substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenoxy - substituted lower alkyl group; a group of the formula:



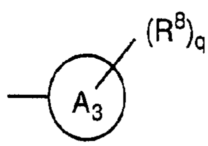
(in which the group  , R^8 and q are as defined in claim 1); a group of the formula: -A_5-$CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 - dihydro-1H-indenyl ring; or a group of the formula:

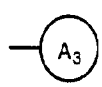


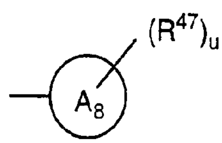
(in which the group  , R^{47} and u are as defined in claim 1), or a salt thereof.

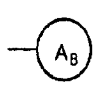
17. A quinoxaline derivative according to claim 7, wherein R^3 and R^4 combine together with the adjacent nitrogen atom to which they bond to form 1,2,3,4-tetrahydroisoquinolyl group, said heterocyclic group having optionally a lower alkoxy substituent, or a salt thereof.

18. A quinoxaline derivative according to claim 8, wherein R^3 is hydrogen atom, a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, or a lower alkoxycarbonyloxy-substituted lower alkyl group; and R^4 is a group of the formula:

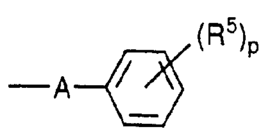


(wherein the group  , R^8 and q are as defined in claim 1), or a group of the formula:



(wherein the group , R^{47} and u are as defined in claim 1), or a salt thereof.

19. A quinoxaline derivative according to claim 8, wherein R^3 is hydrogen atom, a lower alkyl group, a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, or a lower alkoxycarbonyloxy-substituted lower alkyl group; and R^4 is a group of the formula:

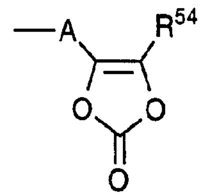


(in which A, R^5 and p are as defined in claim 1); a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen

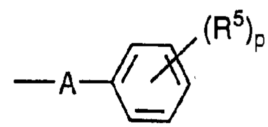
atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; an alkenyl group; a cycloalkyl-lower alkyl group; a naphthyl-lower alkyl group; a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenoxy - substituted lower alkyl group; a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); or a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, or a salt thereof.

20. A quinoxaline derivative according to claim 8, wherein R^3 is a phenyl-lower alkoxycarbonyl group; a lower alkanoyl group; a lower alkoxy - lower alkyl group; a phenoxycarbonyl group; a lower alkanoyl-substituted lower alkyl group; a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring; a group of the formula: $-E-N(R^{52})(R^{53})$ (in which R^{52} and R^{53} are the same or different and each hydrogen atom, a lower alkyl group, a lower alkoxycarbonyl group or phenyl group, or R^{52} and R^{53} may combine together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being

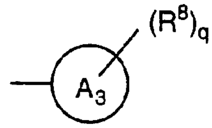
intervened with another nitrogen atom or oxygen atom, E is a lower alkylene group, a group of the formula: -CO-, or a group of the formula: -CO-A- (in which A is a lower alkylene group)); or a group of the formula:

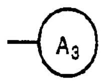


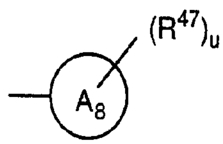
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above), and R^4 is a group of the formula:

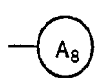


(in which A, R^5 and p are as defined in claim 1); a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; alkenyl group; a cycloalkyl-lower alkyl group; a naphthyl-lower alkyl group; a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; a phenylsulfinyl - substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenoxy - substituted lower alkyl group; a group of the formula:



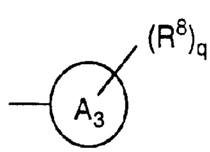
(in which the group , R^8 and q are as defined in claim 1); a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 -dihydro-1H-indenyl ring; or a group of the formula:

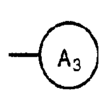


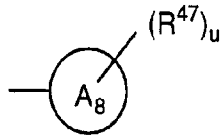
(in which the group , R^{47} and u are as defined in claim 1), or a salt thereof.

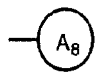
21. A quinoxaline derivative according to claim 8, wherein R^3 and R^4 combine together with the adjacent nitrogen atom to which they bond to form 1,2,3,4-tetrahydroisoquinolyl group, said heterocyclic group having optionally a lower alkoxy substituent, or a salt thereof.

22. A quinoxaline derivative according to claim 9, wherein R^3 is hydrogen atom, a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, or a lower alkoxycarbonyloxy-substituted lower alkyl group; and R^4 is a group of the formula:

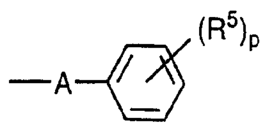


(wherein the group , R^8 and q are as defined in claim 1), or a group of the formula:



(wherein the group , R^{47} and u are as defined in claim 1), or a salt thereof.

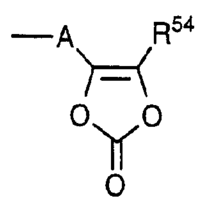
23. A quinoxaline derivative according to claim 9, wherein R^3 is hydrogen atom, a lower alkyl group, a lower alkanoyloxy-substituted lower alkyl group, a lower alkoxycarbonyl group, or a lower alkoxycarbonyloxy-substituted lower alkyl group; and R^4 is a group of the formula:



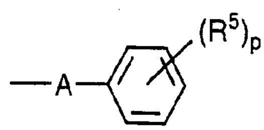
(in which A, R^5 and p are as defined in claim 1); a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; an alkenyl group; a cycloalkyl-lower alkyl group; a naphthyl-lower alkyl group; a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenoxy - substituted lower alkyl group; a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which

A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); or a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring, or a salt thereof.

24. A quinoxaline derivative according to claim 9, wherein R^3 is a phenyl-lower alkoxycarbonyl group; a lower alkanoyl group; a lower alkoxy - lower alkyl group; a phenoxycarbonyl group; a lower alkanoyl-substituted lower alkyl group; a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring; a group of the formula: -E-N(R^{52})(R^{53}) (in which R^{52} and R^{53} are the same or different and each hydrogen atom, a lower alkyl group, a lower alkoxycarbonyl group or phenyl group, or R^{52} and R^{53} may combine together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom, E is a lower alkylene group, a group of the formula: -CO-, or a group of the formula: -CO-A- (in which A is a lower alkylene group)); or a group of the formula:

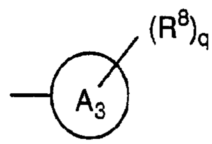


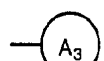
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above), and R^4 is a group of the formula:

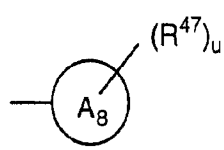


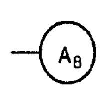
(in which A, R^5 and p are as defined in claim 1); a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula:

$-O-A_4-CO-NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; alkenyl group; a cycloalkyl-lower alkyl group; a naphthyl-lower alkyl group; a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; a phenylsulfinyl - substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenoxy - substituted lower alkyl group; a group of the formula:



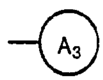
(in which the group , R^8 and q are as defined in claim 1); a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3 - dihydro-1H-indenyl ring; or a group of the formula:

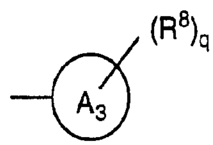


(in which the group , R^{47} and u are as defined in claim 1), or a salt thereof.

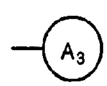
25. A quinoxaline derivative according to claim 9, wherein R^3 and

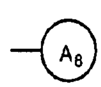
R^4 combine together with the adjacent nitrogen atom to which they bond to form 1,2,3,4-tetrahydroisoquinolyl group, said heterocyclic group having optionally a lower alkoxy substituent, or a salt thereof.

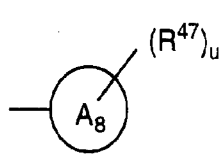
26. A quinoxaline derivative according to claim 10, wherein the saturated or unsaturated heterocyclic group in the lower alkyl group substituted by a 5- to 14-membered saturated or unsaturated heterocyclic groups represented by the group of  in the group of the formula:



is a member selected from the group consisting of benzofuryl, benzothienyl, indolyl, 2,3-dihydrobenzofuryl, perhydrobenzofuryl, quinolyl, benzimidazolyl, benzothiazolyl, imidazo[1,2-a]pyridyl, 1,4-benzodioxanyl, furo[3,2-c]pyridyl, 1,2,3,4-tetrahydrofuro[2,3-g]quinolyl, furo[2,3-g]quinolyl, 3,4-dihydrofuro[2,3-g]quinolyl, thiazolyl, pyridyl, furyl, imidazolyl, 1,2,3,4-tetrazolyl, and oxazolyl, or a salt thereof.

27. A quinoxaline derivative according to claim 26, wherein the saturated or unsaturated heterocyclic group in the lower alkyl group substituted by a 5- to 14-membered saturated or unsaturated heterocyclic groups represented by the group of  is benzofuryl or oxazolyl, or a salt thereof.

28. A quinoxaline derivative according to claim 10, wherein the saturated or unsaturated heterocyclic group in the lower alkenyl group substituted by a 5- to 14-membered saturated or unsaturated heterocyclic groups represented by the group of  in the group of the formula:



is a member selected from the group consisting of benzofuryl, benzothienyl,

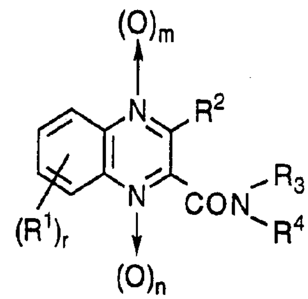
carbostyril, 3,4-dihydrocarbostyril, benzothienyl, furo[3,2-c]pyridyl, furo[2,3-g]quinolyl, 3,4-dihydrofuro[2,3-g]quinolyl, 1,2,3,4-tetrahydrofuro[2,3-g]quinolyl, naphtho[2,1-b]furyl, and oxazolyl, or a salt thereof.

29. A quinoxaline derivative according to claim 28, wherein the saturated or unsaturated heterocyclic group in the lower alkenyl group substituted by a 5- to 14-membered saturated or unsaturated heterocyclic groups represented by the group of $-\left(A_8\right)$ is benzofuryl, or a salt thereof.

30. A quinoxaline derivative according to claim 1, which is a member selected from the group consisting of 2-[3-(benzofuran-2-yl)-2-butenylaminocarbonyl]-3-methylquinoxalin-4-oxide, 2-[(3-methyl-7-trifluoromethylbenzofuran-2-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide, 2-[(2-phenyl-5-methyloxazol-4-yl)methylaminocarbonyl]-3-methylquinoxalin-4-oxide, 2-{[5-(2,5-dimethylthiazol-4-yl)benzofuran-3-yl]methylaminocarbonyl}-3-methylquinoxalin-4-oxide, 2-{3-[5-(2-methyl-1,2,3,4-tetrazol-5-yl)benzofuran-2-yl]-2-butenylaminocarbonyl}-3-methylquinoxalin-4-oxide, 2-[5-(benzofuran-2-yl)-2,4-hexadienylaminocarbonyl]-3-methylquinoxalin-4-oxide, 2-{3-[5-(2,5-dimethylthiazol-4-yl)benzofuran-2-yl]-2-butenylaminocarbonyl}-3-methylquinoxalin-4-oxide, 2-{3-[5-(thiazol-2-yl)benzofuran-2-yl]-2-butenylaminocarbonyl}-3-methylquinoxalin-4-oxide, 2-[3-(5,7-difluorobenzofuran-2-yl)-2-butenylaminocarbonyl]-3-methylquinoxalin-4-oxide, 2-{N-[3-(benzofuran-2-yl)-2-butenyl]-N-methoxycarbonylaminocarbonyl}-3-methylquinoxalin-4-oxide, 2-{N-[3-(benzofuran-2-yl)-2-butenyl]-N-propanoyloxymethylaminocarbonyl}-3-methylquinoxalin-4-oxide, 2-{N-[3-(benzofuran-3-yl)-2-butenyl]-N-acetyloxymethylaminocarbonyl}-3-methylquinoxalin-4-oxide, 2-{N-[3-(benzofuran-2-yl)-2-butenyl]-N-ethoxycarbonyloxymethylaminocarbonyl}-3-methylquinoxalin-4-oxide, 2-{N-[3-methyl-7-trifluoromethylbenzofuran-2-yl)methyl]-N-acetoxymethylaminocarbonyl}-3-methylquinoxalin-4-oxide, and 2-{N-[2-phenyl-5-methyloxazol-4-yl)methyl]-N-acetoxymethylaminocarbonyl}-3-methylquinoxalin-4-oxide, or a salt thereof.

31. An antidiabetic agent which comprises as an active ingredient a compound as set forth in claim 1.

32. An antidiabetic agent which comprises as an active ingredient a quinoxaline derivative of the formula:



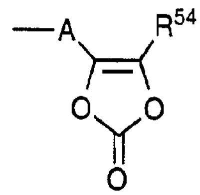
wherein R^1 is hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, an amino group having optionally a lower alkyl substituent, or an aminocarbonyl group having optionally a lower alkyl substituent,

R^2 is hydrogen atom, a lower alkyl group having optionally a halogen substituent, phenyl group, a morpholino-substituted lower alkyl group or an imidazolyl-substituted lower alkyl group,

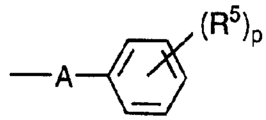
n and m are each 0 or 1,

r is 1 or 2,

R^3 and R^4 are the same or different and each a) hydrogen atom; b) a lower alkyl group; c) a phenyl-lower alkoxycarbonyl group; d) a lower alkanoyloxy-substituted lower alkyl group; e) a lower alkanoyl group; f) a lower alkoxycarbonyl group; g) a lower alkoxy-lower alkyl group; h) a phenoxy - carbonyl group; i) a lower alkanoyl-substituted lower alkyl group; j) a lower alkoxycarbonyloxy-substituted lower alkyl group; k) a benzoyl-substituted lower alkyl group having optionally a halogen substituent on the phenyl ring; l) a group of the formula: -E-N(R^{52})(R^{53}) (in which R^{52} and R^{53} are the same or different and each hydrogen atom, a lower alkyl group, a lower alkoxycarbonyl group or phenyl group, or R^{52} and R^{53} may combine together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom, E is a lower alkylene group, a group of the formula: -CO-, or a group of the formula: -CO-A- (in which A is a lower alkylene group)); m) a group of the formula:

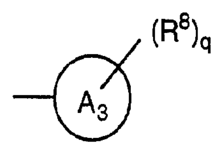


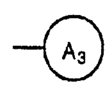
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined above); n) a group of the formula:



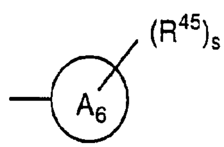
(in which A is the same as defined above, p is an integer of 1 to 3, R^5 is hydrogen atom, a lower alkoxy-substituted lower alkoxy group, a lower alkoxy group, an amino group having optionally a lower alkyl substituent, a halogen atom, nitro group, hydroxy group, a lower alkyl group having optionally a hydroxy substituent, a lower alkenyloxy group, a carboxyl-substituted lower alkoxy group, a lower alkoxycarbonyl-substituted lower alkoxy group, a lower alkoxycarbonyl group, a halogen-substituted lower alkoxy group, a hydroxy - substituted lower alkoxy group, a phenyl-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkoxy group on the phenyl moiety, a 1,3-dioxolanyl group having optionally a lower alkyl substituent, a lower alkanoyl group, a morpholino-substituted lower alkoxy group, a morpholino-substituted lower alkyl group, a morpholinocarbonyl group or a group of the formula: $-Y-A_1-CONR^6R^7$ (in which A_1 is a lower alkylene group, Y is a group of the formula: -O- or a group of the formula: -NH-, R^6 and R^7 are the same or different and each hydrogen atom, a lower alkyl group having optionally a hydroxy substituent, a phenyl-lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, a furyl-substituted lower alkyl group, or a lower alkoxy-substituted lower alkyl group, or R^6 and R^7 may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen atom or oxygen atom, said heterocyclic group having optionally 1 to 3 substituents selected from hydroxy group, a lower alkyl group and a phenyl-lower alkyl group)); o) a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an

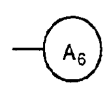
amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy-substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4 is a lower alkylene group, R^{40} and R^{41} are the same or different and each hydrogen atom or a lower alkyl group, or R^{40} and R^{41} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; p) an alkenyl group; q) a cycloalkyl-lower alkyl group; r) a naphthyl-lower alkyl group; s) a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; t) a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; u) a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; v) a phenoxy-substituted lower alkyl group; w) a group of the formula:



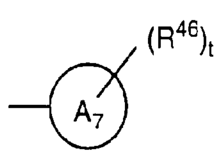
(in which q is an integer of 1 to 3, a group of the formula:  is a lower alkyl group substituted by a 5- to 14-membered saturated or unsaturated heteromonocyclic, heterobicyclic or heterotricyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^8 substitutes on the above heterocyclic group, and is hydrogen atom, oxo group, a lower alkyl group having optionally a hydroxy substituent, a halogen atom, nitro group, a lower alkoxy group, cyano group, a lower alkoxycarbonyl group, a phenyl-lower alkoxy group having optionally an amino group having optionally a lower alkanoyl substituent on the phenyl moiety, a carboxyl - substituted lower alkoxy group, carboxyl group, a lower alkoxycarbonyl - substituted lower alkoxy group, hydroxy group, a lower alkoxy-substituted lower

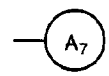
alkoxy group, a lower alkenyloxy group, a lower alkanoyloxy-substituted lower alkyl group, a halogen-substituted lower alkyl group, a lower alkanoyl group, a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy-substituted lower alkoxy group, hydroxy group, a halogen atom and a lower alkoxy group on the phenyl moiety, a lower alkenyl group, a morpholinocarbonyl-lower alkoxy group, a lower alkylsufinyl group, an amino - substituted lower alkyl group having optionally a substituent selected from a lower alkylsulfonyl group and a lower alkanoyl group, a lower alkylthio group, a lower alkylsulfonyl group, a lower alkanoyloxy group, a 1,3-dioxolanyl - substituted lower alkyl group having optionally a lower alkyl substituent, a lower alkanoyl-substituted lower alkyl group, an aminocarbonyl-substituted lower alkyl group having optionally a lower alkyl substituent, a lower alkoxy - carbonyl-substituted lower alkenyl group, an aminocarbonyl-substituted lower alkenyl group having optionally a lower alkyl substituent, a carboxyl-substituted lower alkenyl group, benzoyl group, a lower alkoxy-lower alkyl group, a group of the formula:

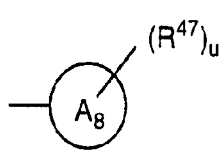


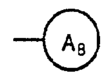
(in which s is an integer of 1 to 3, a group of the formula: 

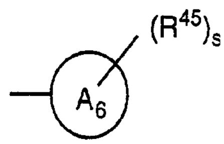
is a 5- to 6 - membered saturated or unsaturated heterocyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^{45} bonds to said heterocyclic group and is hydrogen atom, a lower alkyl group, a lower alkoxy-substituted lower alkyl group, phenyl group or oxo group), a group of the formula:

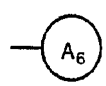


(in which t is an integer of 1 to 3, a group of the formula:  is a lower alkyl group substituted by a 5- to 6-membered saturated or unsaturated heterocyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^{46} bonds to said heterocyclic group and is hydrogen atom, a lower alkyl group or oxo group), or a group of the formula: $-(C{=}O)_lNR^9R^{10}$ (in which l is 0 or 1, R^9 and R^{10} are the same or different and each hydrogen atom, a lower alkanoyl group, a lower alkyl group, a morpholinocarbonyl-lower alkyl group, a cycloalkylcarbonyl group, a phenyl - lower alkenylcarbonyl group, a lower alkylsulfonyl group, an aminocarbonyl group having optionally a lower alkyl substituent, a phenylsulfonyl group having optionally a lower alkyl substituent on the phenyl moiety, a phenyl-lower alkenyl group, a benzoyl group having optionally 1 to 3 substituents selected from a halogen atom, a lower alkoxy group, an amino group having optionally a lower alkanoyl substituent and hydroxy group on the phenyl moiety, an amino - substituted lower alkanoyl group having optionally a lower alkanoyl substituent, an amino-substituted sulfonyl group having optionally a lower alkyl substituent, a phenyl-lower alkyl group, phenyl group, or an amino group having optionally a lower alkanoyl substituent, or R^9 and R^{10} may combine together with the adjacent nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom)); x) a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5 is a lower alkylene group, R^{42} and R^{43} combine together to form a group of the formula: =O, or =N-OH or a lower alkylenedioxy group, and R^{44} is a phenyl group having optionally a lower alkoxy substituent on the phenyl moiety); y) a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring; or z) a group of the formula:



(in which u is an integer of 1 to 3, a group of the formula:  is a lower alkenyl group substituted by a 5- to 14-membered saturated or unsaturated, heteromonocyclic, heterobicyclic or heterotricyclic group having 1 to 4 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom, R^{47} bonds on said heterocyclic group and is hydrogen atom, a halogen-substituted lower alkyl group, oxo group, a halogen atom, a lower alkoxy group, a lower alkyl group, a lower alkoxycarbonyl group, carboxyl group, an aminocarbonyl group having optionally a lower alkyl substituent, an amino group having optionally a lower alkanoyl substituent, a phenyl group having optionally a substituent selected from a lower alkoxy group and a halogen atom on the phenyl moiety, or a group of the formula:

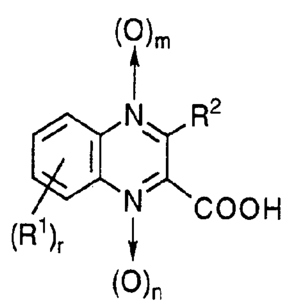


(in which agroup of the formula: , R^{45} and s are the same as defined above)),

or R^3 and R^4 may combine together with the adjacent nitrogen atom to which they bond to form 1,2,3,4-tetrahydroisoquinolyl group, said heterocyclic group having optionally a lower alkoxy substituent,
or a pharmaceutically acceptable salt thereof.

33. A process for preparing a quinoxaline derivative as set forth in claim 1, which comprises

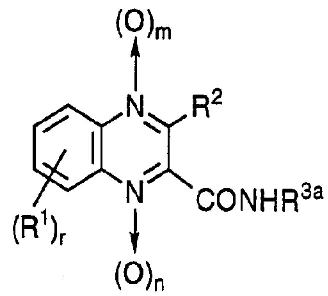
(a) reacting a quinoxaline compound of the formula:



(2)

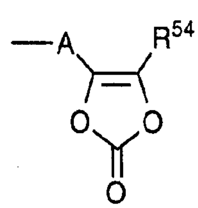
(wherein R^1, R^2, m, n, and r are as defined in claim 1) or a reactive derivative thereof with an amine of the formula: HNR^3R^4 (wherein R^3 and R^4 are as defined in claim 1),

(b) reacting a quinoxaline compound of the formula:



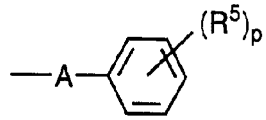
(1a)

(wherein R^{3a} is hydrogen atom; a lower alkyl group; a phenyl-lower alkoxycarbonyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkanoyl group; a lower alkoxycarbonyl group; a lower alkoxy-lower alkyl group; a phenoxycarbonyl group; a lower alkanoyl-substituted lower alkyl group; a lower alkoxycarbonyloxy-substituted lower alkyl group; a benzoyl - substituted lower alkyl group having optionally a halogen substituent on the phenyl ring; a group of the formula: -E-N(R^{52})(R^{53}) (in which R^{52} and R^{53} are the same or different and each hydrogen atom, a lower alkyl group, a lower alkoxycarbonyl group or phenyl group, or R^{52} and R^{53} may combine together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or oxygen atom, E is a lower alkylene group, a group of the formula: -CO-, or a group of the formula: -CO-A- (in which A is a lower alkylene group)); a group of the formula:

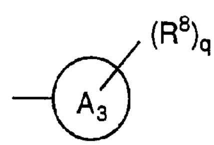


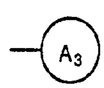
(in which R^{54} is hydrogen atom or a lower alkyl group and A is the same as defined

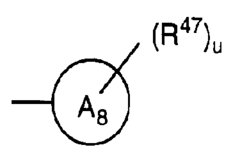
above); a group of the formula:



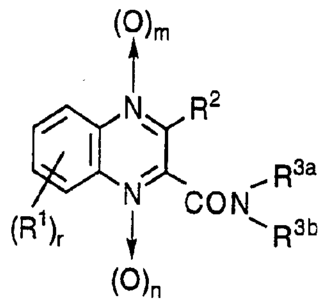
(wherein A, R^5 and p are as defined in claim 1); a phenyl-lower alkenyl group having optionally a substituent selected from a lower alkoxy group, a halogen atom, an amino group having optionally a substituent selected from a lower alkanoyl group and a phenyl-lower alkenylcarbonyl group, a lower alkoxy - substituted lower alkoxy group, a tetrazolyl group having optionally a lower alkyl substituent on the tetrazole moiety, hydroxy group, a group of the formula: $-O-A_4-CO-NR^{40}R^{41}$ (in which A_4, R^{40} and R^{41} are as defined in claim 1), a lower alkenyloxy group, nitro group and a lower alkyl group having optionally a halogen substituent on the phenyl moiety; an alkenyl group; a cycloalkyl-lower alkyl group; a naphthyl-lower alkyl group; a phenylthio-substituted lower alkyl group having optionally a lower alkoxy-substituent on the phenyl moiety; a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety; a phenoxy - substituted lower alkyl group; a group of the formula:



(in which , R^8 and q are as defined in claim 1); a group of the formula: $-A_5-CR^{42}R^{43}R^{44}$ (in which A_5, R^{42}, R^{43}, and R^{44} are as defined in claim 1); a 2,3-dihydro-1H-indenyl-substituted lower alkyl group having optionally a substituent selected from oxo group, hydroxy group and a silyloxy group having a lower alkyl substituent on the 2,3-dihydro-1H-indenyl ring; or a group of the formula:



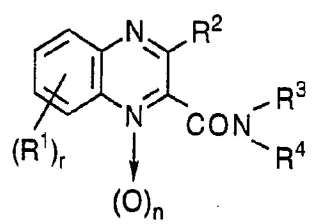
(in which —A_8, R^{47} and u are as defined in claim 1), and R^1, R^2, m, n, and r are as defined in claim 1) with a compound of the formula: $R^{3b}X$ or $R^{3c}COR^{3d}$ (wherein R^{3b} is the same as R^{3a} other than hydrogen atom, and R^{3c} and R^{3d} are each hydrogen atom or a lower alkyl group), to give a quinoxaline compound of the formula:



(1b)

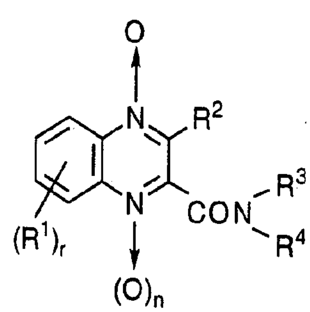
(wherein R^1, R^2, m, n, and r are as defined in claim 1, and R^{3a} and R^{3b} are as defined above),

c) oxidizing a quinoxaline compound of the formula:



(1c)

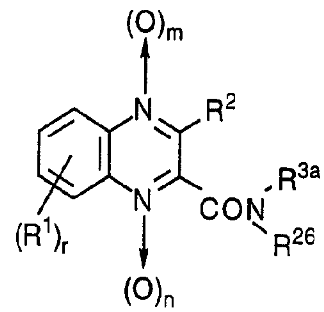
(wherein R^1, R^2, R^3, R^4, n, and r are as defined in claim 1) with an oxidizing agent to convert into a compound of the formula:



(1d)

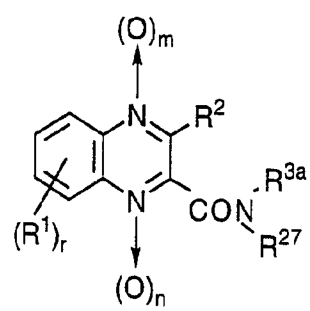
(wherein R^1, R^2, R^3, R^4, n, and r are as defined in claim 1),

d) oxidizing a quinoxaline compound of the formula:



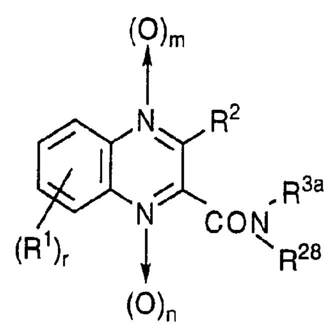
(1N)

(wherein R^{26} is a phenylthio-substituted lower alkoxy group having optionally a lower alkoxy substituent on the phenyl moiety, R^1, R^2, m, n, and r are as defined in claim 1, and R^{3a} is as defined above) with an oxidizing agent to convert into a compound of the formula:



(1O)

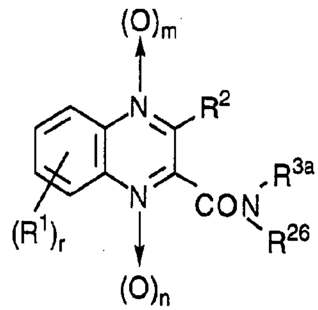
(wherein R^{27} is a phenylsulfinyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, R^1, R^2, m, n, and r are as defined in claim 1, and R^{3a} is as defined above), and further to convert into a compound of the formula:



(1P)

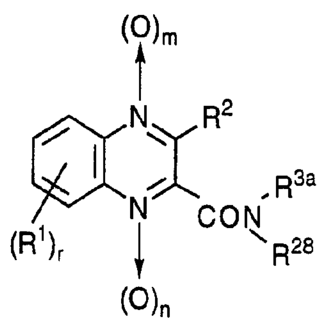
(wherein R^{28} is a phenylsulfonyl-substituted lower alkyl group having optionally a lower alkoxy substituent on the phenyl moiety, R^1, R^2, m, n, and r are as defined in claim 1, and R^{3a} is as defined above),

e) oxidizing a quinoxaline compound of the formula:



(1N)

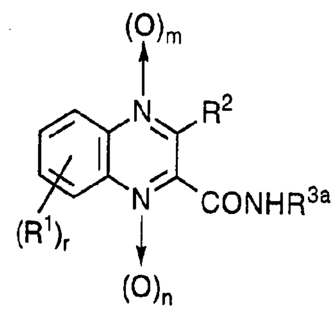
(wherein R^1, R^2, m, n, and r are as defined in claim 1, and R^{3a} and R^{26} are as defined above) with an oxidizing agent to convert into a compound of the formula:



(1P)

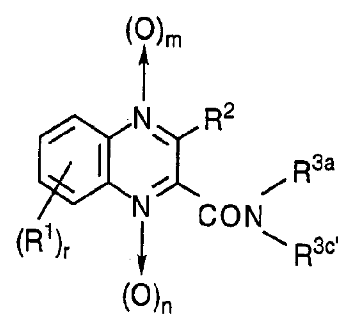
(wherein R^1, R^2, m, n, and r are as defined in claim 1, and R^{3a} and R^{28} are as defined above), or

f) reacting a quinoxaline compound of the formula:



(1a)

(wherein R^1, R^2, m, n, and r are as defined in claim 1, and R^{3a} is as defined above) with a compound of the formula: $R^{3c}OH$ (in which R^{3c} is as defined above) to give a compound of the formula:



(1Y)

(wherein R^1, R^2, m, n, and r are as defined in claim 1, and R^{3a} is as defined above, and $R^{3c'}$ is a phenyl-lower alkoxycarbonyl group, a lower alkanoyl group, a lower alkoxycarbonyl group, a phenoxycarbonyl group, or a group of the formula: $-CO-A-NR^{52}R^{53}$ (in which A, R^{52}, R^{53} are the same as defined above).

INTERNATIONAL SEARCH REPORT

Inten nal Application No
PCT/JP 94/01559

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D241/52 C07D241/44 C07D405/12 C07D417/12 C07D401/12
C07D403/12 C07D413/12 A61K31/495

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	HETEROCYCLES, vol.26, no.3, 1987, TOKYO pages 699 - 710 S.SABRI ET AL. 'SYNTHESIS AND SPECTROSCOPIC STUDIES ON SOME NEW SUBSTITUTED 2-QUINOXALINES CARBOXAMIDES.' cited in the application see page 702 - page 710 ---	1-6
X	JOURNAL OF CHEMICAL AND ENGINEERING DATA, vol.29, no.2, 1984, USA pages 229 - 231 S.SABRI 'SYNTHESIS AND ANTIBACTERIAL ACTIVITY OF SOME NEW N-(3-METHYL-2-QUINOXALOYL)AMINO ALCOHOLS AND AMINE 1,4-DIOXIDES.' cited in the application see page 229 - page 231 ---	1,31,33

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

1

Date of the actual completion of the international search	Date of mailing of the international search report
20 December 1994	29. 12. 94

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax (+31-70) 340-3016	Francois, J

INTERNATIONAL SEARCH REPORT

Inten nal Application No
PCT/JP 94/01559

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	FR,A,2 085 759 (C.ERBA) 31 December 1971 cited in the application see claims	1,31
A	FR,A,2 137 931 (CIBA-GEIGY) 29 December 1972 cited in the application see the whole document	1,31
A	FR,A,2 182 957 (PFIZER) 14 December 1973 see claims	1,31
A	FR,M,3 717 (RHONE-POULENC) 29 November 1965 see page 1 - page 3	1,31

1

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
FR-A-2085759	31-12-71	BE-A- 764998	30-09-71
		CA-A- 957687	12-11-74
		DE-A- 2114629	21-10-71
		GB-A- 1289240	13-09-72
		US-A- 3819633	25-06-74
FR-A-2137931	29-12-72	AU-A- 4227272	22-11-73
		BE-A- 783515	16-11-72
		DE-A- 2222654	30-11-72
		NL-A- 7206601	21-11-72
FR-A-2182957	14-12-73	AT-B- 333767	10-12-76
		BE-A- 797983	10-10-73
		CA-A- 1002047	21-12-76
		CH-A- 568988	14-11-75
		CH-A- 568307	31-10-75
		DE-A- 2316765	15-11-73
		GB-A- 1432443	14-04-76
		JP-C- 1136329	28-02-83
		JP-A- 49024980	05-03-74
		JP-B- 57026275	03-06-82
		NL-A- 7305048	05-11-73
		SE-B- 405853	08-01-79
FR-M-3717		NONE	